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L1 1 SEA FILE=REGISTRY 67-47-0/RN

L2 3085 SEA FILE=CAPLUS L1

L3 122 SEA FILE=CAPLUS L2 AND PHARMACEUTIC?

=> d 13 1-122 ibib abs hitstr

L3 ANSWER 1 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:1348016 CAPLUS

TITLE:

Preparation of azithromycin derivatives as

antibacterials

INVENTOR (S):

Shen, Shunyi; Wang, Zhangyue; Zhu, Chuanxian; Ge, Han

PATENT ASSIGNEE(S):

Shanghai Institute of Pharmaceutical Industry, Peop.

Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 27pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
· · · · · · · · · · · · · · · · · · ·					
CN 101074250	A	20071121	CN 2006-10026600	20060517	
PRIORITY APPLN. INFO.:			CN 2006-10026600	20060517	
GI					

The invention relates to azithromycin derivative I (wherein R is R1 or AR1; A is C1-5 alkylene, C2-5 alkenylene, C2-5 alkynylene, C3-6 cycloalkylene, 3-6 membered sub-heterocyclic group or C6-10 arylidene containing 1-2 heteroatom from N, O and S; R1 is 5-15 membered aromatic ring containing 0-3 heteroatoms selected from N, O and S, wherein aromatic ring can be randomly substituted by substitution group). The invention also relates to pharmaceutical salt of the above azithromycin derivs. The invention further relates to application of azithromycin derivs in preparing medicaments for preventing or treating bacterial infectious diseases.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of azithromycin derivs. as antibacterials)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 2 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:1140948 CAPLUS

DOCUMENT NUMBER:

147:420129

TITLE:

Use of  $\alpha$ -ketoglutaric acid and

5-hydroxymethylfurfural for reducing oxidative stress

INVENTOR(S):

Moser, Peter Michael; Greilberger, Joachim; Maier, Alfred; Juan, Heinz; Buecherl-Harrer, Christian;

Kager, Ernst

PATENT ASSIGNEE(S):

C.Y.L. Pharmazeutika GmbH, Austria

SOURCE:

Eur. Pat. Appl., 7pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1842536	A1	20071010	EP 2007-104493	20070320
R: AT, BE, BG	, CH, CY	, CZ, DE, DK	, EE, ES, FI, FR, GB,	GR, HU, IE,

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,

AL, BA, HR, MK, YU

20071015 AT 2006-464 20060320 **A1** AT 503385 AT 2006-464 A 20060320 PRIORITY APPLN. INFO.:

The invention discloses the use of  $\alpha\text{-ketoglutaric}$  acid and AB 5-hydroxymethylfurfural for the preparation of a medicament for the treatment and prevention of oxidative stress in humans and animals, particularly for the reduction of reactive oxygen and nitrogen species and simultaneously increasing antioxidant capacity. The compds. of the invention can be used for the improvement of general conditions and improving performance.

67-47-0, 5-Hydroxymethylfurfural

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(α-ketoglutaric acid and 5-hydroxymethylfurfural for reducing oxidative stress)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

2007:796075 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

147:243236

TITLE:

Application of 5-hydroxyfurfural in preparing

antidiabetic pharmaceuticals

Zhang, Li; Chen, Ruoyun; Du, Guanhua; Luo, Yuehua; INVENTOR(S):

Tang, Yanbo; Hu, Juanjuan

PATENT ASSIGNEE(S):

Institute of Materia Medica, Chinese Academy of

Medical Sciences, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 10pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	CN 100998587	A	20070718	CN 2006-10000817	20060111
PRIO	RITY APPLN. INFO.:			CN 2006-10000817	20060111
AB				of 5-hydroxyfurfural i	
				gar, preventing and/or	
	treating diabetes m	ellitus	and its co	omplications. Specific	ally,
				to tablet, capsule, pil	
	controlled-release	prepara	tion, slow-	release preparation an	d microparticle in
the					

presence of pharmaceutical carriers.

IT 67-47-0

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (application of 5-hydroxyfurfural in preparing antidiabetic pharmaceuticals)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

L3 ANSWER 4 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:762934 CAPLUS

DOCUMENT NUMBER: 147:173158

TITLE: Investigation on influencing factors of 5-HMF content

in Schisandra

AUTHOR(S): Xu, Qing; Li, Ying-hua; Lu, Xiu-yang

CORPORATE SOURCE: Institute of Pharmaceutical Engineering, Zhejiang

University, Hangzhou, 310027, Peop. Rep. China

SOURCE: Journal of Zhejiang University, Science, B (2007),

8(6), 439-445

CODEN: JZUSAM; ISSN: 1673-1581

PUBLISHER: Zhejiang University Press

DOCUMENT TYPE: Journal LANGUAGE: English

In order to investigate the influencing factors of 5-hydroxymethyl-2furaldehyde (5-HMF) content in Schisandra, confirm the theory of 5-HMF deriving mainly from Schisandra processing course, and give some suggestions about the Schisandra processing method, the 5-HMF contents in decoctions of Schisandra under different heating temperature, decocting time, soaking time, processing methods and treatment with different solvents before decocting the Schisandra were measured by RP-HPLC method. The results showed that there is great difference of 5-HMF level in decoctions from differently processed Schisandra and unprocessed Schisandra; decocting time of 60 min has some effects on 5-HMF level in decoctions and there is certain quantity 5-HMF in processed Schisandra itself and very little 5-HMF in unprocessed Schisandra. Heating time, heating temperature and treating solvents all have effect on 5-HMF level in decoction of Schisandra. 5-HMF in Schisandra was mainly from processing course. Both long heating time and high heating temperature can increase 5-HMF level in Schisandra. The production of 5-HMF in Schisandra may have some relationships with some polar components, which can dissolve in water, ethanol and acetone, especially in ethanol. To control processing temperature, processing

time

and

and treatment with some solvent is very important for controlling 5-HMF level in Schisandra.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(5-hydroxymethyl-2-furaldehyde content was increased with processing, soaking, decocting time, temperature and influenced with treating solvent

Schisandra chinensis (Trucz.) Baill. or Schisandra sphenanthera Rehd. et Wils. in Schisandra)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>-ОН

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:758613 CAPLUS

DOCUMENT NUMBER:

147:197593

TITLE:

Using tolerance intervals in pre-study validation of

analytical methods to predict in-study results

AUTHOR (S):

Rozet, Eric; Hubert, Cedric; Ceccato, Attilio; Dewe, Walthere; Ziemons, Eric; Moonen, Francois; Michail,

Karim; Wintersteiger, Reinhold; Streel, Bruno;

Boulanger, Bruno; Hubert, Philippe

CORPORATE SOURCE:

Laboratory of Analytical Chemistry, Bioanalytical Chemistry Research Unit, Institute of Pharmacy, CHU,

University of Liege, Liege, B-4000, Belg.

SOURCE:

Journal of Chromatography, A (2007), 1158(1-2),

126-137

CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal English

LANGUAGE:

It is recognized that the purpose of validation of anal. methods is to demonstrate that the method is suited for its intended purpose. Validation is not only required by regulatory authorities, but is also a decisive phase before the routine use of the method. For a quant. anal. method the objective is to quantify the target analytes with a known and suitable accuracy. For that purpose, first, a decision about the validity of the method based on prediction is proposed: a method is declared proper for routine application if it is considered that most of the future results generated will be accurate enough. This can be achieved by the "β-expectation tolerance interval" (accuracy profile) as the decision tool to assess the validity of the anal: method. Moreover, the concept of "fit-for-purpose" is also proposed here to select the most relevant response function as calibration curve, i.e. choosing a response function based solely on the predicted results this model will allow to obtain. This paper reports 4 case studies where the results obtained with quality control samples in routine were compared to predictions made in the validation phase. Predictions made using the " $\beta$ -expectation tolerance interval" are shown to be accurate and trustful for decision making. It is therefore suggested that an adequate way to conciliate both the objectives of the anal. method in routine anal. and those of the validation step consists in taking the decision about the validity of the anal. method based on prediction of the future results using the most appropriate response function curve, i.e. the fit-for-future-purpose concept.

67-47-0, Hydroxymethylfurfural IT

RL: ANT (Analyte); ANST (Analytical study)

(using tolerance intervals in pre-study validation of pharmaceutical anal. methods to predict in-study results)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS 21 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:696260 CAPLUS

DOCUMENT NUMBER:

147:174005

TITLE:

Determination of 5-hydroxymethylfurfural in potassium

magnesium aspartate and glucose injection by

high-performance liquid chromatography Zhou, Hui; Wang, Dongkai; Xing, Junjia

AUTHOR (S):

CORPORATE SOURCE:

Department of Pharmacy, First Affiliated Hospital,

China Medical University, Shenyang, 110001, Peop. Rep.

SOURCE:

Zhongquo Yike Daxue Xuebao (2006), 35(1), 82-83, 86

CODEN: ZYDXEN; ISSN: 0258-4646

PUBLISHER:

Zhongguo Yike Daxue

DOCUMENT TYPE:

Journal

LANGUAGE:

Chinese

This paper aims to determine the level of 5-Hydroxymethylfurfural in potassium magnesium aspartate and glucose injection by high-performance liquid chromatog. (HPLC) and select the chromatog. conditions. C18(4.6 mm\*200 mm) column using methanol-0.2% phosphoric acid(25:75) as mobile phase was used. The column temperature was 45°. The wave length for detection was The linear range of calibration curves of 5-HMF was 1 to 25 284 nm.  $\mu$ g/mL. The average recovery was 97.89%, and the relative standard deviation was 0.52%. The method is simple and accurate with good stability and liner relationship.

67-47-0, 5-Hydroxymethylfurfural IT

RL: ANT (Analyte); ANST (Analytical study)

(determination of 5-hydroxymethylfurfural in potassium magnesium aspartate

and

glucose injection by high-performance liquid chromatog.)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 7 OF 122

ACCESSION NUMBER:

2007:651272 CAPLUS

TITLE:

A GC-MS analysis on Lilium lancifolium and the

lipophilic components in its water extract

AUTHOR (S):

Zhang, Zhijie; Cai, Baochang; Wu, Luling; Li, Lin

CORPORATE SOURCE:

College of Pharmacy, Nanjing University of Traditional

Chinese Medicine, Nanjing, Jiangsu Province, 210029,

Peop. Rep. China

SOURCE:

Nanjing Zhongyiyao Daxue Xuebao (2006), 22(2), 91-93

CODEN: NZDXAU

PUBLISHER:

Nanjing Zhongyiyao Daxue Xuebao Bianjibu

DOCUMENT TYPE:

Journal

LANGUAGE:

Chinese

The lipophilic components in scale leaves of Lilium lancifolium and its extract by chloroform and water were analyzed. The contents, structure and percentage content of the extract of Lilium lancifolium were assayed by gas chromatog. in combination with mass spectrometry detector (GC-MS) method, mass spectrum bar graph anal. and NIST98 spectrum retrieval and peak area normalization method, resp. Thirty-five kinds of lipophilic components were identified from the chloroform extract of scale leaves of Lilium lancifolium, which accounted for 69.8%, and fifteen kinds of compds. were identified from the water extract Part of the low-polarity components in Lilium lancifolium had certain dissoln. rates. The experiment had provided the refs. for further study of a pharmacodynamic basis for Lilium lancifolium.

IT INDEXING IN PROGRESS

IT 67-47-0

RL: BSU (Biological study, unclassified); BIOL (Biological study) (a GC-MS anal. on Lilium lancifolium and the lipophilic components in its water extract)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

L3 ANSWER 8 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:505118 CAPLUS

DOCUMENT NUMBER: 146:482074

TITLE: Preparation of azole heterocyclic compounds as G

protein-coupled receptor kinase (GRK) inhibitors

INVENTOR(S): Kawamoto, Tetsuji; Okawa, Tomohiro; Hosono, Hiroshi;

Ogino, Masaki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 175pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
JP 2007112789	A	20070510	JP 2006-249474	20060914		
PRIORITY APPLN. INFO.:			JP 2005-276722 A	20050922		
OTHER SOURCE(S):	MARPAT	146:482074				

OTHER SOURCE(S): MARPAT 146:482074

GI

AB The title compds. [I; R = each (un) substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; R1 = H, lower alkyl, each (un) substituted amino-lower alkyl, N-containing heterocyclyl-lower alkyl, or N-containing heterocyclyl; or R and R1 are bonded to each other to form a N-containing heterocyclic ring; ring A = (un) substituted N-containing heterocyclic

ring; ring B = (un) substituted aromatic ring; X = N, C-R2; R2 = H, halo, each (un) substituted hydrocarbyl, heterocyclyl, NH2, HO, or CONH2, NO2, cyano, optionally esterified CO2H, acyl; Y = H, each (un) substituted hydrocarbyl, heterocyclyl, or CONH2, optionally esterified CO2H, acyl] or salts thereof are prepared These compds. are useful as preventive and therapeutic agents of circulatory diseases such as heart failure, hypertension, and arteriosclerosis, etc., based on the potent GRK inhibitory action. Thus, (2S)-2-phenylamino-4-[(tert-butoxycarbonyl)amino]butanoic acid hydrazide underwent cycloaddn. reaction with 4-cyanopyridine NaOEt in ethanol at 95° for 15 h to give 3-[(tert-Butoxycarbonyl)amino]-1-phenylamino-1-[3-(4-pyridyl)-1H-1,2,4-triazol-5-yl]propane which was stirred in concentrated HCl at room temperature for 30 min to give 3-amino-1-phenylamino-1-[3-(4pyridyl)-1H-1,2,4-triazol-5-yl]propane trihydrochloride (II). II in vitro inhibited the GRK2-dependent phosphorylation of bovine tubulin with IC50 of ≤250 µM. II and 2-amino-1-(3-chlorophenyl)amino-1-[3-(4pyridyl)-1H-1,2,4-triazol-5-yl]ethane trihydrochloride promoted the accumulation of cAMP in HEK293 cells overexpressing human  $\beta$ 2 receptor with EC50 of 3.0 and 0.58  $\mu$ M, resp. Pharmaceutical

formulations, e.g. a capsule containing II, were prepared

67-47-0, 5-(Hydroxymethyl)-2-furaldehyde IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of azole heterocyclic compds. as G protein-coupled receptor kinase (GRK) inhibitors for prevention or treatment of circulatory diseases)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

 $CH_2 - OH$ 

ANSWER 9 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

2007:480109 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:101642

Study on production process of famotidine and glucose TITLE:

injection

Yin, Shuang; Tang, Yu AUTHOR(S):

Sanjing Pharmaceutical Co., Ltd., Harbin CORPORATE SOURCE:

Pharmaceutical Group, Harbin, 150000, Peop. Rep. China

Heilongjiang Yiyao (2007), 20(2), 135-136 SOURCE:

CODEN: HYEIDM; ISSN: 1006-2882

Heilongjiang Sheng Yiyao Qingbao Zhongxinzhan PUBLISHER:

Journal DOCUMENT TYPE: Chinese LANGUAGE:

The paper was to obtain optimal prescription and production process of famotidine and glucose Injection. The properties, color, and pH of the famotidine glucose injection and the degradation of main and subsidiary drugs were studied to optimize the prescription and production process of the famotidine glucose injection. Results showed that the optimum prescription and production process of the famotidine glucose injection were obtained. In conclusion, the prescription of the famotidine glucose injection was reasonable, and the production process was feasible.

67-47-0, 5-Hydroxymethyl-2-furfural IT

RL: OCU (Occurrence, unclassified); OCCU (Occurrence)

(study on production process of famotidine and glucose injection)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 10 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:463191 CAPLUS

DOCUMENT NUMBER: 146:462289

Preparation of thio-triazolyl derivatives for treating TITLE:

diseases mediated directly or indirectly by KvI.5 ion

channel antagonists

Fichman, Merav; Chen, Dongli; Penland, Robert INVENTOR(S):

Christian; Reddy, A. Sekar; Mohanty, Pradyumna; Melendez, Rosa; Marantz, Yael; Schutz, Nili; Ramakrishna, Prasad; Shacham, Sharon; Saha, Ashis;

Noiman, Silvia; Becker, Oren M.

Epix Delaware, Inc., USA PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 78pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

GI

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT 1	NO.		•	KIN	)	DATE		7	APPL:	ICAT:	ION I	. 00		D	ATE	
WO .	2007	 0473	94		A2	-	2007	0426		νO 2	006-1	JS399	- <b></b>		20	0061	012
							AU,										
	w:	•	•						•	•							
							DE,										
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KΡ,
		KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,
							SK,										
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
							MC,										
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
PRIORITY	APP	LN.	INFO	. :					1	US 2	005-	7264	36P	1	P 2	0051	013
OTHER SO	URCE	(S):			MAR	PAT	146:	4622	89								
GT																	

The invention relates to KvI.5 ion channel antagonists. Novel AB thio-triazolyl derivs. I, wherein R1 is substituted alkyl; alicyclic, heteroalicyclic, aryl, heteroaryl; R2 is alicyclic, heteroalicyclic, aryl, hetêroaryl, fused aryl, alicyclic or heterocyclic ring optionally substituted with one or more of a halogen, alkyl, alkoxy, cyano, hydroxyalkyl; amino, lower alkylamino, lower dialkylamino; sulfonamide, hydroxy group; R2 is optionally attached via alkyl linker in place of a direct bond; R3, R4 and R6 are independently H, alkyl; R5 is alicyclic, heteroalicyclic, aryl, heteroaryl, wherein the alicyclic, heteroalicyclic, aryl or heteroaryl groups independently are optionally substituted with one or more halogen, alkyl, alkoxy, cyano, aryl alkoxy, amino, hydroxy, sulfonamide; and R5 is optionally attached via alkyl linker in place of a direct bond, and synthesis and uses thereof for treating diseases mediated directly or indirectly by KvI.5 ion channels, are disclosed. Such conditions include numerous heart conditions including atrial fibrillation, arrhythmia, myocardial ischemia, and ventricular fibrillationand, as well as epilepsy, anxiety, depression, age-related

memory loss, migraine, obesity, Parkinson's disease or Alzheimer's disease. Methods of preparation and novel intermediates and pharmaceutical salts thereof are also provided. Thus, thio-triazolyl derivative II was prepared and may be used for treating diseases mediated directly or indirectly by KvI.5 ion channel antagonist (no biol. data). The compds. formulated for parenteral administration, such as i.v. or i.m. injection, other pharmaceutically acceptable forms include, e.g., tablets or other solids for oral administration; liposomal formulations; time-release capsules; and any other form currently used, including cremes.

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thio-triazolyl derivs. for treating diseases mediated directly or indirectly by KvI.5 ion channel antagonists)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 11 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:442125 CAPLUS

DOCUMENT NUMBER: 146:468984

TITLE: Determination of metronidazole content and

5-hydroxymethyl limes in the metronidazole glucose

solution by absorption linear combination

AUTHOR(S): Xu, Fei; Tian, Kaizhen; Zhu, Liqiong

CORPORATE SOURCE: People Hospital of Zhangjiajie City, Zhangjiajie,

Hunan Province, 427000, Peop. Rep. China

SOURCE: Zhongguo Yiyuan Yaoxue Zazhi (2005), 25(10), 991-993

CODEN: ZYYAEP; ISSN: 1001-5213

PUBLISHER: Zhongguo Yiyuan Yaoxue Zazhi Bianjibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

The metronidazole content and 5-hydroxymethyl limes in the metronidazole glucose solution were determined by absorption linear combination using 0.1 mol·L-1 HCl as solvent at the detection wavelength of 277, 280 and 284 nm, resp. The results showed that the average recovery of metronidazole was 99.71% (RSD=0.56%), and the average recovery of 5-HMF was 101.96% (RSD=2.08%). This method was accurate, precise and reliable, compared with the Chinese pharmacopoeia method.

IT 67-47-0

RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(determination of metronidazole and 5-hydroxymethyl 2-furancarboxaldehyde in metronidazole glucose injection by UV spectrophotometry with absorption linear combination)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 12 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:422849 CAPLUS

DOCUMENT NUMBER:

146:507869

TITLE:

On-line purity monitoring in high-speed

counter-current chromatography: Application of HSCCC-HPLC-DAD for the preparation of 5-HMF, neomangiferin and mangiferin from Anemarrhena

asphodeloides Bunge

AUTHOR (S):

Zhou, Tingting; Zhu, Zhenyu; Wang, Chen; Fan, Guorong;

Peng, Jinyong; Chai, Yifeng; Wu, Yutian

CORPORATE SOURCE:

Shanghai Key Laboratory for Pharmaceutical Metabolite Research, School of Pharmacy, Second Military Medical

University, Shanghai, 200433, Peop. Rep. China

SOURCE:

Journal of Pharmaceutical and Biomedical Analysis

(2007), 44(1), 96-100

CODEN: JPBADA; ISSN: 0731-7085

Elsevier B.V. PUBLISHER:

DOCUMENT TYPE:

Journal

LANGUAGE:

English An efficient online purity monitoring strategy based on online coupling of

high-speed counter-current chromatog. (HSCCC) with high-performance liquid chromatog.-diode array detection (HPLC-DAD) was successfully applied for the first time to the isolation and purification of 5-hydroxymethyl-2furancarboxaldehyde (5-HMF), mangiferin and neomangiferin from the Chinese medicinal plant Anemarrhena asphodeloides Bunge, a plant used in the traditional Chinese medicine. The introduction of online purity monitoring in HSCCC has greatly improved the efficiency of this technique by overcoming the drawbacks of post-purification sample handling in HSCCC isolation. The effluent from the outlet of HSCCC was splitted into two parts, and one was collected, while the other was introduced directly through a switch valve into a HPLC-DAD system for purity monitoring. Using this method the desired fractions with high purities could be collected. From 600 mg partially purified extract, 165.6 mg neomangiferin and 292.8 mg mangiferin with purities of 98.9 and 99.5%, resp., were obtained with a two-phase solvent system composed of n-butanol-water (1:1, volume/volume) by increasing the flow-rate of the mobile phase stepwise from 1.0 to 2.2 mL min-1 after 210 min. A 17.1 mg 5-HMF with purity of 96.6% was also isolated for the first time.

67-47-0P, 5-Hydroxymethyl-2-furancarboxaldehyde IT

RL: ANT (Analyte); NPO (Natural product occurrence); PUR (Purification or recovery); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses) (online purity monitoring in high-speed counter-current chromatog. for preparation of 5-HMF, neomangiferin and mangiferin from Anemarrhena asphodeloides Bunge)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS 21 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER:

2007:417805 CAPLUS

DOCUMENT NUMBER:

147:113798

TITLE:

The detection of bioactive components of the powder of

bee-pollen - ethanol fraction

AUTHOR(S):

Yamaguchi, Isao

CORPORATE SOURCE:

Japan

SOURCE:

Kenkyu Kiyo - Tokyo Kasei Daigaku, 2: Shizen Kagaku

(2007), 47, 29-34

CODEN: KKSKFZ; ISSN: 0385-1214

Tokyo Kasei Daigaku PUBLISHER:

Journal 1 DOCUMENT TYPE: LANGUAGE: Japanese

About 21.8g of the dark brown-colored ethanol extract was dissolved in 30 mL of ethanol, and 1  $\mu$ l of the solution was analyzed with the GC-MS equipment. The result showed in tables that 8 kinds of alkanes, 7 kinds of alkenes, 6 kinds of fatty acids. 13 Kinds of esters of fatty acids, 16 kinds of steroids, 3 kinds of ketones, 2 kinds of alcs., 4 kinds of sugar, 5 kinds of aromatic compds., and 8 kinds of miscellaneous compds. were detected.

67-47-0, 5-Hydroxymethylfurfural IT

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (detection of bioactive components of powder of bee-pollen ethanol fraction)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME) CN

- CH2-ОН

ANSWER 14 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN  $L_3$ 

2007:302765 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 146:513697

Isolation and identification of potential cancer TITLE:

chemopreventive agents from methanolic extracts of

green onion (Allium cepa)

Xiao, Hang; Parkin, Kirk L. AUTHOR(S):

Department of Food Science, University of CORPORATE SOURCE:

Wisconsin-Madison, Madison, WI, 53706, USA

Phytochemistry (Elsevier) (2007), 68(7), 1059-1067 CODEN: PYTCAS; ISSN: 0031-9422 SOURCE:

Elsevier Ltd. PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

Phase II xenobiotic metabolizing enzymes confer amelioration of risk AB arising from potentially carcinogenic chems. derived both endogenously, and exogenously, from food and the environment. In this study, efforts were made to isolate and identify potentially cancer preventive constituents from methanolic exts. of green onion (Allium cepa) directed by the quinone reductase (QR) induction bioassay using murine hepatoma (Hepa 1c1c7) cells. Crude methanolic exts. of green onion tissue were solvent-partitioned, and subsequently fractionated by flash chromatog., thin layer chromatog. and high pressure preparative liquid chromatog. to afford pure QR-inducing isolates. Multiple isolates were found active at inducing QR. One newly identified compound, 5-hydroxy-3-methyl-4propylsulfanyl-5H-furan-2-one (3), and four known compds.: 5-(hydroxymethyl) furfural (1), acetovanillone (2), Me 4-hydroxyl cinnamate (4) and ferulic acid Me ester (5), were isolated and identified as active agents.

67-47-0P, 5-(Hydroxymethyl) furfural IT

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(isolation and identification of potential cancer chemopreventive agents from methanolic exts. of green onion)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC CH2-OH

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:234364 CAPLUS

DOCUMENT NUMBER:

146:448686

TITLE:

Chemistry study of Stellaria dichotoma

AUTHOR(S):

Sun, Bohang; Yoshikawa, Masayuki; Chen, Yingjie; Wu,

Lijun

CORPORATE SOURCE:

School of Traditional Chinese Meteria Medica, Shenyang

Pharmaceutical University, Shenyang, 110016, Peop.

Rep. China

SOURCE:

Shenyang Yaoke Daxue Xuebao (2006), 23(2), 84-87

CODEN: SYDXFF; ISSN: 1006-2858

PUBLISHER: Shenyang Yaoke Daxue Xuebao Bianjibu DOCUMENT TYPE: Journal

LANGUAGE: Southar Chinese

AB The chemical constituents of Stellaria dichotoma were determined The extract

was

extracted with CHCl3, n-BuOH and H2O, then isolated with normal and reflect phase silica gel and HPL C. Ten compds. were identified as 5-(hydroxymethyl)-2-furfural(I), 5-pyrrole-2-2carboxaldehyde(II), vanillin(III), vanillic acid (IV), 1-(4-hydroxy-3-methoxyphenyl) ethanone(V), 1-hydroxy-1-(3'-methoxy-4'5'-methylenedioxy) phenylpropane(VI), dihydroferulic acid(VII), 3, 4- dimethoxy-hydrocinnamic acid(VIII), stigmast-7-en-3-ol-palmitate(IX), and pinocembrin(X). The

phenylpropane(VI), dihydroferulic acid(VII), 3, 4- dimethoxy-hydrocinnami acid(VIII), stigmast-7-en-3-ol-palmitate(IX), and pinocembrin(X). The compds. I, III-VIII were isolated from Stellaria plants for the first time.

IT 67-47-0, 5-(Hydroxymethyl)-2-furfural

RL: ANT (Analyte); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(chemical components separation and determination of Stellaria dichotoma)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>-ОН

L3 ANSWER 16 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:216252 CAPLUS

DOCUMENT NUMBER:

146:281299

TITLE:

Examination of glucose degradation substance in

gatifloxacin glucose injection

AUTHOR (S):

Wang, Yajing

CORPORATE SOURCE:

Pharmaceutical Center, Tianjin Institute of

Pharmaceutical Research, Tianjin, 300193, Peop. Rep.

China

SOURCE:

Huaxi Yaoxue Zazhi (2006), 21(2), 204-205

CODEN: HYZAE2; ISSN: 1006-0103

PUBLISHER: DOCUMENT TYPE: Huaxi Yike Daxue Yaoxueyuan

CUMENT TYPE: Journal

LANGUAGE: Chinese

AB The paper studied examination method of suitable glucose degradation substance in

gatifloxacin glucose injection. According to the different phys. character between remedy and glucose degradation substance, a suitable ion-exchange resin was chosen in order to eliminate remedy selectively. It was proved that the examination method of glucose degradation substance was successful in quality control of gatifloxacin glucose injection. The method is accurate, applicable, and it is suitable for analogs examination of glucose degradation substance. It is better than controlling 5-hydroxymethylfurfural only.

TT 67-47-0, 5-Hydroxymethylfurfural
RL: ANT (Analyte); FMU (Formation, unclassified); PEP (Physical,

engineering or chemical process); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process); USES (Uses)

(examination of glucose degradation substance in gatifloxacin glucose injection)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

ОНС О СН2-ОН

L3 ANSWER 17 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:186692 CAPLUS

DOCUMENT NUMBER: 146:398334

TITLE: Antioxidant constituents and a new triterpenoid

glycoside from Flos Lonicerae

AUTHOR(S): Choi, Chun-Whan; Jung, Hyun Ah; Kang, Sam Sik; Choi,

Jae Sue

CORPORATE SOURCE: Faculty of Food Science and Biotechnology, Pukyong

National University, Pusan, 608-737, S. Korea

SOURCE: Archives of Pharmacal Research (2007), 30(1), 1-7

CODEN: APHRDQ; ISSN: 0253-6269

PUBLISHER: Pharmaceutical Society of Korea

DOCUMENT TYPE: Journal LANGUAGE: English

As a component of the continuing investigations into herb-derived antioxidant agents, the antioxidant effects of Flos Lonicerae (Lonicera japonica flowers) was evaluated, via 1,1-diphenyl-2-picrylhydrazyl (DPPH) radical, total reactive oxygen species (ROS), hydroxyl radical ( $\cdot$ OH), and peroxynitrite (ONOO-) assays. Among the methanolic extract and the dichloromethane, Et acetate, n-butanol, and water fractions, the EtOAc fraction of Flos Lonicerae exhibited marked scavenging/inhibitory activities, as follows: IC50 values of 4.37, 27.58  $\pm$  0.71, 0.47  $\pm$ 0.05, and 12.13  $\pm$  0.79  $\mu g/mL$  in the DPPH, total ROS, ONOO-, and OH assays, resp. Via a bioactivity-guided fractionation approach, a new triterpenoid glycoside, oleanolic acid  $28-0-\alpha-L$ rhamnopyranosyl- $(1\rightarrow 2)$ - $[\beta$ -D-xylopyranosyl $(1\rightarrow 6)$ ]- $\beta$ -Dglucopyranosyl ester (12), along with 11 known compds., including chrysoeriol (1), luteolin (2), 5-hydroxymethyl-2-furfural (3), caffeic acid (4), protocatechuic acid (5), chrysoeriol 7-0- $\beta$ -Dglucopyranoside (6), isorhamnetin  $3-O-\beta-D$ -glucopyranoside (7), kaempferol 3-O-β-D-glucopyranoside (8), quercetin  $3-O-\beta-D$ -glucopyranoside (9), hederagenin  $3-O-\alpha-L$ arabinopyranoside (10), and luteolin 7-0- $\beta$ -D-glucopyranoside (11), were isolated from the EtOAc fraction. The structures of isolated compds. 1-12 were elucidated via spectroscopic analyses. Compound 12 was isolated from a natural source for the 1st time. Compds. 2, 4, 5, 7, 9, and 11 evidenced marked scavenging activities, with IC50 values of 2.08-11.76  $\mu M$  for DPPH radicals, and 1.47-6.98  $\mu M$  for ONOO-.

67-47-0, 5-Hydroxymethyl-2-furfural TТ

> RL: ANT (Analyte); BSU (Biological study, unclassified); PRP (Properties); ANST (Analytical study); BIOL (Biological study)

(antioxidant constituents and a new triterpenoid glycoside from Flos Lonicerae)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

- CH2 — ОН OHC

REFERENCE COUNT:

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS 29 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

2007:150669 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 146:229612

Preparation of macrocyclic carboxylic acids, amides, TITLE: and acylsulfonamides as inhibitors of HCV replication

Seiwert, Scott D.; Blatt, Lawrence M.; Andrews, Steven INVENTOR(S):

W.; Martin, Pierre; Schumacher, Andreas; Barnett, Bradley R.; Eary, Todd C.; Kaus, Robert; Kercher, Timothy; Liu, Weidong; Lyon, Michael; Nichols, Paul; Wang, Bin; Sammakia, Tarek; Kennedy, April; Jiang,

Yutong

Patent

Intermune, Inc., USA; Array Biopharma Inc. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 512pp.

CODEN: PIXXD2

DOCUMENT TYPE:

GT

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
	WO 2007015824 WO 2007015824					A2 20070208			Ţ	WO 2	006-0	JS27	738		20	0060	717
		AE, CN, GE, KR, MW,	AG, CO, GH, KZ, MX,	AL, CR, GM, LA, MZ,	AM, CU, HN, LC, NA,	AT, CZ, HR, LK, NG,	AU, DE, HU, LR, NI,	AZ, DK, ID, LS, NO,	DM, IL, LT, NZ,	DZ, IN, LU, OM,	EC, IS, LV, PG,	EE, JP, LY, PH,	EG, KE, MA, PL,	ES, KG, MD, PT,	FI, KM, MG, RO,	GB, KN, MK, RS,	GD, KP, MN, RU,
	RW:	US, AT, IS, CF, GM,	UZ, BE, IT, CG, KE,	VC, BG, LT, CI, LS,	VN, CH, LU, CM, MW,	ZA, CY, LV, GA, MZ,	SL, ZM, CZ, MC, GN, NA, TM,	ZW DE, NL, GQ, SD,	DK, PL, GW, SL,	EE, PT, ML, SZ,	ES, RO, MR, TZ,	FI, SE, NE,	FR, SI, SN,	GB, SK, TD,	GR, TR, TG,	HU, BF, BW,	IE, BJ, GH,
PRIORITY	APPI	)5484 LN. ]	12 INFO	.:	A1		2007	0308	1	US 20 US 20 US 20 US 20	006-4 005-7 005-7	70219 72553 78989	95P 33P 00P	] ] ]	2 ( 2 (2)	0050°	725 011
OTHER SOURCE(S):				CASREACT 146:229612; MARPAT 146:229612													

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to macrocyclic compds. I and analogs [R1 = H, OC(:O)R1; R1 = (un)substituted N-heteroaryl; R2 = OH, NHR5; R5 = Ph, alkyl, CN, cyclopropylcarbonyl, etc.; R3 = H, CH2R6, CSNH2, (un)substituted thiazol-2-yl, etc.; R6 = CF3, t-Bu, (un)substituted Ph, cyclopropyl, furanyl, etc.; R4 = H, cyclopropylmethyl; the dashed line represents an optional double bond], and their pharmaceutically acceptable salts, prodrugs, and esters for use in pharmaceutical compns. for the treatment of hepatitis C virus (HCV) infection and liver fibrosis. Thus, compound II, prepared by reaction of the macrocyclic prolinol derivative with CDI in the presence of DCE and treatment with 1-methylcyclopropane-1-sulfonamide in the presence of DBU, showed IC50 < 0.1 μM in the NS3-NS4 protease inhibition assay.

IT 67-47-0, 5-(Hydroxymethyl)furan-2-carboxaldehyde RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of macrocyclic carboxylic acids, amides, and acylsulfonamides as inhibitors of HCV replication)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 19 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:65800 CAPLUS

DOCUMENT NUMBER:

146:487127

TITLE:

A variety of volatile compounds as markers in unifloral honey from dalmatian sage (Salvia

officinalis L.)

AUTHOR(S):

CORPORATE SOURCE:

Jerkovic, Igor; Mastelic, Josip; Marijanovic, Zvonimir Department of Organic Chemistry, Faculty of Chemistry

and Technology, University of Split, Split, 21 000,

Croatia

SOURCE:

Chemistry & Biodiversity (2006), 3(12), 1307-1316

CODEN: CBHIAM; ISSN: 1612-1872

PUBLISHER:

Verlag Helvetica Chimica Acta AG

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Volatile compds. of unifloral Salvia officinalis L. honey has been AB investigated for the first time. The botanical origin of ten unifloral Salvia honey samples has been ascertained by pollen anal. (the honey samples displayed 23-60% of Salvia pollen). Fifty-four volatile compds. were identified by GC and GC/MS in ten Salvia honey exts. obtained by ultrasound-assisted extraction with pentane/Et20 1:2. The yield of isolated volatiles varied from 25.7 to 30.5 mg kg-1. Salvia honey could be distinguished on the basis of the high percentage of benzoic acid (6.4-14.8%), and especially phenylacetic acid (5.7-18.4%). Minor, but floral-origin important volatiles were identified such as shikimate pathway derivs., 'degraded-carotenoid-like' structures (3,5,5-trimethylcyclohex-2-ene derivs.) and 2,6,6-trimethylcyclohex-2-ene derivs. Compds. from other metabolic pathways such as aliphatic acids and higher linear hydrocarbons, as well as heterocycles (pyrans, furans, and pyrroles), were also present. Most of the identified compds. do not constitute specific Salvia honey markers, due to their presence in honeys of other botanical origins; however, their ratio in different honeys could be useful to distinguish floral origin. Salvia-honey volatile markers

CN

. were: benzoic acid, phenylacetic acid, p-anisaldehyde, α-isophorone, 4-ketoisophorone, dehydrovomifoliol, 2,6,6-trimethyl-4-oxocyclohex-2-ene-1carbaldehyde, 2,2,6-trimethylcyclohexane-1,4-dione, and coumaran.

67-47-0, 5-(Hydroxymethyl) furan-2-carboxaldehyde TT RL: ANT (Analyte); FFD (Food or feed use); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(volatile compds. as markers in unifloral honey from Dalmatian sage Salvia officinalis L.)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

CH2-OH OHC

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 31 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

2006:1229196 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

146:7837

Preparation of 3-cyanoquinolines as Tpl-2 kinase TITLE:

inhibitors for treating inflammatory diseases

Green, Neal Jeffrey; Hu, Yonghan; Kaila, Neelu; Janz, Kristin Marie; Thomason, Jennifer R.; Li, Huan-Qiu; Hotchandani, Rajeev; Wu, Junjun; Gopalsamy, Ariamala; INVENTOR (S):

Tam, Steve Y.; Lin, Lih-Ling; Cuozzo, John William;

Guler, Satenig Y.

Wyeth, John, and Brother Ltd., USA PATENT ASSIGNEE(S):

Patent

SOURCE: PCT Int. Appl., 240pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

	PATENT	NO.			KIN	D	DATE			APPL	ICAT:	ION 1	NO.		D	ATE		
	WO 2006						2006		Ţ	WO 2	006-1	US18	582		.2	0060	512	
	W:						AU,		BA.	BB.	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	•••						DE,											
							ID,											
							LT,											
							NZ,											
							тJ,											
					ZM,		-	-										
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑP,	ΕA,	EP,	OA							
	AU 2006									AU 2	006-	2475	20		2	0060	512 ·	
	US 2006	2644	60		A1		2006	1123	•	US 2	006-	4364	85		2	0060	518	
PRI	ORITY APE	LN.	INFO	.:					1	US 2	005-	6823	31P	1	P 2	0050	518	
									1	WO 2	006-1	US18	582	1	W 2	0060	512	
OTH	ER SOURCE	(S):			MAR:	PAT	146:	7837										

The invention is related to the preparation of cyanoquinolines I [R1 = AB (un) substituted cycloalkyl, hetero/aryl, cycloheteroalkyl; R2 = H, halo, CN, NO2, (un) substituted alk(en/yn)yl, aryl, etc.; R3 = H, halo, (un) substituted halo/alkyl, alkoxy, etc.; R4 = (un) substituted cyclo/alkyl, hetero/aryl, 3-10 membered cycloheteroalkyl; R5, R6 = independently H, CHO and derivs., CO2H and derivs., (un) substituted hetero/aryl, alk(en/yn)yl, etc.; Y = (CR72)m; X = (CR82)n; R7, R8 =independently H, halo, OH and derivs., NH2 and derivs., etc.; or CR72, CR82 = independently C:0; m = 0-4; n = 0-1; with the exception of two specified compds.], their analogs, and their pharmaceutically acceptable salts as Tpl-2 kinase inhibitors. The invention is also related to methods of using title compds. I for treating inflammatory diseases, such as rheumatoid arthritis (no data). Thus, cyclization of 2-cyano-3-(4-nitrophenylamino)acrylic acid Et ester, aromatization of quinolone with POCl3, amination of the chloride with 3-chloro-4fluoroaniline, reduction of the nitro compound, and reductive alkylation of the amine with 2-furaldehyde gave cyanoquinoline II. Cyanoquinoline II inhibited Tpl-2 kinase with an IC50 value of 0.24  $\mu$ M.

IT 67-47-0, 5-(Hydroxymethyl)furfural

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 3-cyanoquinolines as Tpl-2 kinase inhibitors for treating
 inflammatory diseases)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

L3 ANSWER 21 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1173009 CAPLUS

DOCUMENT NUMBER:

146:13006

TITLE:

Composition of traditional Chinese medicine for treating gynecopathy, methods for preparation and

quality control thereof

Yang, Wenlong INVENTOR(S): PATENT ASSIGNEE(S):

Peop. Rep. China Faming Zhuanli Shenqing Gongkai Shuomingshu, 13pp. SOURCE:

CODEN: CNXXEV

Patent DOCUMENT TYPE: LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.		DATE	APPLICATION NO.	DATE
PRIO	CN 1857527	Α	20061108	CN 2006-10018541 CN 2006-10018541	
AB	parts): Rheum (frie	ed) 2-10	, Eupolyphag	composition is compose a sinensis 1-8, Whitmar	nia 1-8,
	Ostrea 2-10, Rehman	nia glu	tinosa 2-10,	calensis 1-5, Citrus au Paeonia lactiflora 1-6	and
	manufactured into t	ablets,	capsules, s	e medicine composition oft capsules or dripping Chinese medicine compo	ng pills,
	to treat gynecopath	y, and	has the adva	ntages of high content bioavailability, high	of effective
				d manufacturing process	
IT		. reager	it use); THU	(Therapeutic use); ANST	Γ (Analytical
	study); BIOL (Biolo (composition of			(Uses) medicine for treating	gynecopathy,

67-47-0 CAPLUS

RN

CN

ANSWER 22 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1144700 .CAPLUS

DOCUMENT NUMBER: 145:511959

Quality control method for Lujiao Buxue preparation TITLE:

INVENTOR(S): Xu, Lei

PATENT ASSIGNEE(S): Peop. Rep. China

Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp. SOURCE:

CODEN: CNXXEV

methods for preparation and quality control thereof)

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

DOCUMENT TYPE: Patent Chinese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1850208	A	20061025	CN 2006-10200163	20060222
PRIORITY APPLN. INFO.:			CN 2006-10200163	20060222
AB The quality contro	1 method	l for Lujiao	Buxue preparation comp	rises (a) qual.
identification of	Rhizoma	Atractylodi	s Macrocephalae; (b) qu	al.
identification of	Radix Re	hmannia Pre	parata; (c) qual. ident	ification of
Radix Codonopsis;	(d) quant	. identific	ation of astragaloside	A. The method
comprises thin lay	er chron	natog. and h	igh performance liquid	chromatog. The
quality control me	thod is	used in gra	nule, pill, tablet, cap	sule, syrup,

mistura, fluid extract and extract IT

67-47-0, 5-Hydroxymethyl furfural

RL: ANT (Analyte); ANST (Analytical study)

(quality control method for Lujiao Buxue preparation)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

- сн<sub>2</sub>— он

ANSWER 23 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1120547 CAPLUS

DOCUMENT NUMBER:

145:454935

TITLE:

Bis-(coumarin) compounds with antiinflammatory activity and their preparation, pharmaceutical

compositions and use in the treatment of asthma and

inflammatory diseases

INVENTOR(S):

Mercep, Mladen; Malnar, Ivica; Hrvacic, Boska; Markovic, Stribor; Filipovic Sucic, Anita; Bosnjak, Berislav; Cempuh Klonkay, Andreja; Rupcic, Renata; Hutinec, Antun; Elenkov, Ivaylo Jivkov; Mesic, Milan

PATENT ASSIGNEE(S):

GlaxoSmithKline Istrazivacki Centar Zagreb D.O.O.,

Croatia

SOURCE:

PCT Int. Appl., 161pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE		
	2006 2006						2006		1	WO 2	006-	IB12	59		2	0060	113
	₩:	AE, CN, GE, KZ,	AG, CO, GH, LC,	AL, CR, GM, LK,	AM, CU, HR, LR,	AT, CZ, HU, LS,	AU, DE, ID, LT,	DK, IL, LU,	DM, IN, LV,	DZ, IS, LY,	EC, JP, MA,	EE, KE, MD,	EG, KG, MG,	ES, KM, MK,	FI, KN, MN,	GB, KP, MW,	GD, KR, MX,
		SG,	SK,	SL,		SY,	NZ, TJ,										
	RW:	IS, CF,	IT, CG,	LT,	LU, CM,	LV, GA,	CZ, MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
FD	1846	KG,	KZ,	•	RU,	ТJ,	TM,	AP,	EA,	EP,	OA	·	·			0060	
		AT, IS,	BE,	LI,	CH,	CY,	CZ, LV,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
PRIORITY	APP	•	•	•			•		1	US 2	005-	6477	93P	, ] ]	P 2	0050: 0050: 0060:	127

OTHER SOURCE(S):

MARPAT 145:454935

GI

$$R^2$$
 $R^2$ 
 $R^2$ 
 $R^2$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 

Certain bis-(coumarin) compds. of formula I as well as the products of AB their intramol. cyclization including pharmaceutically acceptable salts, hydrates, solvates, clathrates, prodrugs, tautomers and stereoisomers thereof are disclosed. Certain processes and intermediates for the preparation of certain bis-(coumarin) compds., as well as for the use of these compds. as therapeutically active agents in the prophylaxis and treatment of asthma and other inflammatory diseases and conditions in mammals, especially humans are also disclosed. Compds. of formula I wherein R1-R4 are independently H, F, Cl, Br, C1-4 (halo)alkyl, C2-4 alkenyl, C2-4 alkynyl, OH, Cl-4 alkoxy, CF3, Cl-4 alkanoyl, amino, (mono/di)Cl-4 alkylamino, SH, C1-4 alkylthio, sulfo, C1-4 alkylsulfo, sulfino, C1-4 alkylsulfino, carboxy, C1-4 alkoxycarbonyl, CN and NO2; A is CO, CH-X, and C=NR5; n is 0 and 1; X is OH, carboxy, acetyl, alkylcarbonyl, formyl, (un) substituted C1-6 alkyl, and C(=NR5)R6; R5 is Oh, alkoxy, amino alkylamino, aryl and arylamino; R6 is H and Me; and their pharmaceutically acceptable salts, solvates, tautomers, and stereoisomers thereof are claimed. Example compound II was prepared by condensation of 4-hydroxy-5-isopropyl-8-methylcoumarin with glyoxylic All the invention compds. were evaluated for their leukotriene B4 acid. inhibitory activity. Several of the tested compds. exhibited good inhibitory activity at 10  $\mu M$ .

Ι

IT 67-47-0, 5-(Hydroxymethyl)furan-2-carboxaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of biscoumarin compds. useful in prophylaxis and treatment of asthma and other inflammatory diseases)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 24 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1093311 CAPLUS

DOCUMENT NUMBER:

145:437232

TITLE:

Polyclonal and monoclonal antibodies specific to

advanced glycosylation end product for immunoassay and

diagnosis of AGE-associated diseases

INVENTOR(S):

Yamamoto, Takashi; Kimura, Yuko

PATENT ASSIGNEE(S):

Jms Co., Ltd., Japan PCT Int. Appl., 41pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO	ο.	KIND	DATE	APPLICATION NO.	DATE .
WO 200610	09599	A1	20061019	WO 2006-JP306906	20060331
W: 2	AE, AG, AL,	AM, AT	, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
(	CN, CO, CR,	CU, CZ	, DE, DK,	DM, DZ, EC, EE, EG,	ES, FI, GB, GD,
(	GE, GH, GM,	HR, HU	, ID, IL,	IN, IS, KE, KG, KM,	KN, KP, KR, KZ,
]	LC, LK, LR,	LS, LT	, LU, LV,	LY, MA, MD, MG, MK,	MN, MW, MX, MZ,
1	NA, NG, NI,	NO, NZ	, OM, PG,	PH, PL, PT, RO, RU,	SC, SD, SE, SG,
\$	SK, SL, SM,	SY, TJ	, TM, TN,	TR, TT, TZ, UA, UG,	US, UZ, VC, VN,
3	YU, ZA, ZM,	ZW			
RW: A	AT, BE, BG,	CH, CY	, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
				PL, PT, RO, SE, SI,	
	CF, CG, CI,	CM, GA	, GN, GQ,	GW, ML, MR, NE, SN,	TD, TG, BW, GH,
				SL, SZ, TZ, UG, ZM,	
]	KG, KZ, MD,	RU, TJ	, TM		
JP 20063	12621	A	20061116	JP 2006-94937	20060330
EP 18676	59	A1	20071219	EP 2006-730854	20060331
R: 2	AT, BE, BG,	CH, CY	, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,
	IS, IT, LI,	LT, LU	, LV, MC,	NL, PL, PT, RO, SE,	SI, SK, TR
	94950			KR 2007-717760	
PRIORITY APPLI	N. INFO.:			JP 2005-108623	A 20050405
				WO 2006-JP306906	W 20060331

Disclosed is an antibody against an AGE derived from a carbonyl compound which is highly reactive with a protein or peptide. Also disclosed is a method for detecting the AGF. 3,4-dideoxyglucosone-3-ene (3,4-DGE) is reacted with a protein to produce a reaction product AGE. A host animal is immunized with the AGE, the serum is collected from the host animal, and an antibody against the AGE (anti-AGE antibody) is isolated from the serum. The presence or content of a AGE in a sample can be determined by allowing the isolated anti-AGE antibody to react with the sample and detecting the antigen-antibody reaction between the AGE and the anti-AGE antibody in the sample.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: BSU (Biological study, unclassified); BIOL (Biological study) (polyclonal and monoclonal antibodies specific to advanced glycosylation end product for immunoassay and diagnosis of AGE-associated diseases)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 6 THEF

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1079184 CAPLUS

DOCUMENT NUMBER:

146:407710

TITLE:

Experimental study on analysis of ingredients of

Jianguerxian gum

AUTHOR(S): Wang, Li-xin; Dang, Xiao-wu; Li, Quan; Qing, Mao-sheng

CORPORATE SOURCE: Department of Orthopedics, Shenzhen Hospital of TCM,

Shenzhen, Guangdong, 518033, Peop. Rep. China

SOURCE: Zhongyiyao Xuebao (2006), 34(3), 29-30

CODEN: ZXHUCP; ISSN: 1002-2392

PUBLISHER: Zhongyiyao Xuebao Bianji Weiyuanhui

DOCUMENT TYPE: Journal LANGUAGE: Chinese

The aim of the paper was to analyze the ingredients of Jianguerxian gum. Gas chromatog. combined with mass spectrometry, HPLC, and flame atomic absorption spectrometry were used. The results from gas chromatog. combined with mass spectrometry showed that Jianguerxian gum mainly included C6H8O4, C6H6O3, C7H1ON2O2, C14H28O2, C16H32O2, C18H34O2, C18H36O2, etc, wherein the content of protein was the highest (21.18%). The content of calcium was only 4.66%, and vitamin D was no detectable. In conclusion, the therapeutic mechanism of Jianguerxian gum against osteoporosis was not supplement of vitamin D and calcium.

IT 67-47-0, 5-Hydroxymethyl-2-furan-carboxaldehyde
RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL
(Biological study); OCCU (Occurrence); USES (Uses)
(medicine ingredients anal. of Jianguerxian gum)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 26 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1065275 CAPLUS

DOCUMENT NUMBER: 147:15558

TITLE: Comparative analysis of steam distillation extraction

method and supercritical CO2 fluid extraction method for extracting volatile oil from Ephedra sinica by gas

chromatography-mass spectrometry

AUTHOR(S): Lao, Yan-xia; Chen, Kang; Lin, Wen-jin; Lin, Li

CORPORATE SOURCE: Guangzhou Wang Lao Ji Pharm. Com. Ltd., Guangzhou,

Guangdong, 510450, Peop. Rep. China

SOURCE: Xiandai Zhongyao Yanjiu Yu Shijian (2005), 19(2),

53-56

CODEN: XZYYAA

PUBLISHER: Xiandai Zhongyao Yanjiu Yu Shijian Zazhishe

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB This paper compared the chemical components and their relative contends of volatile oil extracted from Ephedra sinica by the methods of steam distillation (SD)

and supercrit. CO2 fluid extraction (SFE-CO2). This paper extracted the volatile

oil of Ephedra sinica by steam distillation (SD) and supercrit. CO2 fluid extraction

(SFE-CO2), then analyzed the extns. by gas chromatog.-mass spectrometry (GC-MS). The chemical components and their relative contends of volatile oil extracted by the above two methods were different. SFE-CO2 was superior to SD in increasing yield and shoring extractive time, and was a good method for the extraction of volatile oil from Ephedra sinica.

IT 67-47-0P, 5-Hydroxymethyl-2-furaldehyde

RL: ANT (Analyte); PUR (Purification or recovery); ANST (Analytical study); PREP (Preparation)

(comparative anal. of steam distillation extraction method and supercrit.

fluid extraction method for extracting volatile oil from Ephedra sinica by gas

chromatog.-mass spectrometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 27 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1030825 CAPLUS

DOCUMENT NUMBER:

145:383525

TITLE:

Xanthine oxidase inhibitors for the treatment of

hyperuricemia and gout

INVENTOR(S):

Suwa, Yoshihide; Koshimizu, Seiichi; Nukaya, Haruo

PATENT ASSIGNEE(S): Suntory, Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 13pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE ·	APPLICATION NO.	DATE
PRIO	JP 2006265174 RITY APPLN. INFO.:	Α	20061005	JP 2005-85755 JP 2005-85755	20050324 20050324
AB	the treatment of hy and oral pharmaceut The xanthine oxidas 3,4,5-trihydroxyben acid, vanillin, con 5-hydroxymethyl-2-f	peruric icals c e inhib zyl alc iferyl uraldeb	emia and gou containing th citors includ ., 1,2,3-ben aldehyde, ci yde.	s which are safely used t; and foods, beverages e xanthine oxidase inho e 3,4,5-trihydroxybenza zenetriol carboxaldehyd nnamic aldehyde, and	s, cosmetics, ibitors. aldehyde,
IT	activity); THU (The	se); FF rapeuti	D (Food or f c use); BIOL	eed use); PAC (Pharmaco (Biological study); Us eatment of hyperuricem	SES (Uses)
RN	67-47-0 CAPLUS				

L3 ANSWER 28 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1028121 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

DOCUMENT NUMBER:

147:125994

TITLE:

CN

Identification of liposoluble components of Ophiopogon

japonicus by GC-MS

AUTHOR(S):

Zhang, Xiao-yan; Zhang, Zhi-jie; Wu, Lu-ling; Zhang,

Xu; Cai, Bao-chang

CORPORATE SOURCE:

Jiangsu Provincial Research Center for Quality Control

of Chinese Medicine, Nanjing University of Traditional Chinese Medicine, Nanjing, 210029, Peop. Rep. China

SOURCE:

Zhongguo Xinyao Zazhi (2006), 15(15), 1281-1282, 1306

CODEN: ZXZHA6; ISSN: 1003-3734

PUBLISHER: Zhongguo Xinyao Zazhi Youxian Gongsi

DOCUMENT TYPE: Journal LANGUAGE: Chinese

This paper was aimed to analyzing the liposol. components of Ophiopogon japonicus. GC-MS was used to identify the chemical components of trichloromethane exts. of Ophiopogon japonicus. The structures of the identified components were confirmed by anal. of MS spectra and indexing of NIST98 spectral database. The GC-MS condition was optimized and thirty-two compds. were obtained. The GC-MS technique laid a basis for further anal. of active components of Ophiopogon japonicus.

IT 67-47-0, 5-Hydroxymethyl-2-furfural

RL: ANT (Analyte); NPO (Natural product occurrence); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(identification of liposol. components in Ophiopogon japonicus by gas chromatog. combined with mass spectrometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

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L3 ANSWER 29 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:998323 CAPLUS

DOCUMENT NUMBER: 146:13381

TITLE: Determination of degradation product 5-HMF in

vinpocetine and glucose injection by HPLC

AUTHOR(S): Huang, Xunming

CORPORATE SOURCE: Hainan Tianya Pharmaceutical Factory, Haikou, 571159,

Peop. Rep. China

SOURCE: Huaxi Yaoxue Zazhi (2005), 20(6), 546-547

CODEN: HYZAE2; ISSN: 1006-0103

PUBLISHER: Huaxi Yike Daxue Yaoxueyuan

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB Objective: to establish a method for the determination of the degradation product

5-hydroxymethylfurfural (5-HMF) in vinpocetine and glucose injection. Methods: HPLC with Kromasil ODS-1 C18 column (4.6 mm x 250 mm) and UV detector was used. The mobile phase was 75:25 0.2% H3PO4-methanol, flow rate 1.0 mL/min, and detection wavelength 284 nm. External standard method was used for the assay of 5-HMF. Results: the linear range for 5-HMF was 1.0-20.0  $\mu$ g/mL, detection limit 7.7 ng/mL, recovery of standard addition 99.5%, and RSD 1.0 % (n = 5). Conclusion: the method is simple, reliable, and accurate, and can be used for the determination of degradation product

5-HMF in vinpocetine and glucose injection.

TT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); FMU (Formation, unclassified); ANST (Analytical study); FORM (Formation, nonpreparative)

(determination of degradation product 5-HMF in vinpocetine and glucose injection by

HPLC)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ANSWER 30 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:737189 CAPLUS

DOCUMENT NUMBER:

145:404012

TITLE:

Chinese medical composition and its preparation and

quality control methods

INVENTOR(S):

Xu, Ming

PATENT ASSIGNEE(S):

Beijing Kairui Innovative Pharmaceutical Science and

Technology Co., Ltd., Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1803180	A	20060719	CN 2005-10200030	20050113
PRIORITY APPLN. INFO.:			CN 2005-10200030	20050113
AB The Chinese medical	compos	ition is mad	le from cooked Rehmannia	glutinosa
450-550, yam 200-25	0, Pori	a cocos 120-	160, tree peony bark 12	0-160, Alisma
orientalis 120-160,	Polyga	la sibirica	120-160, dragon bone (0	s Draconis,
animal bone fossil)	350-40	0, Ligustrum	lucidum 200-250, Phell	odendron
amurense 120-160, A	nemarrh	ena asphodel	oides 60-80, Chinese ma	gnolcavine
fruit 60-80 and Aco	rus tat	arinowii 200	-250 weight part. The	invention
relates to preparat	ion of	Chinese medi	cal composition, such a	s granule,
capsule,				

soft capsule, pill or tablet, by water extraction The 5-hydroxymethylfurfural, sarsasapogenin, paeoniflorin and berberine hydrochloride in the medical composition are all identified by TLC on silica gel G plate with petroleum ether-Et acetate(1:1), toluene-acetone(9:1), Et acetate-methanolwater(10:3:1) and toluene-Et acetate-isopropanol-methanolammonia(6:3:1.5:1.5:0.5) as developing agent resp. The berberine hydrochloride content in the medical composition is determined by HPLC on octadecyl

silane column at 265 nm with 0.05 mol/L potassium dihydrogen phosphate-acetonitrile(75:25) as mobil phase. The invention also relates to use of the Chinese medical composition for preparing drugs for treating hyperactivity in children.

67-47-0, 5-Hydroxymethylfurfural TT

RL: ANT (Analyte); PAC (Pharmacological activity); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(Chinese medical composition and its preparation and quality control

methods)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

CH2-OH

ANSWER 31 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN  $L_3$ ACCESSION NUMBER: 2006:620081 CAPLUS

DOCUMENT NUMBER: 145:152921

TITLE: Method for simultaneously detecting contents of

protocatechuic acid and 5-hydroxymethylfurfural in

shengmai injection with HPLC

INVENTOR(S): Zeng, Xiaochun

PATENT ASSIGNEE(S): Yaan Sanjiu Pharmaceutical Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 10 pp.

CODEN: CNXXEV

Patent

DOCUMENT TYPE:

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
CN 1790013	Α	20060621	CN 2004-10081501	20041216	
PRIORITY APPLN. INFO.:			CN 2004-10081501	20041216	
			the second secon	1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 - 1 -	

AB The title method comprises recrystg. crude protocatechuic acid with acetone and dichloromethane, vacuum-drying at 80°C, and quantitating by electrotitration with 0.1M NaOH solution and HPLC, wherein mobile phase and fillers are acetonitrile/ammonium acetate/glacial acetic acid and octadecyl silane bonding silica gel resp. The contents of protocatechuic acid and 5-hydroxymethylfurfural in Shengmai injection are determined by external standard method. The method has the advantages of

accuracy and simplicity.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(method for simultaneously detecting contents of protocatechuic acid and 5-hydroxymethylfurfural in shengmai injection with HPLC)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 32 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:529715 CAPLUS

DOCUMENT NUMBER: 145:195937

TITLE: Comparison of the volatile compounds of Atractylodes

medicinal plants by headspace solid-phase

microextraction-gas chromatography-mass spectrometry
AUTHOR(S): Guo, Fang-Qiu; Huang, Lan-Fang; Zhou, Shao-Yun; Zhang,

Tai-Ming; Liang, Yi-Zeng

CORPORATE SOURCE: College of Chemistry and Chemical Engineering,

Research Center for Modernization of Chinese Herbal Medicine, Central South University, Changsha, 410083,

Peop. Rep. China

SOURCE: Analytica Chimica Acta (2006), 570(1), 73-78

CODEN: ACACAM; ISSN: 0003-2670

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Atractylodes macrocephala (baizhu) and Atractylodes lancea (cangzhu), which are two famous Atractylodes medicinal plants, have traditionally been used as important ingredient of several Chinese herbal medicines. The volatile constituents are the main active components in them. To avoid the traditional and time-consuming hydrodistn., the analyses of

volatile components in baizhu and cangzhu were carried out by means of headspace solid-phase microextn. (HS-SPME) coupled to gas chromatog.-mass spectrometry (GC-MS). The headspace volatiles were collected using a polydimethylsiloxane-divinylbenzene (PDMS-DVB, 65  $\mu\text{m}$ ) fiber. The extraction conditions including extraction temperature, equilibrium time, extraction time and desorption

time were optimized using the total peak areas as index. The best response was obtained when the extraction temperature, equilibrium time, extraction time and

desorption time were 70°, 30, 30 and 4 min, resp. Thirty-six components representing 90.72% of the total peak areas of baizhu were identified. The highest content component of the HS-SPME sample of baizhu was atractylone (40.12%). For cangzhu, 56 components representing 90.38% of the total peak areas of cangzhu were identified and the highest content component of the HS-SPME sample of cangzhu was eudesma-4(14),11-diene (16.49%). This study showed that baizhu and cangzhu have 23 common components. The result suggested the developed method could be used to compare the similarities and differences between the above-mentioned two Chinese herbs.

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL
(Biological study); OCCU (Occurrence); USES (Uses)

(comparison of volatile compds. of Atractylodes medicinal plants by headspace solid-phase microextn.-gas chromatog.-mass spectrometry)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 33 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:383706 CAPLUS

DOCUMENT NUMBER: 144:412277

TITLE: Preparation of novel curcumin analogs for use in

pharmaceutical compositions as androgen

receptor antagonists

INVENTOR(S): Lee, Kuo-Hsiung; Lin, Li; Shih, Charles C-Y.; Su,

Ching-Yuan; Ishida, Junko; Ohtsu, Hironori; Wang,

Hui-Kang; Itokawa, Hideji; Chang, Chawnshang

PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D :	DATE			APPL	ICAT	ION I	NO.		D	ATE	
						-									-		
WO	2006	0443	79		A2		2006	0427	1	WO 2	005-1	US36!	522		2	0051	012
WO	2006	0443	79		<b>A</b> 3		2006	0615									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK	ST.	SM.	SY	T.T	TM.	TN	TR	TT	TZ	ITA .	UG.	US.	UZ.	VC.	VN.

YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2005187255 A1 20050825 US 2004-966723 20041015 20060427 AU 2005-295876 20051012 AU 2005295876 A1 20060427 CA 2005-2583943 20051012 CA 2583943 A1 20070627 EP 2005-815933 20051012 EP 1799213 A2 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR 20051012 CN 2005-80042393 CN 101076336 Α 20071121 US 2004-966723 A 20041015 PRIORITY APPLN. INFO.: A1 20020417 US 2002-124642 WO 2003-US9350 A2 20030327 WO 2005-US36522 W 20051012

OTHER SOURCE(S):

MARPAT 144:412277

$$R^1$$
 $R^2$ 
 $R^2$ 
 $R^4$ 

Curcumin analogs, such as I [R1-4 = H, OH, alkoxy, etc.], were prepared for AB therapeutic use as as androgen receptor antagonists. These curcumin analogs were claimed for use in the treatment of androgen-related disorders which may include cancers of the colon, skin and prostate, as well as for treatment of baldness, hirsutism, behavioral disorders, acne and uninhibited spermatogenesis wherein inhibition of spermatogenesis is so desired. Thus, curcumin I (R1 = R3 = OMe, R2 = R4 = OH) was O-methylated using diazomethane in Et2O and MeOH to give di-O-methylated curcumin derivative I (R1 = R2 = R3 = R4 = OMe) with 19.8% yield. The prepared curcumin analogs were assayed for androgen receptor transactivation and for their effect on LNCaP cell growth.

67-47-0 TT

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of novel curcumin analogs for use in pharmaceutical compns. as androgen receptor antagonists)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC CH2-OH

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 34 OF 122

2006:377802 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

145:306268

TITLE:

Effects of Eucommia ulmoides Oliver leaf extract on

3T3-L1 differentiation into adipocytes

Matsuda, Eriko; Yoshizawa, Yuko; Yokosawa, Yuki; AUTHOR (S):

Watanabe, Naomi; Kawaii, Satoru; Murofushi, Noboru

Laboratory of Bio-organic Chemistry, Akita Prefectural CORPORATE SOURCE:

University, Akita, 010-0195, Japan

Journal of Natural Medicines (2006), 60(2), 126-129 SOURCE:

CODEN: JNMOBN

PUBLISHER: Springer Tokyo

Journal DOCUMENT TYPE: English LANGUAGE:

The extract prepared from roasted Eucommia ulmoides Oliver leaves, Du-Zhong tea, was examined to explore its effect on differentiation of mouse 3T3-L1 preadipocyte cells into adipocytes. The boiling water extract of Du-Zhong tea inhibited lipid accumulation in 3T3-L1. The HPLC anal. of the extract identified catechin, protocatechuic acid, pyrogallol, and chlorogenic Catechin weakly inhibited lipid accumulation after 3T3-L1 differentiation, while protocatechuic acid and chlorogenic acid showed almost no effect. The activity guided separation of Du-Zhong tea lead the isolation of 5-hydroxymethyl-2-furaldehyde (HMF). HMF inhibited lipid accumulation at a concentration of 100  $\mu\text{M}$ , and the amount of lipid in the

was reduced to the similar level of neg. control. This is the first isolation of HMF from Du-Zhong tea and the first observation of its effect on 3T3-L1 differentiation.

IT 67-47-0P, 5-Hydroxymethyl-2-furaldehyde

RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)

(5-hydroxymethyl-2-furaldehyde inhibited lipid accumulation in 3T3-L1 cell)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 35 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER: 2006:319955 CAPLUS

DOCUMENT NUMBER: 144:310953

Evaluation of front-face fluorescence for assessing TITLE:

thermal processing of milk

Schamberger, Gerry P.; Labuza, Theodore P. AUTHOR (S):

CORPORATE SOURCE: Dept. of Food Science and Nutrition, Univ. of

Minnesota, St. Paul, MN, 55108, USA

Journal of Food Science (2006), 71(2), C69-C74 SOURCE:

> CODEN: JFDSAZ; ISSN: 0022-1147 Institute of Food Technologists

PUBLISHER: Journal DOCUMENT TYPE:

English LANGUAGE:

The use of front-face fluorescence spectroscopy (FFFS) was assessed for its ability to monitor the development of Maillard browning in milk during thermal processing. Skim milk was processed using a Microthermics thermal processing system for a range of conditions from 70 °C to 140 °C from 3 to 30 s. Milk was analyzed using FFFS, Hunter L\*, a\*, b\*, hydroxymethylfurfural (HMF), tryptophan, and optical d. FFFS and HMF were found to be the most sensitive methods for distinguishing the heat treatment of milk. Activation energies of 126 and 190 kJ/mol were found for HMF and FFFS, resp. A strong correlation was found between these 2 methods. As a fast nonpreparatory method, FFFS is quite useful for

evaluating the effect on the 1st stages of the Maillard reaction caused by the heat processing of milk. This work indicates that FFFS with no sample preparation has the potential to be of use as an online instrument for monitoring and control of thermal processing of milk; it can be applied as a process anal. technol. (PAT) as is being done in the pharmaceutical industry with other methods.

IT 67-47-0, Hydroxymethylfurfural

RL: ANT (Analyte); ANST (Analytical study)

(Maillard browning in thermal processed milk assessed by front-face fluorescence)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС ОН2-ОН

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:212297 CAPLUS

DOCUMENT NUMBER: 144:274134

TITLE: Preparation of isoindolin-1-one derivatives that

inhibit the MDM2-p53 interaction for use against

cancer

INVENTOR(S): Willems, Hendrika Maria Gerarda; Kallblad, Per;

Hardcastel, Ian Robert; Griffin, Roger John; Golding,

Bernard Thomas; Lunec, John; Nobel, Martin E. M.;

Newell, David R.; Calvert, Alan H.

PATENT ASSIGNEE(S): Cancer Research Technology Limited, UK

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2006024837	A1 20060309	WO 2005-GB3345	20050826			
		BA, BB, BG, BR, BW,				
		DM, DZ, EC, EE, EG,				
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG,	KM, KP, KR, KZ,			
		MA, MD, MG, MK, MN,				
NG, NI, NO,	NZ, OM, PG, PH,	PL, PT, RO, RU, SC,	SD, SE, SG, SK,			
SL, SM, SY,	TJ, TM, TN, TR,	TT, TZ, UA, UG, US,	UZ, VC, VN, YU,			
ZA, ZM, ZW						
RW: AT, BE, BG,	CH, CY, CZ, DE,	DK, EE, ES, FI, FR,	GB, GR, HU, IE,			
IS, IT, LT,	LU, LV, MC, NL,	PL, PT, RO, SE, SI,	SK, TR, BF, BJ,			
CF, CG, CI,	CM, GA, GN, GQ,	GW, ML, MR, NE, SN,	TD, TG, BW, GH,			
· GM, KE, LS,	MW, MZ, NA, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,			
	RU, TJ, TM					
		AU 2005-278962				
		CA 2005-2578955				
		EP 2005-782577				
		DK, EE, ES, FI, FR,				
IS, IT, LI,	LT, LU, LV, MC,	NL, PL, PT, RO, SE,				
PRIORITY APPLN. INFO.:		GB 2004-19481				
		WO 2005-GB3345	W 20050826			
OTHER SOURCE(S):	MARPAT 144:2741	34				

$$R^{4-R^{7}}$$
 $R^{1}$ 
 $R^{1}$ 

Disclosed are isoindolin-1-one derivs. (shown as I; variables defined AB below; e.g. 2-benzyl-3-(3-Hydroxypropoxy)-3-phenyl-2,3-dihydro-1H-isoindol-1-one (shown as II)) or a prodrug and/or pharmaceutically acceptable salt thereof that inhibit the MDM2-p53 interaction and are useful against cancer (e.g. osteosarcoma). For I: X = O, N or S; R1 = H, halo, hydroxy, (un) substituted alkyl, (un) substituted hydroxyalkyl, (un) substituted alkylamine, alkoxy, (un) substituted aryl or heteroaryl, and (un) substituted aralkyl or heteroaralkyl; R2 = H, halo, hydroxy, (un) substituted alkyl, (un) substituted hydroxyalkyl (un) substituted alkylamine, alkoxy, (un) substituted aryl or heteroaryl, and (un) substituted aralkyl or heteroalkyl; R3 = H, halo, hydroxy, (un) substituted alkyl, (un) substituted hydroxyalkyl, (un) substituted alkylamine, alkoxy, (un) substituted aryl or heteroaryl, and (un) substituted aralkyl or heteroalkyl; and R4-R7 = H, OH, alkyl, alkoxy, alkylamine, hydroxyalkyl, halo, CF3, NH2, NO2, COOH, C:O. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared (35 %) from 2-Benzyl-3-chloro-3-phenyl-2,3-dihydro-1H-isoindol-1-one (preparation described) and 1,3-propanediol.

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1317104 CAPLUS

DOCUMENT NUMBER:

2005:131/104 CAPI

TITLE:

Determination of chemical constituents in Rhizoma

Acori Tatarinowii decoction by GC-MS

AUTHOR(S): Wei, Gang; Lin, Shuangfeng; Fang, Yongqi

CORPORATE SOURCE: First Affiliated Hospital, Guangzhou University of

Traditional Chinese Medicine, Guangzhou, 510405, Peop.

Rep. China

SOURCE: Guangzhou Zhongyiyao Daxue Xuebao (2005), 22(2),

147-149

CODEN: GZDXFQ; ISSN: 1007-3213

PUBLISHER:

Guangzhou Zhongyiyao Daxue Xuebao Bianjibu Journal

DOCUMENT TYPE:

LANGUAGE:

Chinese

The main chemical constituents in decoction and concentrated decoction of Rhizoma

Acori Tatarinowii (RAT) were analyzed by gas chromatog.-mass spectrometry (GC-MS). RAT was decocted and concentrated in the pottery for two times, and then 6 batches of the decoction and their concentrated decoction were analyzed by GC-MS. Five components in a higher amount were found in the first and second decoction of RAT, including:  $\beta$ -asarone,  $\alpha$ -asarone, 2,3-dihydro-3,5-dihydroxy-6-methyl-4H-pyran-4-one, 5-hydroxymethylfurfural and acoramone. The contents of volatile components,  $\alpha$ -asarone and β-asarone, were lower, and those of water-soluble components were higher in the concentrated decoction of RAT. The therapeutic effects of RAT were the coaction of the multiple components in RAT and related not only with the volatile components but also with the water-soluble components. More attention should be paid to the difference of the components in the clin. used decoction and in the concentrated decoction, which was generally used in the research of new Chinese herbal medicine.

67-47-0, 5-Hydroxymethylfurfural TT

RL: ANT (Analyte); ANST (Analytical study)

(determination of chemical constituents in Rhizoma Acori Tatarinowii decoction by

GC-MS)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 38 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2005:1240986 CAPLUS

INVENTOR(S):

144:22906

TITLE:

Preparation of fused heterocycle kinase inhibitors for treatment of protein tyrosine kinase-related diseases Cusack, Kevin; Salmeron-Garcia, Jose-Andres; Gordon, Thomas D.; Barberis, Claude E.; Allen, Hamish J.; Bischoff, Agniezka K.; Ericsson, Anna M.; Friedman,

Michael M.; George, Dawn M.; Roth, Gregory P.;

Talanian, Robert V.; Thomas, Christine; Wallace, Grier

A.; Wishart, Neil; Yu, Zhengtian

PATENT ASSIGNEE(S):

SOURCE:

Abbott Laboratories, USA PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ ----\_ \_ \_ \_ \_ \_ \_ \_\_\_\_\_ WO 2005110410 A2 20051124 WO 2005110410 A3 20070329 WO 2005-US16903 20050513 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,

NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,

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SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
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         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                             CA 2005-2566158
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                          A1
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     US 2006074102
                          A1
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                                             EP 2005-778736
                                                                     20050513
                                20070221
     EP 1753428
                          A2
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             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU
                                             JP 2007-513433
                                                                     20050513
     JP 2007537296
                                 20071220
                                             US 2004-571281P
                                                                  Р
                                                                     20040514
PRIORITY APPLN. INFO.:
                                             WO 2005-US16903
                                                                  W
                                                                     20050513
                         MARPAT 144:22906
OTHER SOURCE(S):
GI
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The invention is related to the preparation of fused heterocycles of formula I AΒ [A, B = independently N, S, O, a bond, etc.; D = C, N, S, O, C:C; U, V, W = independently CH and derivs., N; Y = a bond, CONH2 and derivs., SO, etc.; Z = H, halo, CN, etc.; X1 = a bond, halo, O, SO, NHSO2, etc.; R1 = abond, (un) substituted benzofuranyl, benzimidazolyl, pyrrolyl, etc.; when R1 is not a bond, then X2 = a bond, O,S, NHCO and derivs., aliphatic group, etc.; or when R1 = a bond, then X2 = a bond and R2 is not a bond; R2 = a bond or (un) substituted benzoxazolyl, Ph, etc.; with provisos; and with the exception of certain compds.], and their pharmaceutically acceptable salts as inhibitors of kinases, particularly COT or MK2 kinases. The invention is also related to the use of certain compds. I as inhibitors of angiogenic receptor tyrosine kinases. Thus, reacting 4-(3-aminophenyl)thieno[2,3-c]pyridine-2-carboxamide with cyclopropanecarboxaldehyde gave thienopyridine II. All compds. I significantly inhibit either COT or MK2 at concns. of 50  $\mu M$  or below. 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde IT RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of fused heterocycles as kinase inhibitors) RN 67-47-0 CAPLUS 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

$$\mathsf{OHC} \underbrace{\hspace{1cm} \mathsf{CH}_2 - \mathsf{OH}}_{}$$

ANSWER 39 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:1117211 CAPLUS

DOCUMENT NUMBER:

143:399848

TITLE:

Use of 5-hydroxymethyl furaldehyde in preparation of

medicines for treating nervous system diseases

INVENTOR(S):

Li, Lin; Wei, Haifeng; Zhang, Lan; Zhao, Ling; Chu,

Jin

PATENT ASSIGNEE(S):

Xuanwu Hospital Attached To Capital University of

Medical Sciences, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.

APPLICATION NO.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	CN 1565438	Α	20050119	CN 2003-146245	20030704
PRIO	RITY APPLN. INFO.:		•	CN 2003-146245	20030704
AB	The invention relat	es to t	the use of 5	-hydroxymethyl furald	lehyde and its
	derivs in the pres	paration	of medicin	es for preventing and	d/or treating nervous
	system diseases.	The comm	ds. are eff	ective in relieving i	schemia and
	hypoxia of nerves	and fund	tional dist	urbances caused by ne	erve injury,
	allewisting edema	of nerve	cells, enh	ancing the function of	of scavenging
	free radicals are	zenting	the damages	due to free radicals	s, and reducing
	rilee laurears, pre-	Fnerve	cella The	invention also provi	des
	carcium overroad o	ong cor	taining 5-h	ydroxymethyl furaldel	ovde or its
	pnarmaceutical com	ins. cor	ne and the	application of 5-hydr	coxymethyl
	derivs. as active	ingreale	ent and the	application of 5-nyur	ent of nervous
		derivs.	. in the pre	vention and/or treatm	ment of hervous
	system diseases.				
TΫ́	67-47-0 5-Hydroxy	nethvl 1	Euraldehyde		

67-47-0, 5-Hydroxymethyl furaldehyde IT RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (use of 5-hydroxymethyl furaldehydes in preparation of medicines for treating nervous system diseases)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 40 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:1004745 CAPLUS

DOCUMENT NUMBER:

143:306333

TITLE:

Production of 8-[3-aminopiperidin-1-yl]xanthine derivatives and their use as DPP-IV inhibitors

INVENTOR (S):

Himmelsbach, Frank; Langkopf, Elke; Eckhardt,

Matthias; Tadayyon, Mohammad; Thomas, Leo

PATENT ASSIGNEE(S):

Boehringer Ingelheim International G.m.b.H., Germany; Boheringer Ingelheim Pharma G.m.b.H. & Co. K.-G.

PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

SOURCE:

Patent

German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO.

DATE

DATE

PATENT NO.

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WO 2005085246
                                20050915
                                           WO 2005-EP1427
                                                                    20050212
                         A1
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
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                                          EP 2005-707354
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                                             CN 2005-80005423
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                                20070724
                                             BR 2005-7873
    BR 2005007873
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                                             JP 2006-553504
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    JP 2007522251
                          T
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                                                                    20060609
    NO 2006002688
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                          Α
                                                                    20060719
                                20070713
                                             IN 2006-DN4175
    IN 2006DN04175
                          Α
                                             MX 2006-PA9289
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                                20061009
    MX 2006PA09289
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                                20070111
                                             KR 2006-719124
                                                                    20060918
    KR 2007006780
                          Α
                                             DE 2004-102004008112A
                                                                    20040218
PRIORITY APPLN. INFO.:
                                                                    20040317
                                             DE 2004-102004012921A
                                                                    20040703
                                             DE 2004-102004032263A
                                                                 W 20050212
                                             WO 2005-EP1427
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OTHER SOURCE(S):

MARPAT 143:306333

I

$$C \equiv C - Me$$
 $C \equiv C - Me$ 
 $C \equiv C - Me$ 

II

III

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CH2C6H4-R', 2,6-dicyanobenzyl, 3,4-dicyanobenzyl, 3,5-dicyanobenzyl,
    2-(trifluoromethyl)-4-cyanobenzyl, 4-cyano-3-nitrobenzyl,
    2-cyano-3-methoxybenzyl, 2-cyano-4-methoxybenzyl, 2-cyano-5-methoxybenzyl,
    2-cyano-4-fluorobenzyl, 2-cyano-5-fluorobenzyl, 2-cyano-6-fluorobenzyl,
    3-cyano-4-fluorobenzyl, 4-cyano-3-fluorobenzyl, 4-cyano-2-fluorobenzyl,
    3-chloro-2-cyanobenzyl, 2-chloro-4-cyanobenzyl, 4-bromo-2-cyanobenzyl,
    2-fluoro-3-methoxybenzyl, 2-fluoro-4-methoxybenzyl, 2-fluoro-5-
    methoxybenzyl, 3-fluoro-4-methoxybenzyl, 3,4-dimethoxybenzyl,
    3,5-dimethoxybenzyl, 3,4-dimethoxy-6-fluorobenzyl, (benzo[1,3]dioxol-5-
    yl) methyl, (4-cyanobenzo[1,3]dioxol-5-yl) methyl, etc.; R' = 2-F, 3-F, 4-F,
    2,6-F2,3,4-F2, 2-C1, 3-C1, 4-C1, 2-CF3, 3-CF3, 4-CF3, 3-CF3O, 4-CF3O,
    2-CN, 3-CN, 4-CN, 2-MeO, 3-MeO, 4-MeO], and to its tautomers,
    stereoisomers, mixts. and pharmaceutically acceptable salts,
   said products exhibiting precious pharmacol. properties, in particular an
    inhibiting effect on a dipeptidylpeptidase-IV (DPP-IV) enzyme activity.
    The procedure for the preparation of I comprises: (a) reaction of xanthine II
     [Z1 = halogen, substituted OH, substituted SH, sulfinyl, sulfonyl,
    sulfonyloxy group] with (\pm)-, (R)- or (S)-3-aminopiperidine or (\pm)-,
     (R) - or (S) -3-(Boc-amino)piperidine [Boc = CO2CMe3] or their salts; and
     (b) dealkoxycarbonylation of protected 8-[3-aminopiperidin-1-yl]xanthine
    III [Boc = CO2CMe3]. Thus, (R)-I [R = \{4-(phenylamino) quinazolin-2-
    yl\{methyl; (\beta-NH2)\} was prepared from 8-bromo-3-methylxamthine via
    regioselective N-alkylation with 1-bromo-2-butyne in DMF containing Hunig's
    base, amination with (R)-3-(Boc-amino)piperidine in DMSO containing K2CO3,
    N-alkylation with 2-(chloromethyl)-4-(phenylamino)quinazoline in DMF
    containing Cs2CO3, and dealkoxycarbonylation in CH2Cl2 with HCl in
    isopropanol. The enzyme inhibiting activity of I [R =
     {4-(phenylamino)quinazolin-2-yl}methyl] was determined [IC50 = 6 nM]. Drug
    dosage forms (dragees, tablets, hard gelatins, suppositories, suspensions,
    ampuls) containing I were prepared
    67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
IT
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with methanesulfonyl chloride; preparation of
        8-[3-aminopiperidin-1-yl] xanthines and their use as DPP-IV inhibitors)
     67-47-0 CAPLUS
RN
     2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)
CN
            CH2-OH
```

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 41 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:673292 CAPLUS

DOCUMENT NUMBER:

143:172866

TITLE:

Preparation of isothiazole dioxides as CXC- and

CC-chemokine receptor ligands

INVENTOR(S):

Taveras, Arthur G.; Zheng, Junying; Biju, Purakkattle J.; Yu, Younong; Chao, Jianhua; Fine, Jay; Lundell, Daniel; Priestley, Tony; Reggiani, Angelo; Merritt, J. Robert; Baldwin, John J.; Lai, Gaifa; Wu, Minglang

Schering Corporation, USA; Pharmacopeia Drug PATENT ASSIGNEE(S):

Discovery, Inc.

PCT Int. Appl., 427 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

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APPLICATION NO.
    PATENT NO.
                        KIND
                               DATE
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                        A1
                               20050728
                                         WO 2004-US42720
    WO 2005068460
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
                                           CA 2004-2550540
                                                                  20041220
                               20050728
    CA 2550540
                         A1
                                           US 2004-17505
                                                                  20041220
    US 2006025453
                         A1
                               20060202
                                          EP 2004-814856
                                                                  20041220
    EP 1697354
                         A1
                               20060906
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,
            BA, HR, IS, YU
                                                                  20041220
                                           CN 2004-80041794
    CN 1918156
                         Α
                               20070221
                                           JP 2006-547206
                                                                  20041220
    JP 2007515489
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                               20070614
                               20060831
                                           MX 2006-PA7205
                                                                  20060622
    MX 2006PA07205
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                                                               P 20031222
PRIORITY APPLN. INFO.:
                                           US 2003-531693P
                                                              W 20041220
                                           WO 2004-US42720
                       MARPAT 143:172866
OTHER SOURCE(S):
GI
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- Disclosed are novel compds. I [D, E = N, CR50; provided that D and E are not the same (one is N and the other is CR50); R50 = H, CF3, CN, etc.; A = (hetero)aryl, (hetero)arylalkyl; B = (hetero)aryl] and the pharmaceutically acceptable salts and solvates thereof. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and cardiac reperfusion injury, pain (e.g., acute pain, acute and chronic inflammatory pain, and neuropathic pain) using a compound I. Although the methods of preparation are not claimed, hundreds of example prepns. and/or characterization data are included. For example, II was prepared in 68% yield from the isothiazoledioxide III and the amine IV.pTSA (preparation of reactants given). Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.
- IT 67-47-0
  - RL: RCT (Reactant); RACT (Reactant or reagent)
    (preparation of isothiazole dioxides as CXC- and CC-chemokine receptor ligands)
- RN 67-47-0 CAPLUS
- CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) (CA INDEX NAME)

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ANSWER 42 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:638859 CAPLUS

DOCUMENT NUMBER:

143:153384

TITLE:

Preparation of diaminothiadiazoles as CXC- and

CC-chemokine receptor ligands

INVENTOR(S):

Biju, Purakkattle J.; Taveras, Arthur G.; Yu, Younong; Zheng, Junying; Chao, Jianhua; Aki, Cynthia J.; Fine, Jay; Lundell, Daniel; Priestley, Tony; Reggiani,

Angelo; Merritt, J. Robert; Baldwin, John J. Schering Corporation, USA; Pharmacopeia Drug

Discovery, Inc.

SOURCE:

PCT Int. Appl., 593 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

F	PATENT NO.					KINI	D	DATE		APPLICATION NO.				D	ATE			
- W	WO 2005066147					A1	-	2005	0721		wo :	2004-1	JS42	060		2	0041	216
		. A	Ε.	AG.	AL.	AM.	AT.	AU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		C	п, И,	co,	CR.	כט,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
		G	Ε.	GH.	GM.	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		T.	-, К.	LR.	LS.	LT.	LU.	LV.	MA.	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		N	ο.	NZ.	OM.	PG.	PH.	PL,	PT,	RO,	RU	, sc,	SD,	SE,	SG,	SK,	SL,	SY,
		Т	J.	TM.	TN.	TR.	TT.	TZ.	UA,	υG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
	R	vi Bi	₩.	GH.	GM.	KE.	LS.	MW.	MZ,	NA,	SD	, SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,
-		. A	, Z.	BY.	KG.	KZ.	MD.	RU.	TJ.	TM,	ΑT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,
•		E	-, E.	ES.	FI.	FR.	GB.	GR.	HU.	IE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,
		R	ο.	SE.	SI.	SK.	TR	BF,	BJ,	CF,	CG	, CI,	CM,	GA,	GN,	GQ,	GW,	ML,
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Ī	EP 16	9465	9			A1						2004-						
-	R	· A	т.	BE.	CH.	DE.	DK.	ES.	FR.	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
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					IS,		,	,	•	•		•	•					
т	JS 20	-	•					2006	1005		US	2004-	1375	3		2	0041	216
	N 19							2007				2004-					0041	216
	JP 20							2007				2006-					0041	216
	4X 20							2006	0831		MX	2006-	PA70	76		2	0060	619
PRIOR									-		US	2003-	5313	11P		P 2	0031	219
FRIOR					•							2003-					0031	222
												2004-				W 2	0041	216
OTHER	SOUR	CE(S	):			MAR	PAT	143:	1533	84								

OTHER SOURCE(S):

GΙ

Disclosed are diaminothiadiazoles I [A = (hetero)aryl, (hetero)arylmethyl (substituted at CH2), etc.; B = (hetero)aryl] and the pharmaceutically acceptable salts and solvates thereof. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and ischemia reperfusion injury, acute pain, acute and chronic inflammatory pain, and neuropathic pain using I. Although the methods of preparation are not claimed, hundreds of example prepns. and/or characterization data are included. For example, II was prepared in 43% yield from its monooxide III (preparation given). Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of diaminothiadiazoles as CXC- and CC-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:504449 CAPLUS

DOCUMENT NUMBER:

143:83400

TITLE:

Plant extract and compound for treating endotoxin blood disease, and its extraction method and

application

10/531,714

INVENTOR(S):

Pu, Wenying

PATENT ASSIGNEE(S):

Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp.

given

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1520837	A	20040818	CN 2003-102065	20030130
CN 1704050	Α	20051207	CN 2005-10075356	20030130
CN 1704066	A	20051207	CN 2005-10075357	20030130
CN 1704401	Α	20051207	CN 2005-10075358	20030130
PRIORITY APPLN. INFO.:			CN 2003-102065	3 20030130

The present invention relates to the effective part of isatis root for AΒ treating endotoxemia. The effective part contains four kinds of compds.: furfuraldehyde compound with main component 5-methylol furfuraldehyde; lignin compound with main component isolariciresinol; indole compound with main component 1-N-methoxy-2-oxy-indole-3-acetamide; and organic acid compound with main components o-aminobenzoic acid, salicylic acid, benzoic acid, syringic acid and long-chain fatty acid containing hydroxy group and double bond. The effective part of the present invention and its compound components have excellent effect of resisting endotoxemia.

IT 67-47-0

RL: NPO (Natural product occurrence); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(plant extract and compound for treating endotoxin blood disease, and its extraction method and application)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 44 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:447252 CAPLUS

DOCUMENT NUMBER:

142:469168

TITLE:

Fractions of shiitake (Lentinula edodes) mycelial extracts containing polyphenols, their preparation,

and their uses for pharmaceuticals and foods

INVENTOR(S):

Oda, Machiko; Mitsunaga, Toru; Yagi, Kiyohito; Kawase,

Masaya; Nakamura, Risa; Yamaguchi, Yoshihiro;

Tamesada, Makoto

PATENT ASSIGNEE(S):

Kobayashi Pharmaceutical Co., Ltd., Japan; Nagaoka,

Hitoshi

SOURCE:

Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005132812	Α	20050526	JP 2004-23417	20040130
PRIORITY APPLN. INFO.:			JP 2003-349998 A	20031008

The fractions of shiitake mycelial exts. containing polyphenols are manufactured by

adding EtOH-containing solns. to shiitake mycelial exts., and subjecting the resulting soluble fractions to gel filtration chromatog., elution with water, and elution with MeOH-containing solns. The fractions are useful for antioxidants, pharmaceutical compns. for prevention and/or treatment of liver diseases, oral compns., foods, beverages, and biol. membrane protectants. Shiitake mycelial extract was treated with aqueous 50% EtOH solution, the EtOH-soluble fraction was applied on a gel filtration chromatog. column packed with Sephadex LH-20, and eluted with H2O and then with MeOH to give an EtOH-soluble MeOH fraction (ES-Me fraction) containing carbohydrates 9.48, proteins 76.79, and polyphenols 13.73 weight%. fraction (at 0.125 mg/mL) showed 100% inhibition of lipid peroxidn. in rat liver microsome. The ES-Me fraction was fractionated by open-column chromatog. (LH-20gel) to give 122 fractions. A fraction having the highest DPPH radical-scavenging activity contained syringic acid, vanillic acid, protocatechuic acid (3,4-dihydroxybenzoic acid), and Me gallate, and a fraction having the 2nd highest activity contained 5hydroxymethylfurfural.

67-47-0P, 5-Hydroxymethylfurfural IT

RL: FFD (Food or feed use); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antioxidant shiitake (Lentinula edodes) mycelial extract fractions containing polyphenols for pharmaceuticals and foods)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 45 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:443243 CAPLUS

DOCUMENT NUMBER:

142:469335

TITLE:

5-hydroxymethylfurfural pharmaceuticals for

prevention of degenerative nervous system disease and

cognition disorders

INVENTOR(S):

Li, Lin; Zhang, Lan; Chu, Jin

PATENT ASSIGNEE(S):

Xuanwu Hospital of Capital University of Medical

Science, Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp.

given

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
CN 1504188	A	20040616	CN 2002-153732	20021203	
PRIORITY APPLN. INFO.:			CN 2002-153732	20021203	

The invention relates to the use of 5-hydroxymethyl-2-furfural or its AΒ derivative in preparing pharmaceuticals and health products for preventing or treating nerve retrogression diseases or cognition impairment.

67-47-0, 5-Hydroxymethylfurfural IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydroxymethylfurfural pharmaceuticals for prevention of

degenerative nervous system disease and cognition disorders)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

- CH2-ОН OHC

ANSWER 46 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER:

2005:369236 CAPLUS

DOCUMENT NUMBER:

142:430124

TITLE:

Preparation of 3-azabicyclo[3.1.0] hexane derivatives

as glycine transporter inhibitors for enhancing

cognition and treating psychoses Lowe, John A.; Mchardy, Stan

INVENTOR(S):

PATENT ASSIGNEE(S):

USA

SOURCE:

PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PAT	ENT :						DATE		1	APPL	ICAT:	ION 1	. 00		D	ATE	<b>_</b>	
	WO 2005037216 WO 2005037216				A2 20050428				WO 2004-US34083					20041014				
WO	W:	AE, CN, GE, LK, NO,	AG, CO, GH, LR, NZ,	AL, CR, GM, LS, OM,	AM, CU, HR, LT, PG,	AT, CZ, HU, LU, PH,	AU, DE, ID, LV, PL,	AZ, DK, IL, MA, PT, UA,	BA, DM, IN, MD, RO,	DZ, IS, MG, RU,	EC, JP, MK, SC,	EE, KE, MN, SD,	EG, KG, MW, SE,	ES, KP, MX, SG,	FI, KR, MZ, SK,	GB, KZ, NA, SL,	GD, LC, NI, SY,	
		BW, AZ, EE, SI, SN,	GH, BY, ES, SK, TD,	GM, KG, FI, TR, TG	KE, KZ, FR, BF,	LS, MD, GB, BJ,	MW, RU, GR, CF,	MZ, TJ, HU, CG,	NA, TM, IE, CI,	SD, AT, IT, CM,	SL, BE, LU, GA,	SZ, BG, MC, GN,	TZ, CH, NL, GQ,	UG, CY, PL, GW,	ZM, CZ, PT, ML,	ZW, DE, RO, MR,	AM, DK, SE, NE,	
AU	2004	2817	94		A1		2005	0428		AU 2	004-	2817	94		2	0041	014	
CA	2542	279			A1		2005	0428		CA 2	004-	2542	279		2	0041	014	
US	2005	0963	75		A1		2005	0505	1	US 2	004-	9649	31		2	0041	014	
EP	1680							0719										
	1867	IE, 338	SI,	LT,	LV, A	FI,	RO, 2006	1122	CY,	AL, CN 2	TR,	BG, 8003	CZ, 0044	EE,	НU, 2	PL, 0041	SK, 014	HR
BR	2004	0153	56		Α		2006	1212	:	BR 2	004-	1535	6		2	0041	014	
JP	2007	5083	74		${f T}$		2007	0405	1	JP 2	006-	5353	48		2	0041	014	
IN	2006	DN01	426		Α		2007	0810										
	2006							0628		MX 2	006-	PA42	79		2	0060	417	
NO	2006	0021	93		Α		2006	0515			006-							
RIORITY	APP	LN.	INFO	.:					,	WO 2	003- 004-	US34	083	,				
THER SO	OURCE	(S):			CAS	REAC	T 14	2:43	0124	; MA	RPAT	142	:430	124				

OTHER SOURCE(S):

CASREACT 142:430124; MARPAT 142:430124

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The present invention relates to substituted bicyclic [3.1.0] amines (shown AB as I; variables defined below; e.g. thiophene-2-carboxylic acid N-[(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3-fluoro-4-(morpholin-4-yl)phenyl]amide (II)), their pharmaceutically acceptable salts, pharmaceutical compns. thereof, and their use (no data) for the enhancement of cognition and the treatment of the pos. and neg. symptoms of schizophrenia and other psychoses in mammals, including humans. Compds. of the invention analyzed by an assay for their activity in inhibiting glycine reuptake in synaptosomes have IC50 values more potent than 10  $\mu\text{M}$ ; no values for individual examples of I are given. For I: y = H or (R100)k-R1-(R6)m; k = 0-1; l = 0-3; m = 1-3; n = 0-4; o = 0-40-1; p = 0-3; q = 0-4; r = 1-2; s = 0-4; t = 0-1; u = 1-3; v = 1-3; v = 1-3is -CH2-, -CH(C1-C3)alkyl-, -C(0)- or -SO2-. R1 is -(C1-C6)alkyl, -(C3-C8)cycloalkyl, -(4 to 7 membered) heterocycloalkyl, -(CH2)1-(C6-C10 aryl) or -(5 to 10 membered) heteroaryl, or (5 to 10 membered) tetrahydroheteroaryl; each R6 = H, halo, -(C1-C6) alkyl-B, (C1-C7) alkoxy-D, (C2-C4)alkenoxy, (C1-C6)alkyl-OH, -OH, CN, -NO2, -CR7R8R9, -NR20R21, -NHCOalkyl(C1-C3), NHSO2alkyl(C1-C3), C(0)OR22, -R23C(0)OR22, -C(O)NH2, phenyl-E, phenoxy-F, morpholine, -NR20R21, aryl, heteroaryl, -SR24, and -SO2R25; B and D = H, OH, Ph, di-Ph or trifluoro; E and F = H, alkyl, or halo. R2 and R3 = H or (C1-C3)alkyl; R4 and R5 = H or (C1-C3) alkyl; or R4 and R5 taken together form a double bond to an O to form (C:O), or R4 and R5 are connected with 2 to 4 C atoms to form a 3-5 member carbocyclic ring; A is H or (C1-C3)alkyl-(R28)n; R28 = (C1-C3)alkoxy, -OH, -NR12R13 or -NHC(O)(C1-C4)alkyl; X is a bond, -CH2(R29)p, -C(O) or -SO2; R29 is -(C1-C3) alkyl; W is alkyl, -(C3-C6) cycloalkyl, -(3 to 7 membered) heterocycloalkyl, -(3 to 7 membered) heterocycloalkyl with 1 or 2 C:0 groups, Ph, or - (5 to 7 member) heteroaryl or heterocyclic; R30 is -(C1-C4)alkyl, -(C1-C3)alkoxy, CN, -F, -Cl, -Br, -I, -NR18R19, -NHC(O)R18, -SCH3 or -C(0)CH3. Q is a bond, -CH(R31)r, -C(0) or SO2; R31 = H or (C1-C3)alkyl; Z is -(C1-C8)alkyl, -(C3-C8)cycloalkyl, -(4 to 8 member) heterocycloalkyl, Ph or -(5 to 7 membered) heteroaryl or heterocyclic; R14 is F, Cl, Br, I, V, H, -NR16R17, -OR16, -C(O)NR16R17, -(SO2)NR16R17, or NR32C:O-R33; R15 is -(Cl-C3)alkyl, -(Cl-C3)alkoxy, -F, -Br, -Cl, -I -OH or CN; V is -(C3-C8)cycloalkyl, -(C1-C5)alkyl, (5 to 7 membered) heterocycloalkyl, (5 to 7 membered) heterocycloalkyl substituted with 1 or 2 C:O groups or 1, 2, or 3-(C1-C5)alkyl groups; addnl. details are given in the claims. Although the methods of preparation are not claimed, 6 example prepns. are included. For example, II was prepared in 5 steps starting from (3-azabicyclo[3.1.0]hex-6-yl)methanol hydrochloride and involving 6-hydroxymethyl-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl]amino]methyl]-3azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester, 6-[[[3-fluoro-4-(morpholin-4-yl)phenyl][(thien-2-yl)carbonyl]amino]methyl]-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-Bu ester and thiophene-2-carboxylic acid N-[(3-azabicyclo[3.1.0]hex-6-yl)methyl]-N-[3fluoro-4-(morpholin-4-yl)phenyl]amide trifluoroacetate as intermediates.

ΡN

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 3-azabicyclo[3.1.0] hexane derivs. as glycine transporter inhibitors)

67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

онс О СH<sub>2</sub>- ОН

L3 ANSWER 47 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:119536 CAPLUS

DOCUMENT NUMBER:

143:77296

TITLE:

Changes of the constituents in the Rehmanniae Radix

Preparata during processing Lee, Chong-Ki; Seo, Jung-Mi

AUTHOR(S): CORPORATE SOURCE:

Dept. of Medical Management, Chodang University,

Jeonnam, 534-701, S. Korea

SOURCE:

Han'guk Sikp'um Yongyang Kwahak Hoechi (2004), 33(10),

1748-1752

CODEN: HSYHFB; ISSN: 1226-3311

PUBLISHER:

Korean Society of Food Science and Nutrition

DOCUMENT TYPE: LANGUAGE: Journal Korean

This study was performed to obtain the good processing in the Rehmanniae Radix Preparata. The contents of the Rehmanniae Radix and the Rehmanniae Radix Preparata produced through different processes were analyzed in the 5-hydroxymethyl-2-furaldehyde (5-HMF), sugar, total nitrogen, crude lipid and ash. 5-HMF was not detected in the Rehmanniae Radix, but detected in the Rehmanniae Radix Preparata. 5-HMF content was increased gradually with processing times (1-9 times) and increased expressly in the Rehmanniae Radix Preparata steamed for 7 times. Sucrose, fructose and glucose were contained in the Rehmanniae Radix, but sucrose was not detected and fructose and glucose were increased largely in the Rehmanniae Radix Preparata steamed for 1 time. Fructose and glucose were decreased gradually with processing times (2-9 times), but the gap of decrease was insignificant. Total nitrogen was changed slightly and crude lipid was decreased slowly with processing times. The ash was suitable to KPVIII rules (less than 6.0%). From this anal. we found out the content of 5-HMF from Rehmanniae Radix Preparata steamed more than 7 times was suitable to KPVIII rules (more than 0.1%).

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: BSU (Biological study, unclassified); BIOL (Biological study) (Rehmanniae Radix Preparata constituent during processing)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

онс О Сн2-он

L3 ANSWER 48 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:997434 CAPLUS .

DOCUMENT NUMBER:

142:232855

TITLE:

Hypotensive and toxicological study of citric acid and

other constituents from Tagetes patula roots

10/531,714

AUTHOR(S): Saleem, Rubeena; Ahmad, Mohammad; Naz, Aneela;

Siddiqui, Humaira; Ahmad, Syed Iqbal; Faizi, Shaheen Dr. HMI Institute of Pharmacology and Herbal Sciences,

CORPORATE SOURCE: Dr. HMI Institute of Pharmacology and He Hamdard University, Karachi, 74600, Pak.

SOURCE: Archives of Pharmacal Research (2004), 27(10),

1037-1042

CODEN: APHRDQ; ISSN: 0253-6269 Pharmaceutical Society of Korea

PUBLISHER: Pharmace
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Study of the effects of the methanolic extract of Tagetes patula roots on blood pressure led to the isolation of well known citric (1) and malic acid (7) as hypotensive, and pyridine hydrochloride (4) as hypertensive constituents of the plant along with a new constituent, 2-hydroxy, 5-hydroxymethyl furan (9). Citric acid and malic acid caused 71% and 43% fall in Mean Arterial Blood Pressure (MABP) of rats at the doses of 15 mg/kg and 30mg/kg resp. while pyridine hydrochloride produced 34% rise in the MABP of rats at the dose of 30mg/kg. LD50 and LD100 of citric acid in mice have been determined as 545 mg/kg and 1000 mg/kg, resp.

IT 67-47-0P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses)
(hypotensive and toxicol. study of citric acid and other constituents from Tagetes patula roots)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

онс О Сн2-он

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:976915 CAPLUS

DOCUMENT NUMBER: 142:140739

TITLE: Flash gas chromatography for analysis of volatile

compounds from Houttuynia cordata Thunb

AUTHOR(S): Qi, Meiling; Ge, Xiaoxia; Liang, Minmin; Fu, Ruonong CORPORATE SOURCE: Department of Chemistry, School of Science, Beijing

Institute of Technology, Zhongguancun, Beijing,

100081, Peop. Rep. China

SOURCE: Analytica Chimica Acta (2004), 527(1), 69-72

CODEN: ACACAM; ISSN: 0003-2670

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

This paper describes a novel anal. method, flash gas chromatog. (FGC), for the anal. of volatile compds. from Houttuynia cordata Thunb. This method does not demand time-consuming extraction process. The ground powder of the plant material can be directly applied for the anal. and only a few milligrams of sample are needed. The identification of the components was made by FGC-MS. The results between FGC and ordinary GC (using the extracted essential oil as sample) were compared and found that FGC offered a similar number and types of the components with GC. FGC is a novel and feasible method for the quality control of traditional Chinese medicines (TCMs).

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde RL: ANT (Analyte); ANST (Analytical study) (flash gas chromatog. for anal. of volatile compds. from Houttuynia cordata)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

OHC CH<sub>2</sub>-OH

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:451668 CAPLUS

DOCUMENT NUMBER:

141:23213

TITLE:

Preparation of 3,4-di-substituted cyclobutene-1,2-

diones as CXC-chemokine receptor ligands

INVENTOR(S):

Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Biju, Purakkattle

J.; Nelson, Kingsley H.; Rokosz, Laura L.

PATENT ASSIGNEE(S):

SOURCE:

Schering Corporation, USA
U.S. Pat. Appl. Publ., 331 pp., Cont.-in-part of U.S.

Ser. No. 208,412.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English |

FAMILY ACC. NUM. COUNT: 5

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
US 2004106794	A1 20040603	US 2002-241326	20020911		
CN 1990457	A 20070704	CN 2006-10137409	20020415		
EP 1818325		EP 2007-10711			
R: AT. BE. CH.		FI, FR, GB, GR, IE, IT			
NI PT. SE.	TR, AL, LT, LV,	MK. RO. SI			
115 2004097547	A1 20040520	US 2002-208412	20020730		
CD 2496676	A1 20040205	CA 2003-2496676	20030730		
WO 2004011419	λ1 20040205	WO 2003-US23785	20030730		
WO 2004011416	AL 20040203	BA, BB, BG, BR, BY, BZ	CA CH CN		
. W: AE, AG, AL,	AM, AI, AU, AZ,	EC, EE, ES, FI, GB, GI	GE HP HII		
CO, CR, CZ,	DE, DK, DM, DZ,	EC, EE, ES, FI, GB, GI	T TY MA MD		
		KZ, LC, LK, LR, LT, LU			
		NZ, PG, PH, PL, PT, RC			
SG, SK, SL,	SY, TJ, TM, TN,	TR, TT, TZ, UA, UZ, VC	:, VN, YU, ZA, ZM		
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW	, AM, AZ, BY,		
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, DE	, DK, EE, ES,		
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, SE	, SI, SK, TR,		
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, NE	, SN, TD, TG		
AU 2003259302	A1 20040216	AU 2003-259302	20030730		
US 2004147559	A1 20040729	US 2003-630258	20030730		
US 7132445 ·	B2 20061107				
EP 1539678	A1 20050615	EP 2003-772075	20030730		
		GB, GR, IT, LI, LU, NI			
TE. SI. LT.	LV. FI. RO. MK.	CY, AL, TR, BG, CZ, EF	E, HU, SK		
BR 2003013109	A 20050621	BR 2003-13109	20030730		
TP 2005534684	т 20051117	JP 2004-524185	20030730		
CN 1723194	A 20060118	CN 2003-823160	20030730		
MY 2005DA01274	A 20050908	MX 2005-PA1274	20050131		
NO 2002E001274	7 20050420	MX 2005-PA1274 NO 2005-1036	20050225		
MO 5002001036	A 20030420	110 2000 1000	20000===		

US 2006-500739 20060808 US 2007021494 A1 20070125 US 2001-284026P PRIORITY APPLN. INFO.: P 20010416 US 2002-122841 A2 20020415 US 2002-208412 A2 20020730 CN 2002-811979 A3 20020415 EP 2002-739172 A3 20020415 US 2002-241326 A 20020911 US 2003-630258 A3 20030730 W 20030730 WO 2003-US23785

OTHER SOURCE(S):

MARPAT 141:23213

GI

Title compds. I [A = (un) substituted heterocycle, heterocyclylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un) substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy) cyclobute nedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20  $\mu$ M in CXCR1 SPA assay and < 5  $\mu$ M in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

II

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

 $\mathsf{OHC} \overset{\mathsf{O}}{ } \mathsf{CH}_2 \mathsf{-} \mathsf{OH}$ 

L3 ANSWER 51 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:448180 CAPLUS

DOCUMENT NUMBER:

141:199446

TITLE:

Phenolic and furan type compounds isolated from Gastrodia elata and their anti-platelet effects Pyo, Mi Kyung; Jin, Jing Ling; Koo, Yean Kyoung;

AUTHOR(S):

Yun-Choi, Hye Sook

CORPORATE SOURCE:

Natural Products Research Institute, Seoul National

University, Seoul, 110-460, S. Korea

SOURCE:

Archives of Pharmacal Research (2004), 27(4), 381-385

CODEN: APHRDQ; ISSN: 0253-6269 Pharmaceutical Society of Korea

PUBLISHER:
DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Nine phenolic (1.apprx.9) and two furan type (10, 11) compds., were isolated from the methanolic extract of the tuber of Gastrodia elata Blume (Orchidaceae) in the course of continuing search for platelet anti-aggregating plant components. Compound 1 was identified as 4,4'-dihydroxybenzyl sulfone, a novel compound for the best of our knowledge. Compound 10, 5-hydroxymethyl-2-furancarboxaldehyde, was isolated for the first time from this plant. Compound 1 (IC50; 83 μM) was about four times more inhibitory to U46619 induced aggregation than ASA (IC50; 340 μM). Compound 9, 4,4'-dihydroxy-dibenzylether, (IC50; 5 μM, 3 μM and 33 μM, resp.) was 10-80 fold more potent than ASA (IC50; 420 μM, 53 μM and 340 μM resp.) to collagen, epinephrine and U46619 induced aggregation, although it is less active than ASA to AA induced aggregation.

TT 67-47-0P, 5-Hydroxymethyl-2-furancarboxaldehyde
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PRP
(Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL
(Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(antiplatelet activity of phenolic and furan type compds. isolated from
Gastrodia elata)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>- ОН

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:414638 CAPLUS

DOCUMENT NUMBER:

140:406571

TITLE:

Preparation of 3,4-di-substituted cyclobutene-1,2-

diones as CXC-chemokine receptor ligands

INVENTOR(S):

Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley

H.; Rokosz, Laura L.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 308 pp., Cont.-in-part of U.S.

Ser. No. 122,841.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

ጥ∙ 5 ີ

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097547	A1	20040520	US 2002-208412	20020730
CN 1990457	A	20070704	CN 2006-10137409	20020415
EP 1818325	A2	20070815	EP 2007-10711	20020415

```
AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,
             NL, PT, SE, TR, AL, LT, LV, MK, RO, SI
                                             US 2002-241326
                                                                     20020911
                          A1
                                20040603
     US 2004106794
     CA 2496676
                          A1
                                20040205
                                             CA 2003-2496676
                                                                     20030730
    WO 2004011418
                          A1
                                20040205
                                             WO 2003-US23785
                                                                     20030730
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                             AU 2003-259302
                                                                     20030730
                                 20040216
     AU 2003259302
                          Α1
                                             US 2003-630258
                                                                     20030730
                                 20040729
     US 2004147559
                          A1
     US 7132445
                          B2
                                 20061107
                                             EP 2003-772075
                                                                     20030730
     EP 1539678
                          A1
                                 20050615
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                             BR 2003-13109
                                                                     20030730
                                 20050621
     BR 2003013109
                          Α
                                             JP 2004-524185
                                                                     20030730
     JP 2005534684
                          Т
                                 20051117
                                             CN 2003-823160
                                                                     20030730
     CN 1723194
                          Α
                                 20060118
                                 20050908
                                             MX 2005-PA1274
                                                                     20050131
     MX 2005PA01274
                          Α
                                                                     20050224
     IN 2005CN00263
                          Α
                                 20070406
                                             IN 2005-CN263
                                                                     20050225
                                 20050420
                                             NO 2005-1036
     NO 2005001036
                          Α
                                                                     20060808
                                 20070125
                                             US 2006-500739
                          A1
     US 2007021494
                                                                  P 20010416
PRIORITY APPLN. INFO.:
                                             US 2001-284026P
                                                                  A2 20020415
                                             US 2002-122841
                                                                  A3 20020415
                                             CN 2002-811979
                                                                  A3 20020415
                                             EP 2002-739172
                                                                  A2 20020730
                                             US 2002-208412
                                             US 2002-241326
                                                                  Α
                                                                     20020911
                                             US 2003-630258
                                                                  A3 20030730
                                             WO 2003-US23785
                                                                  W 20030730
```

OTHER SOURCE(S):

MARPAT 140:406571

Η

Η

I

AB Title compds. I [A = (un) substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un) substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by

II

substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy) cyclobute nedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20  $\mu M$  in CXCR1 SPA assay and < 5  $\mu M$  in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (stereoselective preparation of disubstituted cyclobutenediones as
 cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС \_\_\_\_ СH<sub>2</sub>- ОН

L3 ANSWER 53 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:370917 CAPLUS

DOCUMENT NUMBER:

140:391189

TITLE:

Preparation of furan derivatives for treatment of

osteoporosis

INVENTOR(S):

Kim, Jung-Keun; Kim, Se-Won; Oh, Kwi-Ok; Ko, Seon Yle; Kim, Jong Yeo; Lee, Byung-Eui; Kim, Bum Tae; Lee, Yeon

Soo; Min, Yong Ki; Park, No Kyun

PATENT ASSIGNEE(S):

Oscotec Inc., S. Korea; Korea Research Institute of

Chemical Technology

SOURCE:

PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.		APPLICATION NO.	DATE
WO 0004037804	71 20040506	WO 2003-KR2231	20031022
WO 2004037804	AI 20040300	WO 2005 IMEZSI	DO CO CU CN
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, EG, ES,	FI, GB, GD, GE,
GH, GM, HR,	HU, ID, IL, IN,	IS, JP, KE, KG, KP,	KZ, LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,
PG, PH, PL,	PT, RO, RU, SC,	SD, SE, SG, SK, SL,	SY, TJ, TM, TN,
TR, TT, TZ,	UA, UG, US, UZ,	VC, VN, YU, ZA, ZM,	ZW
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG
KR 2004035559	A 20040429	KR 2003-72536	20031017
AU 2003273096	A1 20040513	AU 2003-273096	20031022
JP 2006515276	T 20060525	JP 2004-546535	20031022
		US 2005-531714	20050418
KR 2005080452	A 20050812	KR 2005-56454	20050628
PRIORITY APPLN. INFO.:		KR 2002-64670	A 20021022
		KR 2003-72536	A 20031017
		WO 2003-KR2231	W 20031022
ARVER GOVERNE (G)	MADDAM 140 2011	0.0	

OTHER SOURCE(S):

MARPAT 140:391189

GΙ

$$A \longrightarrow 0$$
  $X$  I HO CHO

The title compds. I [wherein X = H, (un) substituted OH, or NH2; Y = AB SC(=NH)NH2, (un)substituted OH, or NH2] or pharmaceutically acceptable salts thereof are prepd for the treatment of bone disease. example, the compound II was obtained by extraction from a plant rehmannia glutinosa libosch. I showed strong effect on bone proliferation with the side effect reduced. I also showed high inhibition rate against osteoclast formation at different concns. Formulations containing I as an active ingredient were also described.

67-47-0P IT RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of furan derivs. for treatment of osteoporosis)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 54 OF 122

ACCESSION NUMBER: 2004:333705 CAPLUS

DOCUMENT NUMBER:

TITLE:

INVENTOR(S):

140:357355

Preparation of diaminothiadiazole dioxides and

monoxides as CXC- and CC-chemokine receptor ligands Taveras, Arthur G.; Chao, Jianhua; Biju, Purakkattle

J.; Yu, Younong; Fine, Jay S.; Hipkin, William; Aki, Cynthia J.; Merritt, J. Robert; Li, Ge; Baldwin, John

J.; Lai, Gaifa; Wu, Minglang; Hecker, Evan A. Pharmacopeia, Inc., USA; Schering Corporation; PATENT ASSIGNEE(S):

Pharmacopeia Drug Discovery, Inc.

PCT Int. Appl., 540 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	- <b></b>			
WO 2004033440	A1	20040422	WO 2003-US31707	20031007
W: AE, AG,	L, AM, AT	, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR, C	Z, DE, DK	, DM, DZ,	EC, EE, EG, ES, FI,	GB, GD, GE, HR,
HU, ID,	L, IN, IS	, JP, KG,	KR, KZ, LC, LK, LR,	LT, LU, LV, MA,
MD, MG, I	IK, MN, MX	, MZ, NI,	NO, NZ, PG, PH, PL,	PT, RO, RU, SC,
SE, SG,	K, SL, SY	, TJ, TM,	TN, TR, TT, TZ, UA,	UZ, VC, VN, YU,
ZA, ZM				
RW: GH, GM,	E, LS, MW	, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, KZ, I	ID, RU, TJ	, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,
FI, FR, (	B, GR, HU	, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,
BF, BJ, (	F, CG, CI	, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG

CA	2501	535			A1	200	10422	CA	2003-	2501	535		2	0,031	007
AU	2003	28893	22		A1	200	10504	UA	2003-	2889	22		2	0031	007
US	2004	1861	42		A1	200	40923	US	2003-	6803	93		2	0031	007
EP	1551	818			A1	200	50713	EP	2003-	7813	11		2	0031	007
	R:	AT,	BE,	CH,	DE,	DK, ES	, FR,	GB, G	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI, RO	, MK,	CY, A	L, TR,	BG,	CZ,	EE,	HU,	SK	
CN	1720	240			A	200	60111	CN	2003-	8010	5139		_	0031	
JP	2006	5080	79		${f T}$	200	60309	JP	2004-	5434	49		2	0031	007
US	2007	2642	30		A1	200	71115	US	2007-	6511	28		2	0070	109
PRIORIT	Y APP	LN.	INFO	. :				US	2002-	4173	71P			0021	
					•			US	2003-	6803	93	:	B1 2	0031	007
								WO	2003-	US31	707	,	W '2	0031	007

OTHER SOURCE(S):

MARPAT 140:357355

GI

Disclosed are diaminothiadiazole mono- and dioxides (shown as I; e.g. II) AΒ and the pharmaceutically acceptable salts and solvates thereof. Examples of substituent A include heteroaryl, aryl, heterocycloalkyl, cycloalkyl, aryl, alkynyl, alkenyl, aminoalkyl, alkyl or amino; examples of substituent B include aryl and heteroaryl; g = 1, 2. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple sclerosis, rheumatoid arthritis, osteoarthritis, stroke and cardiac reperfusion injury, acute pain, acute and chronic inflammatory pain, and neuropathic pain using I. Although the methods of preparation are not claimed, hundreds of example prepns. and/or characterization data are included. For example, II was prepared in 31% yield from the 4-methoxy analog and isopropylamine in the presence of DIEA in MeOH; the 4-methoxy analog was prepared from the dimethoxy analog and N, N-dimethyl-3-amino-2hydroxybenzamide in 99% crude yield. Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diaminothiadiazole dioxides and monoxides as CXC- and CC-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 55 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:191117 CAPLUS

DOCUMENT NUMBER: 140:236007

TITLE: Preparation of indolopyrrolocarbazole derivatives

having glucopyranosyl group and antitumor agents

containing them

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu;

Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE:

U.S., 17 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6703373	B1	20040309	US 2002-70825	20020311
WO 2004083228 W: US	A1	20040930	WO 1999-JP4911	
PRIORITY APPLN. INFO.: OTHER SOURCE(S):	MARPAT	140:236007	WO 1999-JP4911 W	19990910
GI				

The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH2)mR = CH2C6H3(OH)2-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 56 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2004:162689 CAPLUS

DOCUMENT NUMBER:

140:199327

TITLE:

Preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic

rhinitis

INVENTOR (S):

Johansson, Henrik; Lawitz, Karolina; Nikitidis,

Grigorios; Sjoe, Peter; Storm, Peter

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed. PCT Int. Appl., 170 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

WO 2004016611	CN, GH,
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, CA 2495511  A1 20040226 CA 2003-2495511 200308 EP 1539759  B1 20070815  R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK BR 2003013461  A 20050705 BR 2003-13461 200308 CN 1684964  A 20051019 CN 2003-823193 200308 CN 2538156	CN, GH,
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AT 370138 T 20070915 AT 2003-788216 200308	
ZA 2005000887 A 20060222 ZA 2005-887 200501	
MX 2005PA01581 A 20050425 MX 2005-PA1581 200502	
US 2005261333 A1 20051124 US 2005-524204 200502	:10
NO 2005001265 A 20050512 NO 2005-1265 200503	
ORITY APPLN. INFO.: SE 2002-2462 A 200208	311
WO 2003-SE1279 W 200308	311 314

OTHER SOURCE(S):

MARPAT 140:199327

· GI

$$R^{3}$$
 $N$ 
 $Ar-R^{1}m$ 
 $N$ 

AB The use of imidazopyridines (shown as I; variables defined below; e.g. II trifluoroacetate) and pharmaceutically acceptable salts thereof in the manufacture of a medicament for the treatment or prophylaxis of diseases or conditions in which inhibition of kinase Itk activity is beneficial is disclosed. Certain novel compds. I, together with processes for their preparation, compns. containing them and their use in therapy are also disclosed.

II

For I: R3 = halogen, CN, C1-3-alkyl or C1-3-alkoxy; Ar = Ph, a 5-6-membered heteroarom. ring or an indole ring, said heteroarom. ring incorporating 1 to 3 O, N and S; R1 = H, halogen, CN, C1-6-alkyl, NO2, SO2Me, C1-6-alkynyl, CH2OH, OR2, (CH2)nNR4R5 or Ph (un)substituted by NH2; m = 1-2 and when m = 2, each R1 may be selected independently; n = 0 or 1; R1O = H, halogen, CN, C1-4-alkyl, C1-4-alkoxy, NR14R15 or a group -X-Y-Z (X = O, S, a bond or NR16 wherein R16 = H or C1-4-alkyl; Y = C1-4-alkyl or a bond; Z = Ph, naphthyl or a 5- or 6-membered heteroarom. ring, a 5- or 6-membered saturated heterocyclic ring containing 1-2 heteroatoms = O, N and

S, or C3-6-cycloalkyl); addnl. details are given in the claims. Methods of preparation are claimed and >250 example prepns. of I are included. For example, II was prepared by condensing 4-(6,7-dichloro-1H-imidazo[4,5b]pyridin-2-yl)aniline with 4-methoxybenzenesulfonyl chloride in pyridine. In another example, 5-bromo-2,3-diaminopyridine was cyclized with 4-hydroxybenzaldehyde in DMF in the presence of iron(III) chloride hexahydrate to give 65% 4-(6-bromo-3H-imidazo[4,5-b]pyridin-2-yl)phenol. In another example, N-benzyl-5-(6-bromo-3H-imidazo[4,5-b]pyridin-2yl)pyridin-2-amine bis(trifluoroacetate) was prepared in 3 steps starting with cyclization of 2,3-diamino-5-bromopyridine with 6-chloronicotinic acid in the presence of polyphosphoric acid (53%) followed by chlorination using POCl3 to give 44% 6-bromo-2-(6-chloropyridin-3-yl)-3H-imidazo[4,5b]pyridine followed by condensation with benzylamine (51%). Compds. of Examples 1 to 278 gave IC50 values for inhibition of Itk activity of <25  $\mu M,$  e.g. 0.26  $\mu M$  for II.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazopyridines as Itk kinase inhibitors for use against asthma and allergic rhinitis)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 57 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

2

2004:91375 CAPLUS ACCESSION NUMBER:

140:259211 DOCUMENT NUMBER:

Identification and determination of the major TITLE:

constituents in traditional Chinese medicine Si-Wu-Tang by HPLC coupled with DAD and ESI-MS

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

Zhang, Haijiang; Shen, Peng; Cheng, Yiyu AUTHOR(S):

Pharmaceutical Informatics Institute, College of CORPORATE SOURCE:

Pharmaceutical Sciences, Zhejiang University, .

Hangzhou, 310027, Peop. Rep. China

Journal of Pharmaceutical and Biomedical Analysis SOURCE:

(2004), 34(3), 705-713 CODEN: JPBADA; ISSN: 0731-7085

Elsevier Science B.V. PUBLISHER:

Journal DOCUMENT TYPE: English LANGUAGE:

An HPLC/DAD/ESI/MS method was established for the qual. and quant. anal. of the major constituents in Si-Wu-Tang, a traditional Chinese medicine formula. Based on the baseline chromatog. separation of most constituents in Si-Wu-Tang on hypersil C18 column with water-acetonitrile-acetic acid as mobile phase, 12 compds. including phenolic acids, phthalides, and terpene glycoside were identified by online ESI-MS and the comparison with literature data and standard samples. Most of these compds. derive from Paeonia lactiflora and Ligusticum chuanxiong. Seven of them were quantitated by HPLC coupled with DAD. The validation of the method, including sensitivity, linearity, repeatability, recovery, were examined The linear calibration curve were acquired with R2>0.99 and LOD (S/N = 3) were between 0.75 and 5 ng. The repeatability was evaluated by intra- and inter-day assays and R.S.D. value were within  $\pm 2.38\%$ . The recovery rates of selected compds. were in the range of 96.64-105.21% with R.S.D. less than 3.22%.

67-47-0, 5-Hydroxymethyl-2-furaldehyde TT RL: ANT (Analyte); ANST (Analytical study) (determination of major constituents in Chinese medicine Si-Wu-Tang by HPLC coupled with DAD and ESI-MS)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS 17 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 58 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

2004:80693 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:128434

Preparation of pyrazolopyrimidines as kinase TITLE:

inhibitors for the treatment of type 2 diabetes Brown, Matthew Lee; Cheung, Mui; Dickerson, Scott

INVENTOR(S): Howard; Drewry, David Harold; Lackey, Karen Elizabeth; Peat, Andrew James; Thomson, Stephen Andrew; Veal,

James Marvin; Wilson, Jayme Lyn Roark Smithkline Beecham Corporation, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 85 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2004009596 WO 2004009596		WO 2003-US22717	20030721			
		BA, BB, BG, BR, BY,	BZ. CA. CH. CN.			
		DZ, EC, EE, ES, FI,				
		JP, KE, KG, KP, KR,				
		MK, MN, MW, MX, MZ,				
		SD, SE, SG, SK, SL,				
		VC, VN, YU, ZA, ZM,				
		SL, SZ, TZ, UG, ZM,				
		BE, BG, CH, CY, CZ,				
		LU, MC, NL, PT, RO,				
		GN, GQ, GW, ML, MR,				
		AU 2003-254051				
		EP 2003-765826				
		GB, GR, IT, LI, LU,				
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK			
JP 2006514918	T 20060518	JP 2004-523201	20030721			
US 2005267133	A1 20051201	US 2005-521910	20050120			
PRIORITY APPLN. INFO.:		US 2002-397898P				
		WO 2003-US22717				
OTHER SOURCE(S):	MARPAT 140:1284					

Title compds. I [A = H, alkyl, aryl; R1 = substituted Ph, e.g., NR3R4, SO2R8, COR17, etc.; R3, R4 = H, alkyl, alkylsulfonyl, etc.; R8 = alkyl, NR9R10; R9, R10 = H, alkyl, (CH2)xNR6R7; R6, R7 = H, alkyl or combined to form 5-6 membered ring; x = 0-3; R17 = OH, alkoxy, NR18R19; R18, R19 = H, alkyl, (CH2)xR20; R20 = (un)substituted alkyl sulfonyl, OH] and their pharmaceutically acceptable salts were prepared For example, condensation of hydrazone II and isonicotinaldehyde afforded pyrazolopyrimidine III in 63% yield. In GSK-3 kinase inhibition assays, 61-examples of compds. I exhibited pIC50 values ranging from 5.0- >7.0, e.g., the pIC50 value of pyrazolopyrimidine III was 6.0-7.0. Compds. I are claimed useful for the treatment of type 2 diabetes, hyperlipidemia, obesity, etc.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as kinase inhibitors for the treatment of type 2 diabetes)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 59 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2688 CAPLUS

DOCUMENT NUMBER: 140:65204

TITLE: Composition for the treatment of skin diseases and for

improving hair growth

INVENTOR(S): Gardovic, Milenka

PATENT ASSIGNEE(S): Swed.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
WO 2004000305	A1 20031231	WO 2003-SE1029	20030618			
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, I	BZ, CA, CH, CN,			
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GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, I	KZ, LC, LK, LR,			
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, 1	NI, NO, NZ, OM,			
PH, PL, PT,	RO, RU, SC, SD,	SE, SG, SK, SL, TJ,	TM, TN, TR, TT,			
TZ, UA, UG,	US, UZ, VC, VN,	YU, ZA, ZM, ZW				
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, 2	ZW, AM, AZ, BY,			
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ, I	DE, DK, EE, ES,			
FI, FR, GB,	GR, HU, IE, IT,	LU, MC, NL, PT, RO, S	SE, SI, SK, TR,			
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR, 1	NE, SN, TD, TG			
AU 2003237742	A1 20040106	AU 2003-237742	20030618			
PRIORITY APPLN. INFO.:		SE 2002-1880	A 20020619			
		WO 2003-SE1029				

AB The present invention is related to a composition comprising at least one of furfural, furfuryl alc. and, 5-hydroxymethylfurfural and also at least one of 2-methoxy-p-cresol, 4-hydroxy-3-methoxybenzaldehyde and isoeugenol, and especially a composition comprising all of these compds. Composition according to the

above may be used as a pharmaceutical, for example for the

treatment of skin diseases and for the improvement of hair growth. invention relates also to a process for the treatment of the conditions mentioned above and the use of a composition mentioned above for the production of

a pharmaceutical composition for the treatment of skin diseases and for the improvement of hair growth. A hair preparation contained furfural 8.0, furfuryl alc. 16.0, 5-hydroxymethylfurfural 21.7, 2-methoxy-p-cresol 217, 4-hydroxy-3-methoxybenzaldehyde 10.9, and isoeugenol 21.7%. The front part of the crown of a 67-yr-old man, who had suffered from substantial loss of hair was greased once per day during three weeks. The result was that brown hair grew out on 60 % of the bald area.

67-47-0, 5-Hydroxymethylfurfural IT

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (composition for treatment of skin diseases and for improving hair growth)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 60 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER:

2003:502250 CAPLUS

DOCUMENT NUMBER:

140:270725

TITLE:

A method of synthesis of 5-hydroxymethylfurfurol

ethers, useful in the pharmaceutical and

perfume industries, by dehydration of sugars and

alcohols

INVENTOR(S):

Taraban'ko, V. E.; Chernyak, M. Yu.; Kuznetsov, B. N. Institut Khimii i Khimicheskoi Tekhnologii SO RAN,

PATENT ASSIGNEE(S):

Russia

Russ., No pp. given

CODEN: RUXXE7

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Russian

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	<b>-</b>			
RU 2203279	C1	20030427	RU 2001-128587	20011022
PRIORITY APPLN. INFO.:	•		RU 2001-128587	20011022

CASREACT 140:270725 OTHER SOURCE(S): The invention relates to technol. of synthesis of 5-hydroxymethylfurfurol ethers [i.e., 5-(hydroxymethyl)furan-2-carboxaldehyde ethers] from sucrose. The end product is synthesized by acid-catalyzed dehydration of sucrose or fructose in a biphase system, in the presence of sodium bisulfate or a mixture of sodium bisulfate and sulfuric acid as a catalyst, and aliphatic alcs. as an alkylating agent. Advantages of the proposed method include (1) use of low-priced and easily available parent substances (sucrose or fructose) and (2) use of sodium bisulfate instead of expensive BaCO3. For instance, 5-butoxymethylfurfurol was prepared from sucrose, sodium bisulfate, and butanol, with a yield of 9-10%. The synthesized ethers can be used in the pharmaceutical and perfume industries as raw materials for many syntheses.

67-47-0P, 5-Hydroxymethylfurfurol IT RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of hydroxymethylfurfurol ethers via sodium bisulfate-catalyzed dehydration of sucrose or fructose and subsequent etherification of hydroxymethylfurfurol)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

IT 67-47-0DP, 5-Hydroxymethylfurfurol, ether derivs.

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

(Preparation)

(preparation of hydroxymethylfurfurol ethers via sodium bisulfate-catalyzed dehydration of sucrose or fructose and subsequent etherification of hydroxymethylfurfurol)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 61 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:462307 CAPLUS

DOCUMENT NUMBER: 140:47243

TITLE: Studies on the stability of cardioplegic solutions and

on their shelf life

AUTHOR(S): Takekuma, Yoh; Yamashita, Yasunori; Iwai, Miwako;

Shiga, Hiroyasu; Suda, Noriyuki; Kishino, Satoshi;

Miyazaki, Katsumi

CORPORATE SOURCE: Department of Pharmacy, Hokkaido University Hospital,

Hokkaido, 060-8648, Japan

SOURCE: Iryo Yakugaku (2003), 29(2), 225-229

CODEN: IYRAA3

PUBLISHER: Nippon Iryo Yakugakkai

DOCUMENT TYPE: Journal LANGUAGE: Japanese

Cardioplegic solution (CPS), a pharmaceutical product manufactured at our hospital, is used for operative myocardial protection. Glucose, one of the elements of CPS, is known to disintegrate into formic acid, levulinic acid and 5-Hydroxymethylfurfural (5-HMF). Accordingly, the stability and their shelf life of CPS were evaluated by pH variation, visual inspection and the amount of 5-HMF. CPS was preserved for 12 mo at room temperature (25°) and at 4°(under room light or in darkness) after autoclaving at 115° and 0.7 kg/cm2 for 30 min. The pH of the sample was observed along with a periodical visual inspection. The amount of 5-HMF in the CPS was determined by high-performance liquid chromatog. (HPLC)

with

an UV detector at 284 nm. It was found that light had no effect on the production of 5-HMF. The amts. of 5-HMF in the samples preserved at 25°C tended to be greater than those in samples preserved at 4°C. However, it seems that the CPS was relatively stable since the amount of 5-HMF in the CPS was less than 1/40 of the limit noted in the fourteenth revised edition of the Japanese Pharmacopoeia (JPXIV). These findings suggest that a temperature of 4°C is preferable to 25°C for the preservation of CPS and that the CPS remains relatively stable for more than 12 mo.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: BSU (Biological study, unclassified); BIOL (Biological study) (studies on the stability of cardioplegic solns. and on their shelf life)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>- ОН

L3 ANSWER 62 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2003:396458 CAPLUS

DOCUMENT NUMBER:

138:385311

TITLE:

Preparation of 2-(2,6-dioxo-3-piperidyl)isoindoline-

1,3-diones, related compounds, and compositions thereof as  $TNF-\alpha$  inhibitors for treatment of

cancer, inflammatory disorders, heart disease, and

related disorders

INVENTOR(S):

Robarge, Michael J.; Chen, Roger Shen-Chu; Muller,

George W.; Man, Hon-Wah

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 100 pp., CCont.-in-part of U.S.

Ser. No. 972,487.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	PATENT NO.				KINI	)	DATE	AP	PL	ICAT	CION		DATE				
						-						<b></b>		- <b></b> -			
US	2003	0.968	41		A1		2003	0522	US	2	001-	3228	6			20013	1221
บร	7091	353			B2		2006	0815									
US	2003	0455	52		A1		2003	0306	US	2	001-	9724	87			20013	L005
AT	3525	48			T		2007	0215	AT	2	001-	9971	33			20011	
EP	1767	533			Al		2007	0328		_		1760				20011	
	R:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	Ŗ,	GB,	GR,	ΙE,	IT,	ΓI	LU,	MC,
		NL,	PT,	SE,	TR,	AL,	LT,	LV,	MK, R	Ο,	SI						
ES	2275	758			T3		2007	0616	ES	2	001-	1997	133			20011	L221
ZA	2003	0057	59		A		2005	0117	ZA	. 2	003-	5759				20030	101
US	2006	0255	97		A1		2006	0202	US	2	005-	2304	48			20050	921
JP	2006	0894	95		A		2006	0406	JР	2	005-	3210	49			2005	L104
AU	2006	2007	17		A1		2006	0316	AU	2	006-	2007	17			20060	221
PRIORIT	Y APP	LN.	INFO	. :					US	2	000-	2583	72P	•	P	20001	L227
									US	2	001-	9724	87		A2	20011	L005
									AU	2	002-	2482	52		A3	20011	L221
									EP	2	001-	9971	33		<b>A3</b>	2001	L221
									JP	2	002-	-5594	8 0		Α3	20013	L221
									US	2	001-	-3228	6		А3	20013	1221

OTHER SOURCE(S):

MARPAT 138:385311

GI

Ι

II

The invention relates to isoindole-imide compds. and AB pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof, pharmaceutical compns. comprising these isoindole-imide compds., and methods for reducing the level of cytokines and their precursors in mammals. In particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of TNF- $\alpha$  in mammals. isoindole-imides described herein are useful for treating or preventing diseases or disorders in mammals, for example, cancers, such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory; allergic; and autoimmune diseases. Title isoindole-imides I [wherein one of X and Y is CO and the other is CH2 or CO; R1 = H, (cyclo) alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, COR3, CSR3, CO2R4, alkyl-(NR6)2, alkyl-OR5, alkyl-CO2R5, CONHR3, CSNHR3, CON(R3)2, CSN(R3)2, or alkyl-OCOR5; R2 = H, benzyl, alkyl, alkenyl, or alkynyl; R3 = independently (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, alkyl-N(R6)2, alkyl-OR5, alkyl-CO2R5, alkyl-OCOR5, or CO2R5; R4 = alkyl, alkenyl, alkynyl, alkyl-OR5, benzyl, aryl, alkylheterocycloalkyl, or alkylheteroaryl; R5 = alkyl, alkenyl, alkynyl, benzyl, aryl, or heteroaryl; R6 = independently H, alkyl, alkenyl, alkynyl, benzyl, (hetero)aryl, or alkyl-CO2R5; or R6 groups may join to form a heterocycloalkyl group; n = 0-1; with the proviso that when n = 0,  $R1 \neq H$ ; or pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof] were prepared for reducing the level of cytokines and their precursors in mammals. In particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of  $TNF-\alpha$  (no data). For example, Me 2-(methoxycarbonyl)-3-nitrobenzoate was hydrogenated with 10% Pd/C (87%). The amine was converted to the nitrile by diazonium salt formation effected by treatment with NaNO3 followed by cyanide formation using classic Sandmeyer procedure (65%). The nitrile was reduced with 10% Pd/C in MeOH and aqueous HCl under hydrogen to afford Me 3-aminomethyl-2-(methoxycarbonyl)benzoate•HCl (90%), which was treated with TEA and then reacted with di-t-Bu dicarbonate to give the carbamate (93%). Cyclization with 3-aminoglutarimide HCl using diisopropylethylamine in DMF produced II (82%). The 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3diones and pharmaceutical compns. comprising them are useful for treating or preventing diseases or disorders in mammals, e.g. cancers,

such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory, allergic, and autoimmune diseases (no data).

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of (oxopiperidyl)isoindolinone TNF- $\alpha$  inhibitors by cycloaddn. of aminoglutarimides to carboxybenzoates)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>-ОН

REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:319708 CAPLUS

DOCUMENT NUMBER: 138:337984

TITLE: Preparation of bis-heteroaryl alkanes as protein

tyrosine phosphatase 1B inhibitors

INVENTOR(S): Mjalli, Adnan M. M.; Shahbaz, Kathy G. J.

PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 58 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	KIND DATE	APPLICATION NO.	DATE			
		WO 2002-US33517	20021018			
		BA, BB, BG, BR, BY,				
		DZ, EC, EE, ES, FI,				
		JP, KE, KG, KP, KR,				
		MK, MN, MW, MX, MZ,				
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM,	TN, TR, TT, TZ,			
UA, UG, US,	UZ, VC, VN, YU,	ZA, ZM, ZW				
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,			
KG, KZ, MD,	RU, TJ, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,			
		MC, NL, PT, SE, SK,				
CG, CI, CM,		ML, MR, NE, SN, TD,				
AU 2002337912	A1 20030428	AU 2002-337912	20021018			
US 2003130335	A1 20030710	US 2002-273795	20021018			
US 7022730						
EP 1438044	A1 20040721	EP 2002-773816	20021018			
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,			
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, SK			
		JP 2003-535785				
US 2006128784	A1 20060615	US 2006-345065	20060201			
PRIORITY APPLN. INFO.:		US 2001-348187P	P 20011019			
		US 2002-273795	A1 20021018			
		WO 2002-US33517	W 20021018			
OTHER COIDCE/C).	MADDAT 138,3379	Ω1				

OTHER SOURCE(S): MARPAT 138:337984

GI

$$R^3$$
  $R^5$   $R^4$   $R^2$   $R^2$   $R^3$   $R^4$   $R^5$   $R^4$   $R^5$   $R^4$   $R^5$   $R^4$   $R^5$   $R^6$   $R^6$ 

Title compds. I [R1-2 = indolyl, etc.; R3 = H, alk(en/yn)yl; R4 = (hetero)arylene; R5 = H, alk(en/yn)yl, (hetero)aryl, etc.] are prepared For instance, bis(4-chloroindol-3-yl)(5-carboxy-2-furyl)methane was prepared in several steps from 4-chloroindole and 5-formylfuran-2-carboxylic acid. Eighteen examples are provided. Compds. of the invention are found to inhibit protein tyrosine phosphatase in the range of 0.01 to 30 µM. I are useful for the management, treatment, control and adjunct treatment of diseases in mammals mediated by PTPase activity. Such diseases include type I diabetes, type II diabetes, immune dysfunction, AIDS, autoimmunity, glucose intolerance, obesity, cancer, psoriasis, allergic diseases, etc.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of bis-indolyl alkanes as protein tyrosine phosphatase 1B inhibitors)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 64 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:52705 CAPLUS

DOCUMENT NUMBER: 139:173305

TITLE: Cytotoxicities of metabolites from a Monocillium

species

AUTHOR(S): Khondkar, Proma; Rahman, Mohammad Mukhlesur; Islam,

Mohammad Anwarul

CORPORATE SOURCE: Department of Pharmacy, University of Rajshahi,

Rajshahi, 6205, Bangladesh

SOURCE: Pakistan Journal of Pharmacology (2002), 19(1), 9-12

CODEN: PJPHEO; ISSN: 0255-7088

PUBLISHER: Pakistan Journal of Pharmacology

DOCUMENT TYPE: Journal LANGUAGE: English

AB The cultural broth of a Monocillium species upon extraction with Et acetate afforded an antimicrobial compound, 5-hydroxymethylfurfural (1). Both the Et acetate extract and isolated compound (1) showed strong cytotoxicities in brine shrimp lethality' bioassay. The LC50 values of Et acetate extract and compound 1 were determined graphically and found to be 14.16 μg/mL and 27.99 μg/mL, resp.

IT 67-47-0P, 5-Hydroxymethyl furfural
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(cytotoxicities of antimicrobial metabolites from a Monocillium species)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

OHC CH2-OH

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2002:814089 CAPLUS

DOCUMENT NUMBER:

137:325178

TITLE:

Preparation of 3,4-di-substituted cyclobutene-1,2-

diones as cxc-chemokine receptor ligands

INVENTOR(S):

Taveras, Arthur G.; Aki, Cynthia J.; Bond, Richard W.; Chao, Jianping; Dwyer, Michael; Ferreira, Johan A.; Chao, Jianhua; Yu, Younong; Baldwin, John J.; Kaiser, Bernd; Li, Ge; Merritt, J. Robert; Nelson, Kingsley

H.; Rokosz, Laura L.

PATENT ASSIGNEE(S):

Schering Corporation, USA; Pharmacopeia, Inc. PCT Int. Appl., 394 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5

PATENT										LICAT						
WO 2002	20836	 24										20020415				
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	co,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĒ	, ES,	FΙ,	GB,	GD,	GE,	HR,	HU,
										, LK,						
										, PT,						
	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ	, VN,	YU,	ZA,	$z_{M}$			
RW:										, TZ,						
	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,
	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA 2444 AU 2002	031			A1		2002	1024		CA	2002-	2444	031		2	0020	415
AU 2002	3118	41		A1		2002	1028		AU	2002-	3118	41		2	0020	415
NZ 5295 EP 1381	551			A		2003	1219	:	NZ	2002-	5295	51		2	0020	415
									EΡ	2002-	7391	72		2	0020	415
EP 1381																
R:										, IT,		LU,	ΝL,	SE,	MC,	PT,
	ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR						
BR 2002																
CN 1516										2002-						
JP 2004																
HU 2004																
CN 1990	457			Α		2007	0704		CN	2006-	1013	7409		2	0020	415
AT 3651	.54			${f T}$		2007	0715		AT	2002-	7391	72		2		
EP 1818															0020	
R:										, GB,	GR,	IE,	IT,	LI,	LU,	MC,
										, SI				_		
NZ 5438																
ES 2287	284			Т3		2007	1216		ES	2002-	2739	172		2	0020	415
ZA 2003	0079	05		A		2005	0110		ZA	2003-	7905			2	0031	009

NO 2003004612	Α	20031208	NO	2003-4612		20031015
MX 2003PA09441	A	20040212	MX	2003-PA9441		20031015
IN 2003CN01631	Α	20051125	IN	2003-CN1631		20031015
HK 1057538	A1 .	20070810	HK	2004-100477		20040121
AU 2006203679	A1	20060914	AU	2006-203679		20060824
IN 2007CN02574	A	20071116	IN	2007-CN2574		20070614
PRIORITY APPLN. INFO.:			US	2001-284026P	P	20010416
			CN	2002-811979	A3	20020415
			EP	2002-739172	A3	20020415
			WO	2002-US12681	W	20020415
			IN	2003-CN1631	A3	20031015

OTHER SOURCE(S):

MARPAT 137:325178

GI

Title compds. I [A = (un) substituted heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, cycloalkyl, etc.; B = (un) substituted aryl, heteroaryl, heterocycle, heteroarylarene, etc.], or a pharmaceutically acceptable salt or solvate thereof, are prepared and disclosed as cxc-chemokine receptor ligands. Thus, II was prepared by substitution of (dimethylaminocarbonylhydroxyphenylamino) (ethoxy) cyclobute nedione [preparation given] with (R)-2-amino-N,3-dimethylbutanamide monohydrochloride [preparation given]. Compds. of the invention demonstrated an IC50 value of < 20  $\mu \rm M$  in CXCR1 SPA assay and < 5  $\mu \rm M$  in CXCR2 SPA assay. I are useful for the treatment of chemokine-mediated diseases such as acute and chronic inflammatory disorders and cancer.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (stereoselective preparation of disubstituted cyclobutenediones as cxc-chemokine receptor ligands)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 66 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2002:716267 CAPLUS

DOCUMENT NUMBER:

137:247716

TITLE:

Preparation and use of substituted

piperazine/piperidine derivatives as H receptor

antagonists

INVENTOR(S):

Rosenblum, Stuart B.; Zeng, Qingbei; Mutahi, Mwangi Wa; Aslanian, Robert G.; Ting, Pauline C.; Shih, Neng-Yang; Solomon, Daniel M.; Cao, Jianhua; Vaccaro, Henry A.; McCormick, Kevin D.; Baldwin, John J.; Li,

Ge

PATENT ASSIGNEE(S):

Schering Corporation, USA; Pharmacopeia, Inc.

PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.					KIND DATE				APPL	ICAT		DATE					
					·	-							<b>-</b> -					
WO	2002	0725	70		A2		2002	0919	WO 2002-US7106						20020311			
	2002																	
									BA.	BB.	BG,	BR.	BY.	BZ.	CA,	CH,	CN,	
	•••										ES,							
											LK,							
											PT,							
		MG,	mr,	LIMA,	TIAL,	י בייו	TIVO,	T77	TIN	117	VN,	VII	70	2M	50,	U.,	D10,	
	D														ידית	PF	СĦ	
	RW:										TZ,							
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	TE,	IT,	LU,	MC,	ИΠ,	CNI	TD,	TC,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	ΣN,	TD,	16	
									CA 2002-2440559									
UA	2002	2442	71		A1	A1 20020924 AU 2002-244271 A1 20030612 US 2002-95134									2	0020	311	
										US 2	2002-	9513	4		2	0020	311	
US	6849	621			B2		2005	0201										
EP	1373																	
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR							
CN	1496				A			0512		CN 2	2002-	8065	61		2	0020	311	
JP	2004	5204						0708		JP 2	002-	5714	86		2	0020	311	
								1211		MX 2	2003-	PA83	56		2	0030	912	
	MX 2003PA08356 A US 2005113383 A1															0041	027	
	7238																	
PRIORIT					-2					US 2	2001-	2754	17P		P 2	0010	313	
FKIOKII	· AFF	TT4 .	1111	• •	_						2002-							
											2002-							
										2	.002	JD , 1	-					

OTHER SOURCE(S):

MARPAT 137:247716

GI

Title compds. I [R = (hetero)aryl, heterocycloalkyl, alkyl, carboxamido, AB etc.; X = alkyl, S(0)2; Y = bond, CO, CS, alkyl, amido, etc.; M = C, N; Z= alkyl, SO2, CO, carboxamido; R = 5-6 membered heteroaryl, alkyl, aryl, etc.; R = alkyl, OH, alkoxy, F, etc.; n, p, q = 1-3; with some provisions] were prepared For instance, 2,5-dimethylpiperazine was alkylated with 2-bromobenzaldehyde (CH2Cl2, NaHB(OAc)3) and subsequently acylated with N-Boc-isonipecotic acid (CH2Cl2, PyBOP, i-Pr2NEt). The resulting intermediate was deprotected and reductively alkylated with pyridine-4-carboxaldehyde to afford. Selected example compds. had Ki within 0.2 and 600 nM for the H3 receptor. : I, alone and in combination with a H1 receptor antagonist, are used for the treatment of various diseases or conditions, such as, allergy, allergy-induced airway responses and congestion (e.g., nasal congestion).

ΙΙ

67-47-0, 5-Hydroxymethyl-2-furancarboxaldehyde IT RL: RCT (Reactant); RACT (Reactant or reagent) (reactant; preparation and use of substituted piperazine/piperidine derivs. as H receptor antagonists)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 67 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2002:696666 CAPLUS

DOCUMENT NUMBER:

137:217244

TITLE:

Preparation of amino acid-containing non-nucleoside

reverse transcriptase inhibitors

INVENTOR(S):

Zhou, Xiao-xiong; Johansson, Nils-Gunnar; Wahling,

Horst; Sund, Christian; Salvador, Lourdes; Lindstrom,

Stefan; Wallberg, Hans; Sahlberg, Christer

PATENT ASSIGNEE(S):

Medivir AB, Swed.

SOURCE:

U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of Appl.

No. PCT/SE99/01403.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

## PATENT INFORMATION:

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DATE
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                                                                                                     APPLICATION NO.
                                                          KIND
          PATENT NO.
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           US 2002128301
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           ZA 9807267
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                                                                                                     WO 1998-SE1467
          WO 9909031
                                                            A1
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                             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
                              DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
                              KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
                              NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
                              UA, UG, US, UZ, VN, YU, ZW
                    RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
                              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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           EP 1123935
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           EP 1123935
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                    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
                              SI, FI, RO
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           US 6458772
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                              TT, UA, UG, UZ, VN, YU, ZW
                    RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                           A1 20000817 WO 1999-SE1403
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           WO 2000047561
                    W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, GW, MT, MR, NE, SN, TD, TG
                              CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
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                                                                                                         AU 1999-32820
                                                           MARPAT 137:217244
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AB Non-nucleoside reverse transcriptase inhibitors Rx-L\*-O-Arl-CHR4CHR5NHC(:Z)NH-Ar2 [Arl is an unsatd., optionally substituted, mono- or bicyclic ring structure comprising 0-3 hetero atoms selected from S, O and N; Ar2 is an aromatic, optionally substituted, monocyclic ring structure comprising at least one nitrogen hetero atom and 0-2 further hetero atoms selected from S, O and N; R4, R5 = H, (cyclo)alkyl, alkenyl, alkynyl, alkoxy, alkanoyloxy, alkylthio, amino, carboxy, carbamoyl, cyano, halo, hydroxy, aminomethyl, hydroxymethyl, carboxymethyl, haloalkylthio, nitro; or R4 and R5 join to form a 3-6 membered, optionally substituted ring structure; Z = O or S; Rx is the residue of a natural or unnatural amino acid; L\* is a linker moiety which is ether, carbonate or ester] or their pharmaceutically-acceptable salts were prepared as anti-HIV agents with favorable pharmacokinetic properties. Thus, (1S,2S)-N-[cis-2-(6-fluoro-2-(L-valyloxy)methoxycarbonyloxy-3-propionylphenyl)cyclopropyl]-N'-[2-(5-cyanopyridyl)]urea was prepared and showed 70% bioavailability of released drug at a dose of 0.027 mmol/kg after 6 h in a rat bioavailability assay model.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid-containing non-nucleoside reverse transcriptase inhibitors)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 68 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:575064 CAPLUS

DOCUMENT NUMBER:

137:125091

TITLE:

Preparation of 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3-diones, related compounds, and compositions

thereof as  $TNF-\alpha$  inhibitors for treatment of cancer, inflammatory disorders, heart disease, and

related disorders

INVENTOR (S):

Robarge, Michael J.; Chen, Roger Shen-Chu; Muller,

George W.; Man, Hon-Wah Celgene Corporation, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 224 pp.

SOURCE: PCT Int. Appl CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PAT	PATENT NO.				KINI	)	DATE		1	APPL:	ICAT:		DATE				
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WO	2002														20011221		
	<b>W</b> :	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,
		ŪĠ,	US,	UZ,	VN,	ΥU,	ZA,	ZW									
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
US	2003	0455	52		A1		2003	0306	,	US 2	001-	9724	87			0011	
CA	2433	021			A1		2002									00112	
ΑU	2002	2482	52		A1		2002	0806		AU 2	002-	2482	52			00112	
ΕP	1363	900			A1		2003	1126		EP 2	001-	9971	33		2	00112	221

EP	1363	900			B1	2	007	0124									
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR						
HU	2003	0025	78		A2	2	003	1128	]	HU :	2003-	2578				20011	221
HU	2003	0025	78		A3	2	007	0828									
JР	2004	5258	89		T	2	004	0826	,	JP :	2002-	5594	8 0			20011	
NZ	5268	93			Α			1028			2001-					20011	
AT.	3525	48			$\mathbf{T}$	2	007	0215	1	TA	2001-	9971	33			20,011	221
EP	1767				A1			0328			2006-					20011	
	R:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR	, GB,	GR,	IE,	IT,	LI	, LU,	MC,
		NL,	PT,	SE,	TR,	AL,	LT,	LV,	MK,	RO	, SI						
ES	2275	758			Т3		007	0616			2001-					20011	. –
ZA	2003	0057	59		Α	2	005	0117			2003-					20030	
MX	2003	PA05	786		Α	2	004	0126			2003-					20030	
KR	7474	36			В1	2	007	0809			2003-					20030	
HK	1061	.396			A1	2	007	0824		HK	2004-	1027	64			20040	
JР	2006	0894	95		A	2	006	0406			2005-					20051	
AU	2006	2007	17		A1	2	006	0316			2006-					20060	
KR	2007	0912	35		Α	2	007	0907			2007-					20070	
PRIORIT	Y APE	LN.	INFO	. :					1	US	2000-	2583	72P		_	20001	
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									,	WO	2001-	US50	401			20011	
										KR	2007-	7031	40		<b>A</b> 3	20070	208

OTHER SOURCE(S): MARPAT 137:125091

$$\begin{array}{c|c}
 & O \\
 & NH \\
 & R^2 \\
 & NH \\
 & R^2
\end{array}$$

Title isoindole-imides I [wherein one of X and Y is CO and the other is CH2 or CO; R1 = H, (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, COR3, CSR3, CO2R4, alkyl-(NR6)2, alkyl-OR5, alkyl-CO2R5, CONHR3, CSNHR3, CON(R3)2, CSN(R3)2, or alkyl-OCOR5; R2 = H, benzyl, alkyl, alkenyl, or alkynyl; R3 = independently (cyclo)alkyl, alkenyl, alkynyl, benzyl, aryl, alkylheterocycloalkyl, alkylheteroaryl, alkyl-N(R6)2, alkyl-OR5, alkyl-CO2R5, alkyl-OCOR5, or CO2R5; R4 = alkyl, alkenyl, alkynyl, alkyl-OR5, benzyl, aryl, alkylheterocycloalkyl, or alkylheteroaryl; R5 =

II

alkyl, alkenyl, alkynyl, benzyl, aryl, or heteroaryl; R6 = independently H, alkyl, alkenyl, alkynyl, benzyl, (hetero)aryl, or alkyl-CO2R5; or R6 groups may join to form a heterocycloalkyl group; n = 0-1; with the proviso that when n = 0,  $R1 \neq H$ ; or pharmaceutically acceptable salts, hydrates, solvates, clathrates, enantiomers, diastereomers, racemates, or mixts. of stereoisomers thereof] were prepared for reducing the level of cytokines and their precursors in mammals. particular, the invention pertains to isoindole-imide compds. that are potent inhibitors of the production of  $TNF-\alpha$  (no data). For example, Me 2-(methoxycarbonyl)-3-nitrobenzoate was hydrogenated with 10% Pd/C (87%). The amine was converted to the nitrile by diazonium salt formation effected by treatment with NaNO3 followed by cyanide formation using classic Sandmeyer procedure (65%). The nitrile was reduced with 10% Pd/C in MeOH and aqueous HCl under hydrogen to afford Me 3-aminomethyl-2-(methoxycarbonyl)benzoate•HCl (90%), which was treated with TEA and then reacted with di-t-Bu dicarbonate to give the carbamate (93%). Cyclization with 3-aminoglutarimide HCl using diisopropylethylamine in DMF produced II (82%). The 2-(2,6-dioxo-3-piperidyl)isoindoline-1,3diones and pharmaceutical compns. comprising them are useful for treating or preventing diseases or disorders in mammals, e.g. cancers, such as solid tumors and blood-born tumors; heart disease, such as congestive heart failure; osteoporosis; and genetic, inflammatory, allergic, and autoimmune diseases (no data).

IT 67-47-0, 5-Hydroxymethylfuran-2-carboxaldehyde RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of (oxopiperidyl)isoindolinone TNF- $\alpha$  inhibitors by cycloaddn. of aminoglutarimides to carboxybenzoates)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О CH<sub>2</sub>-ОН

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILAB

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 69 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

7

ACCESSION NUMBER: 2002:39485 CAPLUS

DOCUMENT NUMBER: 137:

137:310758

TITLE:

Synthesis, chemistry and applications of

5-hydroxymethyl-furfural and its derivatives

AUTHOR (S):

Lewkowski, Jaroslaw

CORPORATE SOURCE:

Dep. Organic Chem., University of Lodz, Lodz, 90-136,

Pol.

SOURCE:

ARKIVOC [online computer file] (2001), 2(1), No pp.

given

CODEN: AKVCFI

URL: http://www.arkat-usa.org/ARKIVOC/JOURNAL\_CONTENT/manuscripts/2001/01-403CR%20as%20published%20mainmanus

cript.pdf

PUBLISHER: ARKAT Foundation

DOCUMENT TYPE: Journal; General Review; (online computer file)

LANGUAGE: English

AB A review on the recent developments in the synthesis, chemical and applications of 5-hydroxymethylfurfural (HMF) and its derivs. Due to its high reactivity and the polyfunctionality, HMF is a good raw material for the synthesis of precursors of various pharmaceuticals, thermo-resistant polymers and complex macrocycles. Dialdehydes are precursors for the synthesis of complexing macrocycles, oxo-porphyrins, oxo-annulenes as well as mono- and bis-alkenyl and alkynyl furans. The

diacid is a building block for numerous polyesters and polyamides and its derivs. are useful in pharmacol. HMF shows a weak cytotoxicity and mutagenicity in human. Derivs. of HMF are applied in agrochem. as fungicides, in galvanochem. as corrosion inhibitors, in cosmetic industry and as flavor agents. The synthesis of HMF is based on the triple dehydration of hexoses using various substrates such as oligo- and polysaccharides.

67-47-0P, 5-Hydroxymethylfurfural TT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis, chemical and applications of hydroxymethylfurfural, furandicarboxaldehyde, and furandicarboxyic acid)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

IT 67-47-0DP, 5-Hydroxymethylfurfural, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis, chemical and applications of hydroxymethylfurfural, furandicarboxaldehyde, and furandicarboxyic acid)

RN67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

REFERENCE COUNT: 312

THERE ARE 312 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 70 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2002:34845 CAPLUS

DOCUMENT NUMBER:

136:241062

TITLE:

Structural analysis on the constituents of Lonicera

species. XVI. On the chemical constituents of the

flower buds of Lonicera japonica thumb. (3) Kakuda, Rie; Yaoita, Yasunori; Machida, Koichi;

Kikuchi, Masao

CORPORATE SOURCE:

Tohoku Pharm. Univ., Japan

SOURCE:

AUTHOR (S):

Journal of Tohoku Pharmaceutical University (2000),

47, 55-60

CODEN: JTPUFY; ISSN: 1345-157X

PUBLISHER:

Tohoku Yakka Daigaku

DOCUMENT TYPE:

Journal

LANGUAGE: Japanese

Ergosta-5,24(28)-dien-3 $\beta$ -ol,  $\beta$ -sitosterol, campesterol,

stigmasterol,  $\beta$ -sitosterol  $\beta$ -D-glucopyranoside,

5-(hydroxymethyl)-2-furaldehyde, p-hydroxybenzaldehyde,

protochatechualdehyde, p-hydroxybenzoic acid, vanillic acid, Me quinate,

luteolin 7-0-β-D-glucopyranoside, kaempferol 3-0-β-D-

glucopyranoside, quercetin  $3-O-\beta-D$ -glucopyranoside, isorhamnetin

3-O-β-D-glucopyranoside, kaempferol 3-O-rutinoside, isorhamnetin

3-0-rutinoside, uridine, adenine, loganic acid, secologanoside, and other components were isolated from the flower buds of Lonicera japonica Thumb. (Loniceraceae). The structures of main compds. were elucidated on the

basis of NMR and other physicochem. evidences.

67-47-0P, 5-(Hydroxymethyl)-2-furaldehyde

RL: PRP (Properties); PUR (Purification or recovery); PREP (Preparation) (structural anal. on the constituents of Lonicera species. XVI. on the chemical constituents of the flower buds of Lonicera japonica thumb. (3))

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)-(CA INDEX NAME) CN

сн<sub>2</sub>-он OHC

ANSWER 71 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:173328 CAPLUS

135:192824 DOCUMENT NUMBER:

Study on chemical constituents of Gymnadenia conopsea TITLE:

Li, Shuai; Wang, Dong; Kuang, Haixue AUTHOR(S):

College of Pharmacy, Helongjiang University of CORPORATE SOURCE:

Traditional Chinese Medicines, Harbin, 150040, Peop.

Rep. China

Zhongcaoyao (2001), 32(1), 18, 38 SOURCE:

CODEN: CTYAD8; ISSN: 0253-2670

Zhongcaoyao Zazhi Bianjibu PUBLISHER:

Journal DOCUMENT TYPE: Chinese LANGUAGE:

The chemical constituents of Gymnadenia conopsea were studied. Seven compds. were separated and purified by solvent extraction and liquid chromatog. on

silica gel

analyses. The seven compds. were identified as octadecane (1), eugenol

The structures of the seven compds. were identified by spectral

(2),  $\beta$ -sitosterol (3), 5-hydroxymethylfuraldehyde (4),

 $\beta$ -D-butylfructopyranoside (5), diosgenin (6), and fructose (7).

67-47-0P, 5-(Hydroxymethyl) furaldehyde IT

RL: PUR (Purification or recovery); PREP (Preparation)

(chemical constituents of Gymnadenia conopsea)

67-47-0 CAPLUS RN

column.

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC

ANSWER 72 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:13471 CAPLUS

DOCUMENT NUMBER: 135:70930

Antioxidants in medicinal plant extracts. A research TITLE:

study of the antioxidant capacity of Crataegus,

Hamamelis and Hydrastis

da Silva, Alda Pereira; Rocha, Rui; Silva, Cristina M. AUTHOR(S):

L.; Mira, Lurdes; Duarte, M. Filomena; Florencio, M.

Helena

Laboratorio de Genetica da Faculdade de Medicina de CORPORATE SOURCE:

Lisboa, Lisbon, 1600, Port.

SOURCE: Phytotherapy Research (2000), 14(8), 612-616

CODEN: PHYREH; ISSN: 0951-418X

PUBLISHER: John Wiley & Sons Ltd.

Journal DOCUMENT TYPE:

LANGUAGE: English

The antioxidant capacity of exts. of Crataegus oxyacantha, Hamamelis virginiana, Hydrastis canadensis, plants native to Europe and North America which have long been used in herbal medicine for the treatment of cardiac and circulatory functions, has been investigated. The total antioxidant potential conferred by all hydrogen donating antioxidants present in these exts. has been assessed by the ABTS assay and the relative order of antioxidant potential has been established. Gas chromatog. coupled to mass spectrometry (GC-MS) has been used for the chemical identification of the antioxidant volatile compds. present in the exts. The GC-MS data were related to the results obtained using the ABTS assay.

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use);
BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(antioxidant capacity of Crataegus, Hamamelis and Hydrastis and their components)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ОНС О СH<sub>2</sub>-ОН

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 73 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2000:111443 CAPLUS

DOCUMENT NUMBER:

132:127698

TITLE:

Compositions containing 5-hydroxymethyl-2-furaldehyde

for therapeutic use

INVENTOR(S):

Yan, Yongqing; Zhu, Danni; Chen, Ting; Xia, Yun; Li,

Zhiming; Ma, Xiaohong

PATENT ASSIGNEE(S):

China Pharmacy Univ., Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 7 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	<b></b>			1007111
CN 1182589	A	19980527	CN 1997-107191	19971113
CN 1068777	В	20010725		
PRIORITY APPLN. INFO.:			CN 1997-107191	19971113

AB 5-Hydroxymethyl-2-furaldehyde extracted from Shengmaisan [Chinese medicine] is useful for treatment of myocardial ischemia. Shengmaisan comprises Panax ginseng Ophiopogon japonicus and Schisandra chinensis.

ginseng, Ophiopogon japonicus and Schisandra chinensis.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use);

BIOL (Biological study); OCCU (Occurrence); USES (Uses) (compns. containing 5-hydroxymethyl-2-furaldehyde for therapeutic use)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ANSWER 74 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

1999:659396 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:286826

Preparation of amino acid-containing prodrugs of TITLE:

phosphorus-containing pharmaceuticals

Zhou, Xiao-xiong; Johansson, Nils Gunnar; Wahling, INVENTOR (S):

Horst; Sund, Christian; Wallberg, Hans; Salvador,

Lourdes; Lindstrom, Stefan

PATENT ASSIGNEE(S):

Medivir AB, Swed. PCT Int. Appl., 160 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT 1	NO.			KINI	DA DA	TE			APP	LIC	ATION	NO.		D	ATE	
	9951	 613			A1		001	1014		 ₩∩	1990	 -SE5	 28	<b></b>	1	9990:	 330
WO			NΤ	7. M		AU, A								СН			
	W:	AE,	AL,	Pari,	EC,	FI, G	, בא	CE,	CH,	CM	, DI	, DI	, ch,	TI.	TN	TS.	JD,
		DE,	DK,	EE,	ED,	KZ, L	ъ, С	TV	TD	TC	, III	C, 110	, IJ,	MD,	MG.	MK,	MNI
		KE,	KG,	KP,	KK,	PL, P	лС ,	EC,	DII,	CD OT	, D	י פכ	, LV,	SK	ST.	T.T	TM
		MM,	MX,	NO,	NZ,	PL, P	'	KO,	KU,	77	, 51	i, 50	, 51,	DIC,	55,	10,	11.17
		TR,	TT,	UA,	UG,	US, U	14,	VIV,	10,	2A.	7. 21	ידי אד נ <sub>י</sub>	ספ	CH	CV	DE	DK
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						IE, I							, BF,	ВJ,	CF,	CG,	CI,
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	1121				A1	. 20	010	808		ΕP	1999	9-921	327		1	9990	330
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	2000				Ā			318				) - MN4			2	0000	925
	7755				B2			0805				1-352			2	0010	417
	2003		51		A1			0501				3-200			2	0030	218
PRIORITY				.:								3-121			A 1	9980	403

zA	1998-7267	Α	19980813
WO	1998-SE1467	W	19980814
US	1999-249317	Α	19990212
WO	1999-SE194	W	19990215
SE	1997-2957	Α	19970815
SE	1997-4147	Α	19971112
SE	1998-452	Α	19980213
SE	1998-469	A	19980216
ΑU	1998-87548	А3	19980814
SE	1998-3438	Α	19981007
ΑU	1999-32820	<b>A3</b>	19990215
WO	1999-SE528	W	19990330

OTHER SOURCE(S):

MARPAT 131:286826

Pharmaceutical compds. Drug-P(:O)-O-Linker(-R2')k-R2 [Druq-P(:0)-O- is the residue of a drug comprising a phosphonate, phosphinate, or phosphoryl function; R2 and R2' (if present) are independently the acyl residue of an aliphatic amino acid; Linker is an at least difunctional moiety comprising a first function ester-bonded to the

phosphonate, phosphinate or phosphoryl function spaced from a second function ester-bonded to R2; and k is 1 or zero] were prepared which have enhanced bioavailability or other pharmacokinetic performance relative to the parent drug. Thus, 4-amino-1-hydroxybutylidene-1,1-bisphosphonic acid (alendronate) bis[2-methyl-2-(L-valyloxymethyl)propionyloxymethyl] ester

was prepared and showed 42% bioavailability (vs. 2.2% for alendronate).

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of amino acid-containing prodrugs of phosphorus-containing pharmaceuticals)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS 11 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 75 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1999:606966 CAPLUS

DOCUMENT NUMBER:

131:228952

TITLE:

Preparation of erythromycin A macrolide LHRH

antagonists

INVENTOR(S):

Sauer, Daryl R.; Haviv, Fortuna; Randolph, John; Mort, Nicholas A.; Dalton, Christopher R.; Bruncko, Milan; Kaminski, Michele A.; Crawford, Bradley W.; Frey, Lisa

Marie; Greer, Jonathan

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

U.S., 32 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	· KIND	DATE	APPLICATION NO.	DATE
US 5955440	Α	19990921	US 1998-49963	19980327
CA 2325521	A1	19991007	CA 1999-2325521	19990311
WO 9950275	A2	19991007	WO 1999-US4658	19990311
WO 9950275	A3	20010222		

GI

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             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, UZ, VN, YU, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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    AU 9930674
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                                 19991018
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                                                                      19990311
                                             BR 1999-9080
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    BR 9909080
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                                 20001212
                                             EP 1999-912259
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    EP 1066304
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                           B1
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, FI, RO
                                 20010521
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                                                                      19990311
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                                 20011128
                                             HU 2001-2011
                                                                      19990311
    HU 2001002011
                           A2
    HU 2001002011
                                 20030728
                           А3
    JP 2002509937
                           Т
                                 20020402
                                              JP 2000-541178
                                                                      19990311
    AT 289609
                           Т
                                 20050315
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                                              PT 1999-912259
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    PT 1066304
                           Т
                                 20050630
                                                                      19990311
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                                              ES 1999-912259
    ES 2238828
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                                 20050715
                                              IN 2000-MN403
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     IN 2000MN00403
                           Α
                                 20010419
                                              MX 2000-PA9423
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    MX 2000PA09423
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                                              BG 2000-104844
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    HK 1036284
                           A1
                                 20051209
                                              HK 2001-104717
                                                                      19980327
                                              US 1998-49963
PRIORITY APPLN. INFO.:
                                                                   W
                                                                      19990311
                                              WO 1999-US4658
                         MARPAT 131:228952
OTHER SOURCE(S):
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 $R^{3}$  - A - (CH<sub>2</sub>) n Me Me Me Me Me Me OMe Me OMe Me OMe Me OMe

Macrolide erythromycins I (A is selected from the group consisting of: C, N, O; X and Y are independently hydrogen, halide, trifluoromethyl, alkoxy, alkyl, aryl; R is alkyl, cycloalkyl, heterocycle, substituted heterocycle, alkylcycloalkyl, substituted alkylcycloalkyl, alkylaryl, alkylheterocycle, alkenyl, alkynyl, C(S)NHR4, C(NR4)-NHR4, wherein R4 is hydrogen, alkyl, or aryl; R2 and R3 are hydrogen, Me, or R2 and R3 together with A to which they are attached may form a cyclic moiety, when A is C; R3 is absent when A is N; and n = 1-3) were prepared as antibacterial agents. Disclosed are 3'-N-desmethyl-3'-N-substituted-6-O-methyl-11-deoxy-11,12-cyclic carbamate erythromycin A derivs. which are antagonists of LH-releasing hormone

I

(LHRH). Also disclosed are pharmaceutical compns. comprising the compds., to methods of using the compds. and to the process of making the same. Thus, 3'-N-desmethyl-3'-N-cyclopentyl-11-deoxy-11-[carboxy-(3,4-dichlorophenethylamino)]-6-O-methyl-erythromycin A 11,12-(cyclic carbamate) was prepared as antibacterial agent. Representative compds. of the present invention were evaluated in in vitro tests for LHRH rat pituitary receptor binding (8.02 < pK1 < 9.49) and for LH inhibition from rat pituitary cells for antagonist potency (pA2).

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of erythromycin A macrolide LHRH antagonists)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

 $\mathsf{OHC} \overset{\mathsf{O}}{ / \! / } \mathsf{CH}_2 - \mathsf{OH}$ 

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 76 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:529169 CAPLUS

DOCUMENT NUMBER: 131:170633

TITLE: Preparation of amino acid-containing prodrugs

INVENTOR(S): Johansson, Nils Gunnar; Zhou, Xiao-xiong; Wahling, Horst; Sund, Christian; Wallberg, Hans; Salvador,

Lourdes; Lindstrom, Stefan

PATENT ASSIGNEE(S): Medivir AB, Swed.

SOURCE: PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PAT	CENT	NO.			KINI	)	DATE		1	APPL:	ICAT:	I NOI	. O <i>l</i>		D	ATE	
	- <b></b> -	<b>-</b> -				-					- <b></b> -			<b></b>			
·WO	9941	275			Al		1999	0819	Ţ	WO 19	999-9	SE194	4		19	99902	215
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		KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,
		MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,
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		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
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ZA	9807	267			A		1999	0215	:	ZA 19	998-	7267			1:	99808	313
WO	9909																
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		NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,
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EP	1.123																
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                               A1 20010808 EP 1999-921327
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     AU 9956658
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             0956 A1 20011107 EP 1999-943591 19990818
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     IN 2001MN00927 A 20050304
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A 19980216
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PRIORITY APPLN. INFO.:
                                                      SE 1998-469
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                                                                              W 19980814
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                                                                             A 19981007
A 19970815
A 19971112
                                                      SE 1998-3438
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                                                      AU 1998-87548
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                                                      EP 1998-939041
                                                                              A3 19980814
                                                                              Al 19980814
                                                      NZ 1998-502837
                                                                              A 19990212
                                                      US 1999-249317
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                                                                              A3 19990215
                                                      WO 1999-SE194
WO 1999-SE528
                                                                         W 19990215
W 19990330
W 19990818
                                                      WO 1999-SE1403
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OTHER SOURCE(S): MARPAT 131:170633

Pharmaceutical compds. or intermediates in their synthesis
D\*-Linker\*(R2')k-R2 [R2 and R2' (if present) is the amide or ester residue
of an aliphatic amino acid, k is 0 or 1, D\* is a drug residue bearing an
accessible function selected from amine, hydroxy and carboxy, or a group
amenable to attachment to the accessible function, Linker\* is an at least
bifunctional linker comprising a first function bound to the accessible
function spaced from a second function forming an amide or acyl bond with
the aliphatic amino acid] were prepared Thus, 2',3'-dideoxy-3'-fluoro-5'-O-{3[1,3-bis(L-valyloxy)-2-propyloxycarbonyl]propanoyl}guanosine was prepared
and shown to provide significantly enhanced oral bioavailability relative
to the active metabolite 2',3'-dideoxy-3'-fluoroguanosine.

IT 67-47-0

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of amino acid-containing prodrugs)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

ОНС О CH<sub>2</sub>-ОН

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 77 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:600014 CAPLUS

DOCUMENT NUMBER: 12

129:245410

TITLE:

Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents

containing them

INVENTOR (S):

Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Koji;

Ookubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S):

Banyu Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10245390	A	19980914	JP 1997-61875	19970228
JP 3536574	B2	20040614		
JP 2004099617	Α	20040402	JP 2003-351296	20031009
PRIORITY APPLN. INFO.:			JP 1997-61875 A3	19970228
OTHER SOURCE(S):	MARPAT	129:245410		
GI				

AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β-D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH2)mR = CH2C6H3(OH)2-3,5] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice.

IT 67-47-0, 5-Hydroxymethylfurfural
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glucopyranosylindolopyrrolocarbazole derivs. as antitumor

agents)
RN. 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

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L3 ANSWER 78 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1998:572297 CAPLUS

DOCUMENT NUMBER:

129:203270

TITLE:

Preparation of prolinamide derivatives as thrombin

inhibitors

INVENTOR(S):

Lumma, William C.; Tucker, Thomas J.; Witherup, Keith

M.; Brady, Stephen F.; Whitter, Willie L.; Vacca,

Joseph P.; Coburn, Craig; Shafer, Jules A.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA

SOURCE:

U.S., 24 pp.

DOCUMENT TYPE:

CODEN: USXXAM

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5798377	A	19980825	US 1996-734148	19961021
PRIORITY APPLN. INFO.:			US 1996-734148	19961021
OTHER SOURCE(S):	MARPAT	129:203270	•	

GI

Prolinamide derivs. I (R = RaRbCHCHX, where Ra and Rb = H, alkyl, aryl, cycloalkyl or RaRbC = cycloalkyl, X = NHRc, where Rc = H, Me, hydroxy-, carboxy- or carboxamidoalkyl, phenylalkylsulfonyl, etc.; R2 and R5 = H, alkyl, alkoxy, halo, carboxy, etc.) or their pharmaceutically acceptable salts were prepared as thrombin inhibitors. Thus, D- $\beta$ ,  $\beta$ -diphenylala, Pro-N-(2,5-dichlorophenyl) methylamide, prepared by amidation of the proline derivative, showed Ki >10 nM and <500 nM for inhibition of thrombin.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of prolinamide derivs. as thrombin inhibitors)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 79 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:552780 CAPLUS

DOCUMENT NUMBER: 130:7325

TITLE: Research on chemical dynamic changes and drug efficacy

of Shengmaisan (SMS) complex prescription (II)

AUTHOR(S): Zhu, Danni; Li, Zhiming; Yan, Yongqing; Zhu, Jinggang

CORPORATE SOURCE: Department of Chinese Medicinal Prescription, China

Pharmaceutical University, Nanjing, 210038, Peop. Rep.

China

SOURCE: Zhongguo Zhongyao Zazhi (1998), 23(5), 291-293

CODEN: ZZZAE3; ISSN: 1001-5302

PUBLISHER: Zhongguo Yaoxuehui Zhongguo Zhongyi Yanjiuyuan Zhongya

Yanjiuso

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB The content changes of 5-hydroxymethyl-2-furaldehyde (5-HMF), a new compound, were reported in the previous paper and the content changes of 5-HMF in eight prescriptions of different composition were further determined

HPLC with a view to find the chemical dynamic changes and compatibility of medicines. The contents of 5-HMF in different combinations of Radix Ophiopogonis and Fructus Schisandrae, different boiling times and boiling frequency were examined by HPLC on Shim-pack CLC-ODS column with CH3OH:H2O (40:60) at 289 nm. The results indicated that 5-HMF was produced in the boiling process of Radix Ophiopogonis and Fructus Schisandra combined. The contents of 5-HMF could increase with the increase of Radix Ophiopogonis amount, reaching the highest value after 1.5 h boiling and two times of boiling.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(chemical dynamic changes and drug efficacy of Shengmaisan, a combination of Ophiopogon root and Schisandra fruits)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

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L3 ANSWER 80 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:214944 CAPLUS

DOCUMENT NUMBER: 128:299414

TITLE: Effects of Shimotsu-to on the microcirculation of the

bulbar conjunctiva and hemorheological parameters in

normal subjects

AUTHOR(S): Kojima, S.; Hikiami, H.; Yang, Q.; Matsumi, S.; Umeda,

Y.; Terasawa, K.

CORPORATE SOURCE: Central Research Laboratories, Yomeishu Seizo Co.,

Ltd., Nagano, 399, Japan

SOURCE: Phytomedicine (1998), 5(1), 19-24

CODEN: PYTOEY; ISSN: 0944-7113

PUBLISHER: Gustav Fischer Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

The effects of "Shimotsu-to" (Si-Wu-Tang in Chinese), one of the most important prescriptions for "ketsukyo" and "oketsu" syndrome in traditional Chinese medicine, on the microcirculation of bulbar conjunctiva and the hemorheol. parameters were examined By HPLC the mean constituents were determined After a h of oral administration of Shimotsu-to extract, the blood flow rate and the blood flow volume increased and the DEA (maximum diameter of the column of intravascular erythrocyte aggregation) decreased. The whole blood viscosity declined at middle and high shear rates, but both the plasma viscosity and the erythrocyte deformability were not effected. These results suggest that Shimotsu-to has a salutary effect on the microcirculation through a decrease in the whole blood viscosity.

IT 67-47-0, 5-Hydroxymethyl-2-furfural
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use);
BIOL (Biological study); OCCU (Occurrence); USES (Uses)
(Shimotsu-to constituents and hemorheol. effects)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

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L3 ANSWER 81 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:116570 CAPLUS

DOCUMENT NUMBER: 128:172224

TITLE: Identification of a pill for eye-diseases from

traditional Chinese medicine

AUTHOR(S): Martens-Lobenhoffer, J.; Behrens-Baumann, W.; Loesche,

D.; Meyer, F. P.

10/531,714

CORPORATE SOURCE:

Institute Clinical Pharmacology, Otto-von-Guericke-

University, Magdeburg, D-39120, Germany

SOURCE:

Pharmazie (1998), 53(2), 136-137 CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER:

Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE:

Journal

English

LANGUAGE: AΒ

The "pill 7" used in the traditional Chinese medicine was analyzed for components. Benzoic, palmitic, stearic, oleic, linoleic acid,  $\beta$ -sitosterol,  $\alpha$ -tocopherol, germacrene D (a natural sesquiterpene), 5-hydroxymethyl-2-furaldehyde, diisooctyl phthalate,

catechin tannins, lignin, starch, crystals, parts of vessels, and sclerenchym fibers were found.

67-47-0, 5-Hydroxymethyl-2-furaldehyde IT RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(identification of a pill for eye-diseases from traditional Chinese medicine)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

 $CH_2 - OH$ 

ANSWER 82 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN T.3

ACCESSION NUMBER: DOCUMENT NUMBER:

1997:400089 CAPLUS 127:13457

TITLE:

Peptide derivative thrombin inhibitors, preparation

and activity thereof, and pharmaceutical

compositions

INVENTOR(S):

Lumma, William C.; Tucker, Thomas J.; Witherup, Keith

M.; Brady, Stephen F.; Whitter, Willie L.; Vacca,

Joseph P.; Coburn, Craig; Shafer, Jules A.

PATENT ASSIGNEE(S):

SOURCE:

Merck and Co., Inc., USA PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.			KIN	D :	DATE			APPI	ICAT	ION I	. O <i>l</i>		D	ATE		
		<b>-</b> -				-				<b>-</b> -					-			
WO	9715	190			A1		1997	0501		WO 1	.996-1	US16	865		. 1:	9961	<b>J21</b>	
	W:	AL,	AM,	AU,	AZ,	·BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,	
		IL,	IS,	JΡ,	KG,	KR,	KZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,	
											TM,							
		AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM								
	RW:	KE,	LS,	MW,	SD,	SZ,	ŪĠ,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
											CF,							
		MR,	NE,	SN,	TD,	TG												
CA	2233	860			A1		1997	0501		CA 1	.996-	2233	860		1.	9961	021	
AU.	9674	634			Α		1997	0515		AU 1	.996-	7463	4		1.	9961	021	
ΑU	7090	88			B2		1999	0819										
EP	8582	62			A1		1998	0819		EP 1	.996-	9368	04		1	9961	021	
EP	8582	62			В1		2002	1204										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
JP	1151										.996-							

AT 1996-936804 19961021 Т 20021215 AT 228760 · ES 1996-936804 Т3 20030516 19961021 ES 2186807 PRIORITY APPLN. INFO.: US 1995-6076P P 19951024 GB 1996-5163 A 19960312 US 1996-23164P P 19960805 WO 1996-US16865 W 19961021

OTHER SOURCE(S): MARPAT 127:13457

AB Peptide derivs. (Markush included) are prepared which inhibit human thrombin. The compds. of the invention may be used for inhibition of thrombus formation. Preparation of e.g. Boc-D-cyclohexylglycine-proline-N-[2-(0-ethylacetamido)-5-chloro] benzylamide is described. Biol. activity of compds. of the invention is reported, and tablet and i.v. formulations are presented.

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction; peptide derivative thrombin inhibitors, preparation and activity thereof, and pharmaceutical compns.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ANSWER 83 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1996:668158 CAPLUS

DOCUMENT NUMBER: TITLE:

125:308780 Study on processing of Rehmannia glutinosa Libosch.

II. Influence of processing on the reducing sugar

content

AUTHOR (S):

Liu, Meili; Bai, Rongzhi; Feng, Hanlin

CORPORATE SOURCE:

Tianjing Inst. of Pharmaceutics, Tianjing, 300193,

Peop. Rep. China

SOURCE:

Zhongcaoyao (1996), 27(8), 470 CODEN: CTYAD8; ISSN: 0253-2670

PUBLISHER:

Guojia Yiyao Guanliju Tianjin Yaowu Yanjiuso

DOCUMENT TYPE:

Journal

LANGUAGE:

Chinese

The Rehmannia glutinosa before and after steaming had different pharmaceutical features. Study on the alteration of reducing sugar content during processing showed that maximum reducing sugar content occurred at the 4th h of pressured steaming or the 24th h of atmospheric steaming. Since part of the polysaccharides and holosides were hydrolyzed to reducing sugar during the process of steaming, the reducing sugar content was increased. But long time steaming caused transformation of reducing sugar to 5-hydroxymethyl furfural, which made the product bitter. The results suggest that proper time course of steaming is important and reducing sugar content can be a valid quality control standard

IT 67-47-0, 5-Hydroxymethyl furfural

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (steaming of Rehmania glutinosa effect on reducing sugars)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

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CORPORATE SOURCE:

SOURCE:

ANSWER 84 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

1996:123378 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 124:211789

The effect of productive technologic processes on TITLE:

5-hydroxymethylfurfural in glucose injection solution

Liu, Laer; Chen, Lixin; Zhang, Zheng; Peng, AUTHOR (S):

Chengsheng; Xie, Qiuyuan; Liu, Fengqin; Wang, Bin 163 Hospital PLA, Changsha, 410003, Peop. Rep. China Zhongguo Yaoxue Zazhi (Beijing) (1995), 30(9), 553-5

CODEN: ZYZAEU; ISSN: 1001-2494

Zhongguo Yaoxuehui PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: Chinese

Factors affecting 5-hydroxymethylfurfural content were estimated by orthodox cross designed expts. The main factors involved was the sterilizing time, temperature and position in the autoclave. The effect of pH was insignificant, but storage time showed no influence. Concentrated mother solns. prepared overnight contained more 5-HMF even after sterilization.

67-47-0, 5-Hydroxymethylfurfural IT

RL: ANT (Analyte); PEP (Physical, engineering or chemical process); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)

(production technol. processes effect on hydroxymethylfurfural in glucose injection solution)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC - CH2-OH.

ANSWER 85 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:81330 CAPLUS

124:192929 DOCUMENT NUMBER:

Simultaneous determination of sugars and their TITLE:

degradation product 5-hydroxymethylfurfural in foods

and pharmaceuticals by high-performance

liquid chromatography

Yuan, Jianping; Guo, Siyuan; Li, Lin AUTHOR(S):

Coll. Light Ind. Food Eng., South China Univ. CORPORATE SOURCE: Technol., Canton, 510641, Peop. Rep. China

Fenxi Huaxue (1996), 24(1), 57-60 SOURCE:

CODEN: FHHHDT; ISSN: 0253-3820

Zhongguo Huaxuehui Fenxi Huaxue Bianji Weiyuanhui PUBLISHER:

Journal DOCUMENT TYPE: Chinese LANGUAGE:

5-Hydroxymethylfurfural (5-HMF) is a degradation product of sugars. A HPLC method for the simultaneous determination of sucrose, glucose, fructose and 5-HMF

in foods and pharmaceuticals by HPLC is reported. 5-HMF and sugars are separated on an Aminex HPX-87H column (300 + 7.8 mm) with acetonitrile-0.01 mol/L H2SO4 (40:60) as mobile phase and with dual detectors, a UV detector (280 nm) to measure 5-HMF, and a refractometer to measure sugars.

67-47-0, 5-Hydroxymethylfurfural IT

RL: ANT (Analyte); ANST (Analytical study)

(simultaneous determination of sugars and their degradation product 5-hydroxymethylfurfural in foods and pharmaceuticals by HPLC)

RN 67-47-0 CAPLUS 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ANSWER 86 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

1996:27558 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

124:126971

TITLE:

Chemical studies on crude drug processing. X. On the constituents of Rehmanniae Radix (4): comparison of

the constituents of various Rehmanniae Radixes

originating in China, Korea, and Japan

AUTHOR (S):

Kitagawa, Isao; Fukuda, Youichi; Taniyama, Toshio;

Yoshikawa, Masayuki

CORPORATE SOURCE:

Fac. Pharmaceutical Sci., Osaka Univ., Osaka, 565,

Japan

SOURCE:

Yakugaku Zasshi (1995), 115(12), 992-1003

CODEN: YKKZAJ; ISSN: 0031-6903 Pharmaceutical Society of Japan

PUBLISHER: DOCUMENT TYPE:

Journal

Japanese LANGUAGE:

In order to characterize the chemical change of their constituents during the ΔR processing of various Rehmanniae Radixes, we have investigated the constituents by comparing with those of Chinese Juku-jio (variously processed root of Chinese Rehmannia sp.), Korean Kan-jio (dried root), and Japanese Juku-jio (steamed root), prepared from Rehmannia glutinosa Libosch. var. purpurea Makino (Akaya-jio in Japanese) and Rehmannia glutinosa Libosch. forma hueichingensis Hsiao (Kaikei-jio in Japanese). During processing in preparation of Kan-jio and Juku-jio from Sho-jio, jio-serebroside and acetoside were isolated, and the iridoid glycosides were completely degraded or their contents decreased remarkably. Quant. anal. by means of gas liquid chromatog. (GLC) has confirmed that the contents of monosaccharides and oligosaccharides in Kan-jio and Juku-jio increased more than those in Sho-jio. During the course of these studies, a new iridoid glycoside named 6'-O-acetylcatalpol was isolated from Japanese Sho-jio and the structure was determined

67-47-0, 2-Furancarboxaldehyde, 5-hydroxymethyl-RL: BOC (Biological occurrence); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (comparison of the constituents of various Rehmanniae Radixes from China, Korea, and Japan)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

ANSWER 87 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

1995:626552 CAPLUS. ACCESSION NUMBER:

DOCUMENT NUMBER:

123:65648

TITLE:

A study of decomposition of intravenous sucrose

infusions

AUTHOR (S):

Rathi, R.; Dhaneshwar, S. R.

CORPORATE SOURCE:

Dept. Pharmacy, SGSITS, Indore, 452 003, India

10/531,714

SOURCE: Eastern Pharmacist (1995), 38(448), 133-5

CODEN: EAPHA6; ISSN: 0012-8872

DOCUMENT TYPE:

Journal English

LANGUAGE: English

AB A practical approach for studying the breakdown of refined sugar solns. under different sterilizing conditions has been developed using an autoclave. The effects of presence of sodium-chloride, E.D.T.A., pH,

temperature, concentration and the time of heating on the inversion of refined

sugar in

aqueous solns. were studied. Exptl. findings showed that formation of 5-hydroxymethyl-2-furfuraldehyde (5-HMF) is less if refined sugar solution is subjected to sterilizing condition of lower temperature for longer time rather than vice versa. Use of sodium chloride, EDTA, sodium sulfite checks the rate of inversion, and also effects the formation of 5-HMF in refined sugar solution Adjustment of pH of refined sugar solution (before autoclaving) to 3 results in less 5-HMF being produced, and inversion can be carried out at lower temps. compared to unadjusted solns. Assay procedure is correlated with invert sugar scale in polarimeter to simplify the procedure and for saving time.

IT 67-47-0, 5-Hydroxymethyl-2-furfuraldehyde

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative) (study of decomposition of i.v. sucrose infusions)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

L3 ANSWER 88 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:449749 CAPLUS

DOCUMENT NUMBER: 122:222591

TITLE: Characteristic component of Rehmanniae Radix Preparata

compared to Rehmannaie Radix and Rehmanniae Radix

Crudus

AUTHOR(S): Hong, Sun Pyo; Kim, Young Chul; Kim, Kyeong Ho; Park,

Jeong Hill; Park, Man Ki

CORPORATE SOURCE: College Pharmacy, Seoul National University, Seoul,

151-742, S. Korea

SOURCE: Analytical Science & Technology (1993), 6(4), 401-4

CODEN: ASCTET; ISSN: 1225-0163

PUBLISHER: Korean Society of Analytical Sciences

DOCUMENT TYPE: Journal LANGUAGE: English

AB Rehmanniae Radix Preparata is manufactured with Rehmanniae Radix according to KP V. For quality control of Rehmanniae Radix Preparata, its standard component is required. The methanol exts. of Rehmanniae Radix crudus, Rehmanniae Radix, Rehmanniae Radix preparata were divided into the three

groups of ether, butanol and aqueous fraction by liquid-liquid separation In

the

comparative TLC of the ether fraction, the characteristic component of Rehmanniae Radix preparata was found. The ether fraction was evaporated and separated on the silica gel column with chloroform-methanol and further separated

by silica gel TLC with chloroform-methanol-water. The component was elucidated as 5-(hydroxymethyl)-2-furancarboxaldehyde (5-HMF). 5-HMF was not found in Rehmanniae Radix crudus and found in Rehmanniae radix is much less quantities than Rehmanniae Radix Preparata.

IT 67-47-0, 5-(Hydroxymethyl)-2-furancarboxaldehyde RL: ANT (Analyte); BOC (Biological occurrence); BSU (Biological study,

unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL
(Biological study); OCCU (Occurrence); USES (Uses)

(Rehmanniae Radix characteristic component)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

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L3 ANSWER 89 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:449469 CAPLUS

DOCUMENT NUMBER: 122:222659

TITLE: Influence of intermediate pH of glucose injection on

glucose content, pH and 5-hydroxymethylfurfural

content of final product

AUTHOR(S): Zhang, Wen; Jiang, Lei; Ma, Yan; Guo, Ningning; Jiang,

Guohui; Zhang, Weicong

CORPORATE SOURCE: Laiyang Central Hosp., Laiyang, 265200, Peop. Rep.

China

SOURCE: Zhongguo Yaoxue Zazhi (Beijing) (1995), 30(2), 90-1

CODEN: ZYZAEU; ISSN: 1001-2494

PUBLISHER: Zhongguo Yaoxuehui

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB The effects of intermediate pH of glucose injection during preparation process on the main indexes, the glucose content, pH and 5-hydroxymethylfurfural

content, were studied for control of final product. The results showed

that the intermediate pH between 3.80-4.00 might be selected.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)

(pH effect on stability of glucose injections)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

онс О СH<sub>2</sub>-он

L3 ANSWER 90 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:422191 CAPLUS

DOCUMENT NUMBER: 122:196660

TITLE: Processing of adhesive Rehmannia (Rehmannia

glutinose). I. Extraction, separation, identification

and assay of 5-hydroxymethyl-furfurol

AUTHOR(S): Liu, Meili; Bai, Mei; Bai, Rongzhi; Feng, Hanlin

CORPORATE SOURCE: Tianjin Inst. Pharmaceutical Res., State

Pharmaceutical Adm. China, Tianjin, 300193, Peop. Rep.

China

SOURCE: Zhongcaoyao (1995), 26(1), 13-14

CODEN: CTYAD8; ISSN: 0253-2670

PUBLISHER: Guojia Yiyao Guanliju Tianjin Yaowu Yanjiuso

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB In the study of processing of Dihuang, a traditional Chinese drug composed

of the rhizome of Rehmannia glutinose Libosch, attention was paid to

reveal the chemical changes occurred in the course of processing. In order

to find some clues for the process control, changes in the TLC spectrograms were examined and one of the component peak was found changing gradually as the processing went on. Phytochem. separation and identification revealed that the component peak features 5-hydroxymethylfurfurol (5-HMF). TLC spectrometric estimation of the 5-HMF contents was developed and used to monitor the process. It was found that the 5-HMF content at the end of processing was 20 times higher than that at the start. Biol. assay indicated that 5-HMF possesses marked antiplatelet activity, which supports the use of Dihuang as a blood activating agent in traditional Chinese medicine.

IT 67-47-0, 5-(Hydroxymethyl)-2-furfural

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative); OCCU (Occurrence)

(hydroxymethylfurfurol content in processing of Dihuang (Rehmannia glutinosa rhizome))

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 91 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:205989 CAPLUS

DOCUMENT NUMBER: 122:265742

TITLE: Opioid agonist compounds as analgesics

INVENTOR(S): Dappen, Michael S.; Pitzele, Barnett S.; Rafferty,

Michael F.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: U.S., 21 pp. Cont.-in-part of U.S. 5,225,417.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5354863	A	19941011	US 1993-21694	19930224
US 5225417	A	19930706	US 1992-823221	19920121
US 5436249	A	19950725	US 1994-243661	19940516
PRIORITY APPLN. INFO.:			US 1992-823221 A2	19920121
			US 1993-21694 A1	19930224
omuma dorman (a)	MADDAT	100.065740		

OTHER SOURCE(S): MARPAT 122:265742

GΙ

$$R^{2}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 

The present invention provides novel substituted opioid analgesic compds. I (R1 = CN; R2 = OR4 wherein R4 = O2CH, O2C-C1-5-alkyl; R3 = CHO, CO-C1-5-alkyl or -alkoxy; X = O, Y = H) which are opioid agonists, and which are useful as analgesic agents for the treatment of pain, pharmaceutical compns. comprising a therapeutically-effective amount of a compound I in combination with a pharmaceutically-acceptable carrier, and a method for eliminating or ameliorating pain in an animal comprising administering a therapeutically-effective amount of a compound of I to the animal. PBQ writhing assay at 10 and/or 30 mpk/g body weight (i.g. or s.c.): 4/10 mice exhibited inhibition of writhing. Tail flick assay: active at a dose of ca. 10 nmol. Opiate binding assay: mean IC50 (nM) values of 1 to >10000 with  $\mu/\delta$  ratios of 1.2 to >850.

IT 67-47-0, 5-(Hydroxymethyl) furfural

RL: RCT (Reactant); RACT (Reactant or reagent)
 (opioid agonist compds. as analgesics)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

SOURCE:

L3 ANSWER 92 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:486211 CAPLUS

DOCUMENT NUMBER: 121:86211

TITLE: Hydroxymethylfurfural, a possible basic chemical for

industrial intermediates

AUTHOR(S): Kunz, Markwart

CORPORATE SOURCE: Inst. Landwirtschaftliche Technol. Zuckerind., Tech.

Univ. Braunschweig, Braunschweig, 3300, Germany Studies in Plant Science (1993), 3(Inulin and

Inulin-Containing Crops), 149-60

CODEN: SPLCEU; ISSN: 0928-3420

DOCUMENT TYPE: Journal LANGUAGE: English

AB Fructose ex inulin can be readily converted to the basic chemical hydroxymethylfurfural (HMF). Due to its various functionalities HMF, in its turn, could be utilized to produce a wide range of chemical intermediates or end-products. Among the reactions possible, some are discussed to illustrate the potential to open up important fields of industrial application of these HMF-derived chems., for instance as polymers, surfactants, solvents, pharmaceuticals and plant protection agents. In particular polymers seem to constitute a very interesting area of potential applications. Among these polymers, polyesters and

polyamides, the latter being comparable with the terephthalic acid- and isophthalic acid-based polyamides Kevlar and Nomex, are worth mentioning. In addition, conducting polyene-like furan polymers seem to be promising, especially for their potential application in batteries, sensors and switches. However, prerequisite for a substantial future role of HMF as a basic chemical is a low price. It means that, if fructose ex inulin should be used for HMF production, the price level for inulin should be roughly DM 1000 per ton.

IT 67-47-0, Hydroxymethylfurfural

RL: USES (Uses)

(use of fructose-derived, in organic and polymer synthesis)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 93 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:161915 CAPLUS

DOCUMENT NUMBER: 120:161915

TITLE: Semiautomatic determination of furanic aldehydes in

food and pharmaceutical samples by a stopped-flow injection analysis method

AUTHOR(S): Espinosa-Mansilla, A.; Munoz de la Pena, A.; Salinas,

F.

CORPORATE SOURCE: Dep. Anal. Chem., Univ. Extremadura, Badajoz, 06071,

Spain

SOURCE: Journal of AOAC International (1993), 76(6), 1255-61

CODEN: JAINEE; ISSN: 1060-3271

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A kinetic study of the reactions of 5-hydroxymethyl-2-furfuraldehyde and furfural with 2-thiobarbituric acid (TBA) by a stopped-flow flow injection anal. technique has been undertaken. A semiautomatic method for the anal.

determination of these furanic aldehydes is proposed on the basis of reaction

with

TBA. The proposed stopped-flow method was successfully applied to several com. pharmaceutical preprise and food samples. The procedure is

faster than the earlier procedure for determination of these compds. in foods

and pharmaceuticals.

IT 67-47-0, 5-Hydroxymethyl-2-furfuraldehyde

RL: ANT (Analyte); ANST (Analytical study) (determination of, by stopped-flow injection, in food and

pharmaceutical samples)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 94 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:144340 CAPLUS

DOCUMENT NUMBER: 120:144340

TITLE: Stability-indicating HPLC assay for paracetamol,

quaiphenesin, sodium benzoate and oxomemazine in cough

syrup

AUTHOR (S):

Hewala, Ismail I.

CORPORATE SOURCE:

Fac. Pharm., Univ. Alexandria, Alexandria, 21521,

SOURCE:

Analytical Letters (1994), 27(1), 71-93

CODEN: ANALBP; ISSN: 0003-2719

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A stability-indicating, specific, sensitive and validated reversed-phase HPLC assay for paracetamol, guaiphenesin, sodium benzoate and oxomemazine in the presence of degradation products, i.e. 4-aminophenol and guaiacol, as well, as the co-formulated adjuvants and 5-hydroxymethylfurfural, a

commonly formed compound in syrups during formulation and/or storage of pharmaceutical syrups, has been developed to allow simultaneous determination of these compds. in a cough syrup. The HPLC method includes the

use

of a two-line solvent delivery system. The specificity, precision in term of both repeatability (i.e. intraday precision) and reproducibility (i.e. interday precision), limit of detection of the degradation products and ruggedness due to column to column batch and source variation have been discussed. The developed method has been applied for the determination of the main drugs and their degradation products in freshly prepared as well as in stored samples of cough syrup.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in cough syrup as degradation product, by

stability-indicating

reversed-phase HPLC)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 95 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN 1.3

ACCESSION NUMBER: 1994:62086 CAPLUS

DOCUMENT NUMBER:

120:62086

TITLE:

Studies on aldose reductase inhibitors from natural products. V. Active components of Hachimijiogan (Kampo

medicine)

AUTHOR (S):

Shimizu, Mineo; Zenko, Yutaka; Tanaka, Ryoichi;

Matsuzawa, Tomoko; Morita, Naokata

CORPORATE SOURCE:

Fac. Pharm. Sci., Toyama Med. and Pharm. Univ., Sugitani, 930-01, Japan

SOURCE:

Chemical & Pharmaceutical Bulletin (1993), 41(8),

1469-71

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

Journal

English LANGUAGE:

Aldose reductase (AR) inhibitory activity-directed fractionation of Hachimijiogan led to the isolation of 5-(hydroxymethyl)-2-furfuraldehyde (I) and ellagic acid (II). II was reported to be a strong AR inhibitor in this series of study on AR inhibitors, but I is the first isolation from a The AR inhibitory activity of the natural source and as an AR inhibitor. 8 crude drugs which constitute Hachimijiogan, and a comparison of their components by TLC, were also examined Corni fructus was one of the important drugs having an AR inhibitory effect, and only in this drug were I and II present together. .

IT 67-47-0, 5-(Hydroxymethyl)-2-furfuraldehyde

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(of Hachimijiogan, aldose reductase inhibitory activity of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde; 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 96 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:33982 CAPLUS

DOCUMENT NUMBER: 120:33982

TITLE: Production of chlorine dioxide solution having low

chloride ions Roozdar, Habib

PATENT ASSIGNEE(S): ARCO Research Co., Inc., USA

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9317960	A1 19930916	WO 1993-US2015	19930304
W: CA RW: AT. BE. CH.	DE. DK. ES. FR.	GB, GR, IE, IT, LU,	MC, NL, PT, SE
EP 629177	A1 19941221	EP 1993-907256	19930304
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IE, IT, LI,	LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.:		US 1992-846468	A 19920304
		US 1992-980262	A 19921123
		WO 1993-US2015	W 19930304

ADDITCATION NO

The process comprises forming a solution mixture of a salt of chlorite and a low pKa biol. compatible acid to produce chlorous acid and adding a disproportionation agent into the solution to enhance chlorous acid disproportionation to ClO2. The residual chloride ions in the solution is minimized and the disinfecting solution can be used in the food processing, drinking water, pharmaceutical production, and medical and dental related industries.

IT 67-47-0, 5-Hydroxymethyl-2-furfural

RL: USES (Uses)

(in chloride-low chlorine dioxide manufacture from chlorite)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 97 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:219948 CAPLUS

DOCUMENT NUMBER: 118:219948

TITLE: Detection and determination of interfering

5-hydroxymethylfurfural in the analysis of

caramel-colored pharmaceutical syrups

AUTHOR(S): Hewala, I. I.; Zoweil, A. M.; Onsi, S. M.

CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, 21521,

Egypt

SOURCE: Journal of Clinical Pharmacy and Therapeutics (1993),

18(1), 49-53

CODEN: JCPTED; ISSN: 0269-4727

DOCUMENT TYPE:

Journal English

LANGUAGE: English

AB A comparison between different caramels described for use in the pharmaceutical industry is presented. An interfering substance, 5-hydroxymethylfurfural (5-HMF), was detected in some caramels. Conditions and proofs for the formation of 5-HMF are presented. Interference by 5-HMF during the anal. of the active drugs and the possibility of interaction with the active drugs during the shelf-life of the drug formulation are discussed. A limit test for 5-HMF in caramel was developed. The test depends on measuring the difference in absorbance between two equimolar solns. of caramel, one of which contains sodium borohydride. The test is sensitive and selective for the detection and

determination of trace amts. of 5-HMF without interference from the brown

products of caramel.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in caramel-colored syrups, spectrophotometric)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

OHC CH<sub>2</sub>-OH

L3 ANSWER 98 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:197888 CAPLUS

DOCUMENT NUMBER:

118:197888

TITLE:

Optimization of moist heat-sterilization of glucose infusions. The effect of different Fo-values on the pH

and 5-hydroxymethyl-2-furaldehyde content of the

solutions

AUTHOR(S): CORPORATE SOURCE: Mannermaa, J. P.; Yliruusi, J.; Kanerva, U. Orion Corp. Farmos, Oulu, SF-90650, Finland Pharmazeutische Industrie (1992), 54(8), 729-32

SOURCE:

CODEN: PHINAN; ISSN: 0031-711X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The effect of steam sterilization efficiency (Fo value) on 5-hydroxymethyl-2-furaldehyde (I) formation and the pH of glucose (II) infusions containing 5, 20, or 40% II and bottled in 500-mL glass bottles was studied for Fo values of 1.5, 5, 15, 50, and 150 min. Thus, at lower Fo, I levels were independent of the II concentration, but at Fo values of 50 or

150

min, concentration-dependencies were observed At the highest Fo, a decrease

in I

level with increasing II was seen. HPLC demonstrated I as practically the sole (>99%) degradation product of II. With the exception of solns. sterilized to Fo values of 5-15 min, infusion pH generally decreased. For 20% II solns. sterilized than stored for 30 days, a pH decrease of .apprx.0.2 units was observed

IT 67-47-0, 5-Hydroxymethyl-2-furaldehyde RL: FORM (Formation, nonpreparative)

(formation of, during steam sterilization of glucose infusion solns., sterilization efficiency effect on pH and)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

 $CH_2-OH$ 

ANSWER 99 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1992:537547 CAPLUS

DOCUMENT NUMBER:

117:137547

TITLE:

Toxicity potential of compounds found in parenteral

solutions with rubber stoppers

AUTHOR(S):

Danielson, James W.

CORPORATE SOURCE:

Steril. Anal. Res. Cent., Food Drug Adm., Minneapolis,

MN, USA

SOURCE:

Journal of Parenteral Science and Technology (1992),

46(2), 43-7 CODEN: JPATDS; ISSN: 0279-7976

DOCUMENT TYPE:

Journal English

LANGUAGE:

Leached stopper components found in parenteral solns. produced by several AR manufacturers were identified and quantitated. Their toxicity potential was determined by comparing the types and quantities of the leached components with known toxicity levels and/or harmful effects. Toxicity potentials for benzaldehyde, 2-butoxyethanol, cyclohexanone, ethylbenzene, 1,1,2,2-tetrachloroethane, and tetrachloroethylene are listed. Breakdown products of dextrose (furfural and 5-hydroxymethylfurfural), which may also have harmful effects, were quantitated.

67-47-0, 5-Hydroxymethylfurfural IT

RL: PRP (Properties)

(toxicity of, as dextrose decomposition product leached from parenteral solns. with rubber stoppers)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 100 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1992:406257 CAPLUS

DOCUMENT NUMBER:

117:6257

TITLE:

Simultaneous determination of 2-furfuraldehyde and 5-(hydroxymethyl)-2-furfuraldehyde by derivative

spectrophotometry

AUTHOR(S):

Tu, Duonan; Xue, Saifeng; Meng, Chunyuan;

Espinosa-Mansilla, Anunciacion; Munoz de la Pena,

Arsenio; Salinas Lopez, Francisco

CORPORATE SOURCE:

Dep. Anal. Chem., Univ. Extremadura, Badajoz, 06071,

Spain

SOURCE:

Journal of Agricultural and Food Chemistry (1992),

40(6), 1022-5

CODEN: JAFCAU; ISSN: 0021-8561

DOCUMENT TYPE:

LANGUAGE:

Journal English

The reactions of 2-furfuraldehyde (FUR) and 5-(hydroxymethyl)-2furfuraldehyde (HMF) with 2-thiobarbituric acid (TBA) were investigated. These compds. react with TBA in an acidic medium, and the reaction is accelerated by heating at moderate temperature The yellow reaction products show high absorption in the visible region. The spectral overlapping of the reaction products of FUR and HMF with TBA was resolved by first-derivative spectrophotometry. The simultaneous determination of FUR and HMF mixts. is accomplished by taking the first-derivative signal at 436 nm for FUR determination and

at 414 nm for HMF determination, resp. The method was applied to a com. orange juice and oral rehydration salt formulations.

67-47-0, 5-Hydroxymethylfurfural

RL: ANST (Analytical study)

(determination of furfural and, in orange juice and pharmaceuticals by first-derivative spectrophotometry with thiobarbituric acid)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 101 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

1991:49542 CAPLUS ACCESSION NUMBER:

114:49542 DOCUMENT NUMBER:

Effect of pH on changes occurring in glucose solutions TITLE:

during sterilization

Rogacka-Majcher, Krystyna; Janczar, Lucyna; AUTHOR(S):

Krowczynski, Leszek

Akad. Med. im. Mikolaja Kopernika, Krakow, Pol. CORPORATE SOURCE:

Farmacja Polska (1989), 45(8-9), 519-23 SOURCE:

CODEN: FAPOA4; ISSN: 0014-8261

DOCUMENT TYPE: Journal Polish LANGUAGE:

Glucose solns. of concentration of 5, 10, 20, 40, and 66% were prepared in McIllvaine's buffer (in the range of pH 3.4-6.4). The solns. were sterilized under various conditions. The stability of glucose in infusion solns. was found to depend on the solution pH, heating time, and time of autoclave cooling. The least decomposition of glucose was shown at pH 4.4-5.0.

IT 67-47-0

RL: FORM (Formation, nonpreparative)

(formation of, as glucose decomposition product, in infusion during sterilization, pH effect on)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 102 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:185762 CAPLUS

DOCUMENT NUMBER: 112:185762

Effects of sodium and potassium chlorides in equimolar TITLE:

concentrations on 5-HMF formation in glucose solutions

exposed to thermal sterilization

Zakrzewski, Zdzislaw; Furmanczyk, Zdzislaw; Wosinska, AUTHOR (S):

10/531,714

Sylwia

CORPORATE SOURCE: Zakl. Farm. Stosowanej Inst. Nauki Leku, Akad. Med.,

Warszaw, Pol.

SOURCE: Farmacja Polska (1989), 45(4), 225-8

CODEN: FAPOA4; ISSN: 0014-8261

DOCUMENT TYPE: LANGUAGE: Journal Polish

OTHER SOURCE(S):

CASREACT 112:185762

The effects of the presence of NaCl and KCl in glucose infusion solns. on glucose thermal decomposition during sterilization at 120° for 20 or 180 min were studied. Glucose concns. tested were 2.5, 4.3, 5, and 10% and the salt concns. used were equimolar at 0.180-0.225% NaCl and 0.230-0.283% KCl. Both salts increased the formation of the glucose degradation product 5-hydroxymethylfurfural (5-HMF), with NaCl causing more degradation than KCl. The degradation rate increased with salt concns.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: FORM (Formation, nonpreparative)

(formation of, in glucose infusions during thermal sterilization, electrolytes increase of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 103 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:25482 CAPLUS

DOCUMENT NUMBER: 112:25482

TITLE: Effect of the electrolyte components of peritoneal

dialysis solutions on stability of glucose

AUTHOR(S): Trzeciak, Marzenna; Zakrzewski, Zdzislaw; Siedlecka,

Ewa; Furmanczyk, Zdzislaw

CORPORATE SOURCE: Inst. Drug Sci., Sch. Med., Warsaw, 02-097, Pol.

SOURCE: Acta Poloniae Pharmaceutica (1989), 46(2), 174-8

CODEN: APPHAX; ISSN: 0001-6837

DOCUMENT TYPE: Journal

LANGUAGE: Polish

AB The effect of electrolytes present in pharmaceutical normotonic and hypertonic solns. for peritoneal dialysis [Na+ 139, Ca2+ 2, Mg2+ 0.75, Cl- 99.5, AcO- 45, and glucose (I) 83.33 and 333.3 mmoles/L, resp.] on decomposition of I was investigated under the routine sterilization conditions (120°, 100 min). The formation of 5-hydroxymethylfurfural was considered as the decomposition criterion. I was least stable in presence of AcONa and NaCl, and most in that of CaCl2 and MgCl2.

IT 67-47-0, 5-Hydroxymethylfurfural

RL: FORM (Formation, nonpreparative)

(formation of, as glucose degradation product, in peritoneal dialysis solns. during sterilization)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

L3

ACCESSION NUMBER:

1989:560171 CAPLUS

DOCUMENT NUMBER:

111:160171

TITLE:

HPLC studies on the degradation profiles of glucose 5%

solutions subjected to heat sterilization in a

microprocessor-controlled autoclave

AUTHOR (S):

Cook, A. P.; MacLeod, T. M.; Appleton, J. D.; Fell, A.

CORPORATE SOURCE:

Area Pharm. Lab., Ninewells Hosp., Dundee, UK

SOURCE:

Journal of Clinical Pharmacy and Therapeutics (1989),

14(3), 189-95

CODEN: JCPTED; ISSN: 0269-4727

DOCUMENT TYPE:

Journal

LANGUAGE:

English

A practical useful relationship between degradation and Fo (the equivalent time required in min at 121° to produce the same microbiol. killing effect as the process used) at various temps. is given. This may be of value for identifying the most suitable sterilization conditions for a number of glucose products and other pharmaceuticals. Autoclaving at a

high temperature to a low final Fo value gave the maximum product integrity.

67-47-0, 5-Hydroxymethylfurfural IT

RL: BIOL (Biological study)

(glucose degradation product, in solns. subjected to heat sterilization in autoclave, HPLC study of)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 105 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER:

1989:412380 CAPLUS

DOCUMENT NUMBER:

111:12380

TITLE:

Chemical studies on Chanraodangshen (the root of

Codonopsis pilosula var. volubilis)

AUTHOR(S):

Sha, Dezhi; Lu, Yunru; Shen, Liansheng

CORPORATE SOURCE:

Dep. Chin. Pharm., Beijing Coll. Chin. Med., Beijing,

Peop. Rep. China

SOURCE:

L3

Yaowu Fenxi Zazhi (1989), 9(1), 13-17

CODEN: YFZADL; ISSN: 0254-1793

DOCUMENT TYPE:

Journal

LANGUAGE:

Chinese

Eleven compds. (including 4 pentacyclic triterpenes, alkanes, and 3 sterols) were isolated and identified from petroleum, Et acetate, n-butanol, and water-soluble alkaloid fractions of C. pilosula roots.

67-47-0, 5-(Hydroxymethyl)-2-furaldehyde IT

RL: BIOL (Biological study)

(isolation and identification of, from Chanraodangshen (Codonopsis pilosula volubilis root))

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

10/531,714

ACCESSION NUMBER:

1989:219225 CAPLUS

DOCUMENT NUMBER:

110:219225

TITLE:

Detection of 5-hydroxymethylfurfural by thin-layer

chromatography in pharmaceutical preparations containing glucose

AUTHOR (S):

Santoro, Maria Ines R. M.; Hackmann, Erika R. M.;

Magalhaes, Joao F.

CORPORATE SOURCE:

Fac. Cienc. Farm., Univ. Sao Paulo, Sao Paulo, Brazil

Anais de Farmacia e Quimica (1988), Supl., 58-64

CODEN: AFQUEB; ISSN: 0003-2441

DOCUMENT TYPE:

Journal

LANGUAGE:

SOURCE:

Portuguese

5-Hydroxymethylfurfural (HMF), a glucose decomposition product, was determined AB in

glucose-containing oral rehydration salt solns. by TLC. Silica gel GF-254 coated plates were used. The following mobile phases were tested: (a) EtOH-CHCl3-25% NH4OH-H2O (5:3:1.5:0.5); (b) C6H6-MeOH (5:2); (c) C6H6-MeOH (9:1), and (d) EtOHc-iso-PrOH-H2O (65:23:12); and as developing agents: (1) p-anisaldehyde-H2SO4; (2) vanillin-H2SO4; (3) ammoniacal AgNO3, and (4) 2,4-dinitrophenylhydrazine. The plates, before being developed, were observed at UV light (254 and 366 nm), for HMF alone detection. All the developing agents were adequate for HMF and other substances detection. p-Anisaldehyde-H2SO4 was better for differentiation of products. The hRf obtained with the different systems were: (mobile phase, main spot of samples, HMF, glucose): (a), 34, 92, 35; (b), 36, 86, 38; (c), 0, 24, 0 and (d), 23, 93, 36. The HMF presence in the samples was compared with a standard

67-47-0, 5-Hydroxymethylfurfural IT

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in pharmaceutical solns. containing glucose by TLC)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ANSWER 107 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1989:205645 CAPLUS

DOCUMENT NUMBER:

110:205645

TITLE:

Chemical studies on traditional medicines acting on animal isolated organs. 4. The screening of Chinese

crude drugs for calcium antagonist activity:

identification of active principles from the aerial part of Pogostemon cablin and the fruits of Prunus

mume

AUTHOR(S):

Ichikawa, Kazuo; Kinoshita, Takeshi; Sankawa, Ushio Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan

CORPORATE SOURCE: SOURCE:

of

Chemical & Pharmaceutical Bulletin (1989), 37(2),

345-8

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

LANGUAGE:

Journal English

Hot aqueous exts. of 134 Chinese crude drugs were subjected to screening for inhibitory activity on K+ contracture of guinea pig taenia coli, and significant activity was observed in 17 crude drugs. Chemical investigations

2 crude drugs, Kakko and Ubai, which originate from P. cablin and P. mume, resp., were undertaken, and patchouli alc. and 5-(hydroxymethyl)-2furaldehyde were identified as their active principles, resp.

IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(of Pogostemon cablin and Prunus mume fruits, calcium antagonist activity of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

OHC CH2-OH

L3 ANSWER 108 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:141365 CAPLUS

DOCUMENT NUMBER: 110:141365

TITLE: Constituents of the stems of Eucommia ulmoides Oliv AUTHOR(S): Gewali, Mohan Bikram; Hattori, Masao; Namba, Tsuneo

CORPORATE SOURCE: Res. Inst. Wakan-Yaku, Toyama Med. Pharm. Univ.,

Toyama, 930-01, Japan

SOURCE: Shoyakugaku Zasshi (1988), 42(3), 247-8

CODEN: SHZAAY; ISSN: 0037-4377

DOCUMENT TYPE: Journal LANGUAGE: English

AB Eucommiol, 1-deoxyeucommiol, syringin, coniferin, koaburaside, geniposide, geniposidic acid, and 5-(hydroxymethyl)-2-furaldehyde were isolated from the stems of E. ulmoides together with large amts. of glucose and sucrose.

IT 67-47-0, 5-(Hydroxymethyl)-2-furaldehyde
RL: BOC (Biological occurrence); BSU (Biological study, unclassified);
BIOL (Biological study); OCCU (Occurrence)

(of Eucommia ulmoides stems)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

OHC CH2-OH

L3 ANSWER 109 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:121226 CAPLUS

DOCUMENT NUMBER: 110:121226

TITLE: Stability of galactose in aqueous solutions

AUTHOR(S): Bhargava, Vijay O.; Rahman, Shafiqur; Newton, David W.

CORPORATE SOURCE: Marion Lab., Kansas City, MO, USA

SOURCE: American Journal of Hospital Pharmacy (1989), 46(1),

104-8

CODEN: AJHPA9; ISSN: 0002-9289

DOCUMENT TYPE: Journal LANGUAGE: English

The stability of 5-30% (weight/volume) galactose in sterile water for injection and acetate and phosphate buffers was studied in relation to buffer concentration, pH, storage at 25, 45, and 65° for 6 wk, and autoclaving at 121° for 30 min. Galactose degradation increased with increasing temperature and buffer concentration Galactose solns. in water and phosphate incurred <5% degradation on autoclaving; however, the 30% solns. in acetate buffers lost up to 21% of initial content. Yellow discoloration of solns. was associated with autoclaving and prolonged exposure at 65° and appeared in some solns. that did not exceed the USP XXI limit of 5-hydroxymethylfurfural

and related compds. in dextrose injection. The estimated room temperature shelf-life of galactose in sterile water for injection sterilized by 0.45-µm-porosity membrane filtration is 4 and one-half mo. Solns. may also be sterilized by autoclaving at 121° for 30 min; galactose solns. containing pH buffers should not be sterilized by autoclaving.

TΤ 67-47-0, 5-Hydroxymethylfurfural

RL: FORM (Formation, nonpreparative)

(formation of, during galactose degradation in solns.)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME) CN

ANSWER 110 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:173652 CAPLUS

DOCUMENT NUMBER: 108:173652

Difference spectrophotometric assay of TITLE:

> 5-hydroxymethylfurfuraldehyde in hydrolyzed pharmaceutical syrups. II. Isoniazid reagent

Davidson, A. G.; Dawodu, T. O. AUTHOR (S):

Dep. Pharm., Univ. Strathclyde, Glasgow, Gl 1XW, UK CORPORATE SOURCE:

Journal of Pharmaceutical and Biomedical Analysis SOURCE:

(1988), 6(1), 61-6 CODEN: JPBADA; ISSN: 0731-7085

DOCUMENT TYPE: Journal English LANGUAGE:

A rapid difference spectrophotometric assay of 5hydroxymethylfurfuraldehyde (I) in degraded syrups involves the measurement of the difference absorbance at 340 nm of the isonicotinoyl hydrazone of I, formed at room temperature in an acidic solution of isoniazid, relative to an equimolar solution of I, which was reduced, and the isoniazid reagent. The procedure is accurate, precise and selective for I in the syrups examined The limits of detection and determination were 0.91 µg and

12.4

 $\mu g$  mL-1, resp.

67-47-0, 5-Hydroxymethylfurfuraldehyde IT

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in com. syrups by difference spectrophotometry, isoniazid in)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

OHC

ANSWER 111 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

1987:561796 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 107:161796

TITLE: Difference spectrophotometric assay of

5-hydroxymethylfurfuraldehyde in hydrolyzed pharmaceutical syrups. I. Sodium borohydride

reagent

Davidson, A. G.; Dawodu, T. O. AUTHOR(S):

CORPORATE SOURCE: Dep. Pharm., Univ. Strathclyde, Glasgow, Gl 1XW, UK 10/531,714

SOURCE:

Journal of Pharmaceutical and Biomedical Analysis

(1987), 5(3), 213-22

CODEN: JPBADA; ISSN: 0731-7085

DOCUMENT TYPE: LANGUAGE:

Journal English

A rapid difference spectrophotometric procedure is described for the assay of 5-hydroxymethylfurfuraldehyde (5-HMF) in hydrolyzed pharmaceutical syrups. The assay involves measurement of the difference absorbance at 283 nm (AA283) of a solution of 5-HMF at pH 8 relative to that of an equimolar solution in which the absorption of the 5-HMF has been destroyed by reduction of the carbonyl group by NaBH4. AA283 is proportional to the concentration of 5-HMF and is unaffected by the presence of sucrose (the sugar component of syrup) or of dextrose or levulose (the principal sugars of invert syrup). The accuracy, precision and selectivity of the method are discussed. The limits of detection and determination are 0.78 and 9.6  $\mu g$  mL-1, resp. The assay was appled successfully to samples of syrup containing hydroxybenzoate (paraben) preservatives, invert syrup, simple linctus, ephedrine elixir and raspberry syrup.

67-47-0, 5-Hydroxymethylfurfuraldehyde IT

RL: ANT (Analyte); ANST (Analytical study)

(determination of, in hydrolyzed pharmaceutical syrups by difference spectrophotometry)

67-47-0 CAPLUS RN

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

- сн<sub>2</sub>- он

ANSWER 112 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

ACCESSION NUMBER:

1986:230336 CAPLUS

DOCUMENT NUMBER:

104:230336

TITLE:

Study of the effect of stabilizers and methods of ampul preparation on the stability of multicomponent

infusion solutions

AUTHOR(S):

Korytnyuk, R. S.

CORPORATE SOURCE:

Kiev. Inst. Usoversh. Vrachei, Kiev, USSR

SOURCE:

Farmatsiya (Moscow, Russian Federation) (1986), 35(2),

10-13

CODEN: FRMTAL; ISSN: 0367-3014

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

The stability of multicomponent infusion solns. containing glucose [50-99-7], AB KCl, MgCl2, KH2PO4, NaH2PO4, and Na lactate [72-17-3] was studied. The rate of degradation of glucose and lactate in the presence of electrolytes was lower in concentrated than in diluted solns. The stability of the solution was improved if stored in ampuls (ampuling in a CO2 medium) and by addition of 0.1% Na metabisulfite as an antioxidant. The preparation of solns. with 10-fold greater concentration as a method for improving the stability is also discussed.

IT 67-47-0

RL: BIOL (Biological study)

(of infusion solns., as glucose degradation product, stability in relation

RN67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

L3 ANSWER 113 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1985:31976 CAPLUS

DOCUMENT NUMBER:

102:31976

ORIGINAL REFERENCE NO.:

102:5073a,5076a

TITLE:

A review of 5-hydroxymethylfurfural (HMF) in

parenteral solutions

AUTHOR (S):

SOURCE:

Ulbricht, Richard J.; Northup, Sharon J.; Thomas, John

Δ

CORPORATE SOURCE:

Travenol Lab., Inc., Morton Grove, IL, 60053, USA Fundamental and Applied Toxicology (1984), 4(5),

843-53

CODEN: FAATDF; ISSN: 0272-0590

DOCUMENT TYPE:

Journal; General Review

LANGUAGE:

English

AB A review with 35 refs. of the chemical formation, toxicity, and pharmacokinetics of 5-hydroxymethylfurfural (HMF) [67-47-0] and certain other decomposition products found in parenteral solns.

IT 67-47-0 67-47-0D, degradation products

RL: BIOL (Biological study)

(in parenteral solns., properties of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 114 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1981:550671 CAPLUS

DOCUMENT NUMBER:

95:150671

ORIGINAL REFERENCE NO.:

95:25223a,25226a

TITLE:

1,2,4-Triazole derivatives and pharmaceutical

compositions containing them

INVENTOR(S):

Bradshaw, John; Clitherow, John Watson; Bays, David

Edmund; Hayes, Roger; MacKinnon, John Wilson

Macfarlane

PATENT ASSIGNEE(S):

Glaxo Group Ltd., UK

SOURCE:

Eur. Pat. Appl., 36 pp.

SOURCE.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					•
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
	<del>-</del>			-	
EP 29303	A1	19810527	EP 1980-303729		19801022
EP 29303	B1	19850130			
R: BE, CH, DE,	FR, GB	, IT, NL, SE			
AU 8063602	A	19810430	AU 1980-63602		19801022
AU 539189	B2	19840913			
GB 2063253	A	19810603	GB 1980-34046		19801022
GB 2063253	В	19830914	•		
JP 56090071	Α	19810721	JP 1980-148111		19801022
ZA 8006495	A	19820127	ZA 1980-6495		19801022
PRIORITY APPLN. INFO.:			GB 1979-36545	Α	19791022
			GB 1979-36546	Α	19791022
			GB 1980-27740	Α	19800827
OTHER SOURCE(S):	CASREA	CT 95:150671	: MARPAT 95:150671		

OTHER SOURCE(S):

GI

$$RX^{1}(CH_{2})_{n}X(CH_{2})_{m}NH$$

O O (
$$CH_2$$
) 3NHC (= NCN) NMeN=CHPh

$$O$$
  $CH_2NHCH_2$   $MeN-N$   $NH_2$   $NH_2$   $NH_2$ 

Triazoles I (X = CH2, O, S, NH; X1 = optionally substituted 2,5-furandiyl,AΒ 2,5-thiophenediyl, m-C6H4, p-C6H4; R = aminoalkyl; R1 = H, optionally substituted alkyl, alkenyl; R2 = H, optionally substituted alkyl, alkenyl, OH, alkoxy, amino; m = 2-4; n = 0-2) were prepared Thus, 3.06 g II was treated with 15 mL furfurylamine and NaBH4 to give 0.77 g III which had an ED50 of 0.095 mg/kg for inhibiting histamine-induced stomach secretion in the rat stomach preparation

IT67-47-0

L3

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, with aminomethylphenoxypropyltriazolediamine)

RN67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

ACCESSION NUMBER: 1979:192650 CAPLUS

DOCUMENT NUMBER: 90:192650

90:30539a,30542a ORIGINAL REFERENCE NO.:

Rapid, stability-indicating, high-pressure liquid TITLE:

chromatographic determination of theophylline, guaifenesin, and benzoic acid in liquid and solid

pharmaceutical dosage forms

Heidemann, D. R. AUTHOR(S):

Dorsey Lab. Div., Sandoz, Inc., Lincoln, NE, USA CORPORATE SOURCE: Journal of Pharmaceutical Sciences (1979), 68(4),

SOURCE:

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal English LANGUAGE:

Theophylline [58-55-9], guaifenesin [93-14-1], and benzoic acid

[65-85-0] were determined by reversed-phase high-pressure liquid chromatog. without interference from active and(or) vehicle decomposition A degradation product of sucrose, 5-hydroxymethylfurfural [67-47-0], can be

identified and quantified in liquid samples simultaneously.

67-47-0 ΙT

RL: ANST (Analytical study)

(sucrose degradation product, determination of, in pharmaceuticals, by high-pressure liquid chromatog.)

RN 67-47-0 CAPLUS

2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME) CN

- CH2-ОН

ANSWER 116 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN L3

1977:522848 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 87:122848

ORIGINAL REFERENCE NO.: 87:19441a,19444a

Spectrophotometric determination of diphenhydramine TITLE:

hydrochloride in pharmaceutical preparations Maghssoudi, Rostam H.; Fawzi, Ahmad B.; Moosavi

AUTHOR (S):

Meerkalaiee, Majd Aldeen N.

Coll. Pharm., Tehran Univ., Tehran, Iran CORPORATE SOURCE:

Journal - Association of Official Analytical Chemists SOURCE:

(1977), 60(4), 926-8

CODEN: JANCA2; ISSN: 0004-5756

Journal DOCUMENT TYPE:

English LANGUAGE:

A spectrophotometric method was developed for determining diphenhydramine-HCl [147-24-0], based on CHCl3 extraction of its complex formed with bromocresol green. The complex solution in CHCl3 showed maximum absorption at 415 nm and

obeyed Beer's law over the range 3.0-12.0  $\mu g/mL$ . The molar

absorptivity of the complex was 2.02 + 104. Complex formation and extraction were complete and quant. over the pH range 2-5. The ratio of diphenhydramine to bromocresol green was 1:1. Excipients, coloring

matter, flavoring agents, and other substances likely to be present in diphenhydramine prepns. did not interfere with the determination Direct

tablet, capsule, sirup, and lotion prepns. were carried out, and the average recovery was 100 ± 1.0%.

IT 67-47-0

RL: USES (Uses)

(diphenhydramine hydrochloride determination in presence of)

67-47-0 CAPLUS RN

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 117 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:177217 CAPLUS

DOCUMENT NUMBER: 86:177217

ORIGINAL REFERENCE NO.: 86:27755a,27758a

TITLE: Levels of 5-hydroxymethylfurfural in dextrose

injection

AUTHOR(S): Murty, B. S. R.; Kapoor, J. N.; Smith, F. X.

CORPORATE SOURCE: Invenex Pharm., Grand Island, NY, USA

SOURCE: American Journal of Hospital Pharmacy (1977), 34(2),

205-6

CODEN: AJHPA9; ISSN: 0002-9289

DOCUMENT TYPE: Journal LANGUAGE: English

LANGUAGE: English

носн<sub>2</sub>—Сно

AB A freshly prepared solution of dextrose [50-99-7] (1 g/2 mL) had a 5-hydroxymethylfurfural (I) [67-47-0] level of 0.10  $\mu g/mL$ . The level in 50% dextrose injection, within 24 hr of manufacturing, was 0.72  $\mu g/mL$ . The level of I in 50% dextrose injection, after storage for 4 years at 70°, was 5.80  $\mu g/mL$ . It is recommended that a quant. procedure for determining this impurity be included in quality control testing of dextrose injection.

IT 67-47-0

RL: BIOL (Biological study)
 (as impurity, in dextrose injection solns.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

ОНС О СH<sub>2</sub>− ОН

L3 ANSWER 118 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:432967 CAPLUS

DOCUMENT NUMBER: 83:32967

ORIGINAL REFERENCE NO.: 83:5209a,5212a
TITLE: Spectrophotometric evaluation of mannitol solutions

for parenteral use

AUTHOR(S): Kubiak, Zbigniew; Latka, Anna

CORPORATE SOURCE: Akad. Med., Krakow, Pol.

SOURCE: Farmacja Polska (1975), 31(1), 25-30

CODEN: FAPOA4; ISSN: 0014-8261

DOCUMENT TYPE: Journal LANGUAGE: Polish

AB The 6 parenteral mannitol [69-65-8] solns. analyzed showed varying levels of contamination by 5-hydroxymethylfurfural [67-47-0]. The presence of the latter was determined from absorption at 280 nm, and appeared to be related to inadequate purification of the pharmaceutical.

IT 67-47-0

RL: BIOL (Biological study)

(mannitol parenteral solns. contamination with)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl) - (CA INDEX NAME)

онс О СH<sub>2</sub>- он

L3 ANSWER 119 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:41087 CAPLUS

DOCUMENT NUMBER: 80:41087

ORIGINAL REFERENCE NO.: 80:6703a,6706a

TITLE: Determination of diphenhydramine hydrochloride in

elixir

AUTHOR(S): Woo, Diane; Yen, John K. C.; Heimlich, Kenneth R.

CORPORATE SOURCE: Smith Kline and French Canada Ltd., Montreal, QC, Can.

SOURCE: Journal of Pharmaceutical Sciences (1973), 62(12),

1993-4

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal LANGUAGE: English

AB A uv spectrometric method for the determination of diphenhydramine-HCl in the presence of its postulated decomposition products and 5-(hydroxymethyl)-2-furaldehyde in elixirs was developed. The method involves simple estns. with cyclohexane and is suitable for routine and stability assays of various pharmaceutical liquid formulation. The technique is a

modification of the USP XVIII method.

IT 67-47-0

RL: ANST (Analytical study)

(diphenhydramine determination in presence of)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

 $\mathsf{OHC} \overset{\mathsf{O}}{ / \! / } \mathsf{CH}_2 - \mathsf{OH}$ 

L3 ANSWER 120 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:466146 CAPLUS

DOCUMENT NUMBER: 77:66146

ORIGINAL REFERENCE NO.: 7.7:10895a,10898a

TITLE: Determination of glucose stability in a concentrated

plasma-replacing Ringer solution

AUTHOR(S): Shpak, R. S.

CORPORATE SOURCE: Kiev. Inst. Usoversh. Vrachei, Kiev, USSR

SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1972), 6(5), 50

CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal LANGUAGE: Russian

AB Decomposition products of glucose were determined in concentrated Ringers solution containing 18

g NaCl, 0.4 g KCl and CaCl2, 2 g anhydrous glucose, made up to 100 ml with water for injection. The solution was stabilized with 0.1N NaOH to pH 3.8-4.5 and with 0.05% Ca Na2-EDTA, filtered, sealed in ampuls, and sterilized at 100° for 1 hr. The uv spectrum showed no decomposition products prior to sterilization, 2 slight maximum in the 220-230 and 280-300 nm regions after sterilization, and after prolonged heating, resembled that of hydroxymethylfurfural (I). Using a molar extinction coefficient of 16,900 at \$\lambda\$maximum 282.5 nm, 12-15 times as much I was present in Ringer's solution which was not stabilized with 0.1N NaOH and CaNa2-EDTA after 6-12 mo. storage.

IT 67-47-0

RL: BIOL (Biological study)

(glucose thermal decomposition product)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

L3 ANSWER 121 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:131432 CAPLUS

DOCUMENT NUMBER: 76:131432

ORIGINAL REFERENCE NO.: 76:21253a,21256a

TITLE: Physicochemical examination of glucose injection AUTHOR(S): Okada, Satoshi; Iga, Soichiro; Ueoka, Sumiko; Isaka,

Hiroshi

CORPORATE SOURCE: Japan

SOURCE: Eisei Shikensho Hokoku (1971), (89), 87-90

DOCUMENT TYPE: Journal LANGUAGE: Japanese

The conversions of glucose, on heating in water, to 5-hydroxy-methylfurfural (I) and 3-deoxyglucosone (II), and further to acidic substances were investigated by uv and pH methods, resp. In addition, the quality of glucose injections on the market was examined The conversions of glucose to I and II on heating (60 min. at 115°) were enhanced with increasing glucose concns. (5 to 10 and 20). The conversions of I to acidic substances, which reflected a pH decrease of glucose aqueous solns., were also enhanced when temperature or heating time was increased (100° to 115 and 121° and 20 min to 60 and 100 min). The amts. of I or II and pH of 5 and 20 glucose injections were measured and a correlation anal. was made between pH (x) and the concentration of I or II (y, uv absorption

at 284 or 228 m $\mu$ ). There was a significant neg. correlation at 5 level between pH and the concentration of I, but not between pH and that of II. The regression lines for 5 and 20 glucose injections were x = 4.785 - 0.4879 and x = 5.020 - 0.3879, resp. The quality of glucose injections was highly dependent on heating conditions for sterilization.

IT 67-47-0

RL: BIOL (Biological study)

(glucose decomposition product)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)

## 10/531,714

L3 ANSWER 122 OF 122 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1956:10105 CAPLUS

DOCUMENT NUMBER: 50:10105 ORIGINAL REFERENCE NO.: 50:2121g-h

TITLE: Spectrochemical study of parenteral solutions. I.

Dextrose injection

AUTHOR(S): Iwamoto, Takio; Saito, Moritami; Taga, Mitsuhiko

CORPORATE SOURCE: Hokkaido Inst. Public Health, Sapporo SOURCE: Yakugaku Zasshi (1955), 75, 1158-60

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB In examining 20% parenteral solns. of glucose by ultraviolet absorption it was found that com. products contained 5-hydroxymethyl-furfural (I) with λmaximum 2840 A., a thermal decomposition product of glucose, and a substance (II) with λmaximum 2270 A., which is chiefly formed by

sterilization at 100°. I is formed at a higher temperature The II was

assumed to have the structure of >C:C.C:C< or >C:C.C:O.

IT 67-47-0P, 2-Furaldehyde, 5-(hydroxymethyl)-

RL: PREP (Preparation)

(in glucose com. prepns.)

RN 67-47-0 CAPLUS

CN 2-Furancarboxaldehyde, 5-(hydroxymethyl)- (CA INDEX NAME)